

10/513699

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NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	DEC 01	ChemPort single article sales feature unavailable
NEWS	3	APR 03	CAS coverage of exemplified prophetic substances enhanced
NEWS	4	APR 07	STN is raising the limits on saved answers
NEWS	5	APR 24	CA/CAPLUS now has more comprehensive patent assignee information
NEWS	6	APR 26	USPATFULL and USPAT2 enhanced with patent assignment/reassignment information
NEWS	7	APR 28	CAS patent authority coverage expanded
NEWS	8	APR 28	ENCOMPLIT/ENCOMPLIT2 search fields enhanced
NEWS	9	APR 28	Limits doubled for structure searching in CAS REGISTRY
NEWS	10	MAY 08	STN Express, Version 8.4, now available
NEWS	11	MAY 11	STN on the Web enhanced
NEWS	12	MAY 11	BEILSTEIN substance information now available on STN Easy
NEWS	13	MAY 14	DGENE, PCTGEN and USGENE enhanced with increased limits for exact sequence match searches and introduction of free HIT display format
NEWS	14	MAY 15	INPADOCDB and INPAFAMDB enhanced with Chinese legal status data
NEWS	15	MAY 28	CAS databases on STN enhanced with NANO super role in records back to 1992
NEWS	16	JUN 01	CAS REGISTRY Source of Registration (SR) searching enhanced on STN
NEWS	17	JUN 26	NUTRACEUT and PHARMAML no longer updated
NEWS	18	JUN 29	IMSCOPROFILE now reloaded monthly
NEWS	19	JUN 29	EPFULL adds SLART to AB, MCLM, and TI fields
NEWS EXPRESS	MAY 26 09		CURRENT WINDOWS VERSION IS V8.4, AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
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<12/04/2007>

Erich Leese

10/513699

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FILE 'HOME' ENTERED AT 18:23:43 ON 29 JUN 2009

=> file reg

COST IN U.S. DOLLARS

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ENTRY

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FULL ESTIMATED COST

0.22

0.22

FILE 'REGISTRY' ENTERED AT 18:23:49 ON 29 JUN 2009

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STRUCTURE FILE UPDATES: 28 JUN 2009 HIGHEST RN 1160218-33-6

DICTIONARY FILE UPDATES: 28 JUN 2009 HIGHEST RN 1160218-33-6

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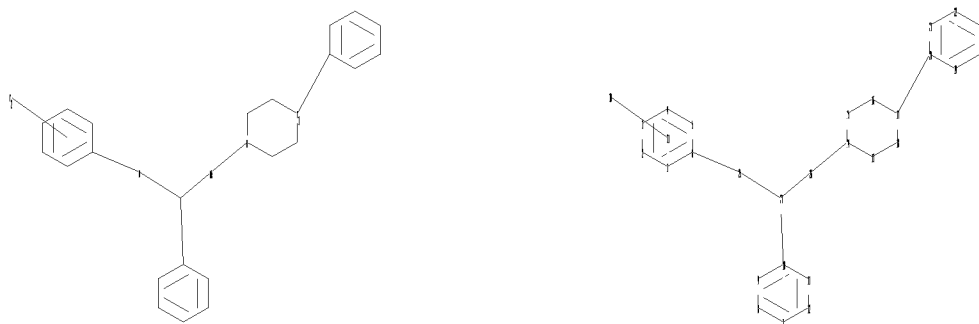
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

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=>

Uploading C:\Program Files\Stnexp\Queries\10594105claim4.str

10/513699



chain nodes :
25 26 27 30
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
24
chain bonds :
6-25 10-27 14-26 17-20 25-27 26-27
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18
14-15 15-16 16-17 17-18 19-20 19-24 20-21 21-22 22-23 23-24
exact/norm bonds :
6-25 10-27 13-14 13-18 14-15 14-26 15-16 16-17 17-18 17-20 25-27 26-27
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 19-20 19-24
20-21 21-22 22-23 23-24
isolated ring systems :
containing 1 : 7 : 13 : 19 :

G1:C,N

G2:CF2,CF3,CCl2,CCl3,CBr2,CBr3,X

G3:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:CLASS 26:CLASS 27:CLASS 30:CLASS
31:Atom

<12/04/2007>

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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 18:24:31 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 20268 TO ITERATE

100.0% PROCESSED 20268 ITERATIONS

211 ANSWERS

SEARCH TIME: 00.00.02

L2 211 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

185.88

186.10

FILE 'CAPLUS' ENTERED AT 18:24:36 ON 29 JUN 2009

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FILE COVERS 1907 - 29 Jun 2009 VOL 151 ISS 1

FILE LAST UPDATED: 28 Jun 2009 (20090628/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2009

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2009

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2009.

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<12/04/2007>

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12 full
L3 17 L2

=> d ibib abs hitstr tot
THE ESTIMATED COST FOR THIS REQUEST IS 95.88 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L3 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:412461 CAPLUS

DOCUMENT NUMBER: 151:496

TITLE: QSAR study of the 5-HT1A receptor affinities of arylpiperazines using a genetic algorithm-artificial neural network model

AUTHOR(S): Habibi-Yangjeh, Aziz

CORPORATE SOURCE: Department of Chemistry, Faculty of Science, University of Mohaghegh Ardabili, Ardabil, Iran

SOURCE: Monatshefte fuer Chemie (2009), 140(5), 523-530
CODEN: MOCMB7; ISSN: 0026-9247

PUBLISHER: SpringerWienNewYork

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Genetic algorithm-multiparameter linear regression (GA-MLR) and genetic algorithm-artificial neural network (GA-ANN) models have been used for prediction of the 5-HT1A receptor affinities (pK_i) of 66 arylpiperazines. A large number of theor. descriptors were calculated for each compound. The genetic

algorithm (GA) was used for selection of the variables that resulted in the best fit to the MLR and ANN models. The models were generated using seven descriptors as variables. For evaluation of the predictive power of the models, pK_i values of 13 compds. in the prediction set were calculated. Mean percentage deviation (MPD) for the GA-MLR and GA-ANN models were 0.344 and 0.065, resp. Comparison of the results obtained by use of the models reveals the GA-ANN model is superior to the GA-MLR model.

Graphical abstract

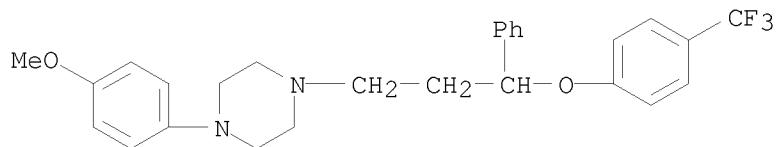
IT 328248-21-1 328248-24-4 328248-30-2
328248-36-8 753439-74-6 767277-20-3
777843-82-0

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(QSAR study of 5-HT1A receptor affinities of arylpiperazines using a genetic algorithm-artificial neural network model)

RN 328248-21-1 CAPLUS

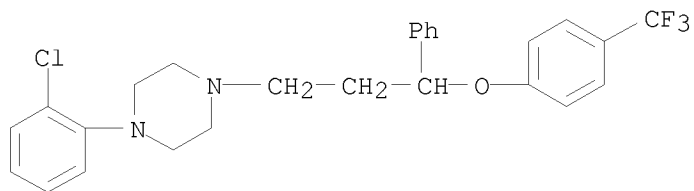
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RN 328248-24-4 CAPLUS

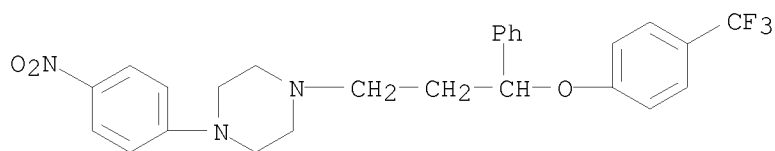
CN Piperazine, 1-(2-chlorophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

10/513699



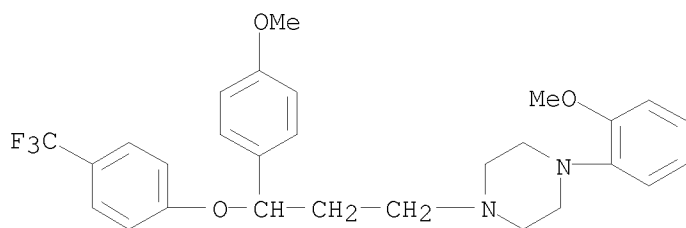
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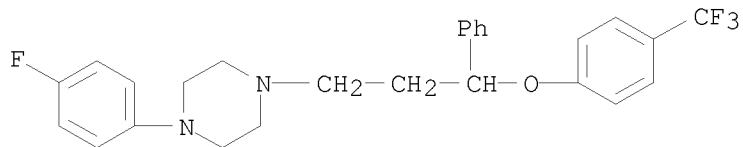
RN 328248-36-8 CAPLUS

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RN 753439-74-6 CAPLUS

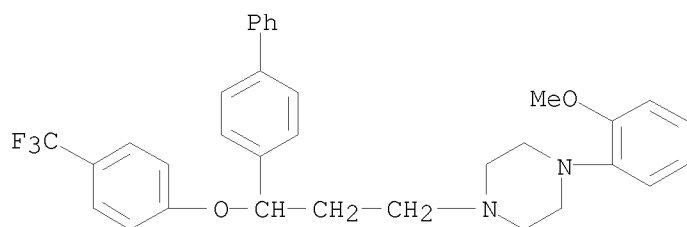
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RN 767277-20-3 CAPLUS

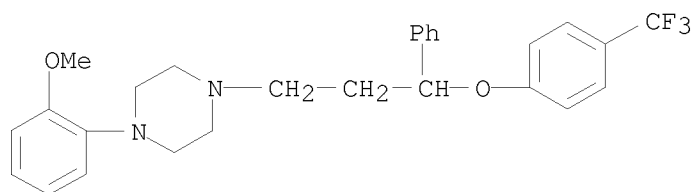
CN Piperazine, 1-[3-[1,1'-biphenyl]-4-yl-3-[4-(trifluoromethyl)phenoxy]propyl]-4-(2-methoxyphenyl)- (CA INDEX NAME)

10/513699



RN 777843-82-0 CAPLUS

CN Piperazine, 1-(2-methoxyphenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)



REFERENCE COUNT:

46

THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:986436 CAPLUS

DOCUMENT NUMBER: 150:321888

TITLE: The structure-based 3D-QSAR study of MCH1 receptor antagonists

AUTHOR(S): Lee, New Gil; Yoo, Seung-Eun; Kang, Nam Sook

CORPORATE SOURCE: Korea Research Institute of Chemical Technology, Daejeon, S. Korea

SOURCE: Molecular Simulation (2008), 34(7), 699-705

CODEN: MOSIEA; ISSN: 0892-7022

PUBLISHER: Taylor & Francis Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Melanin-concentrating hormone 1 receptor (MCH1-R) mediates the orexigenic effects

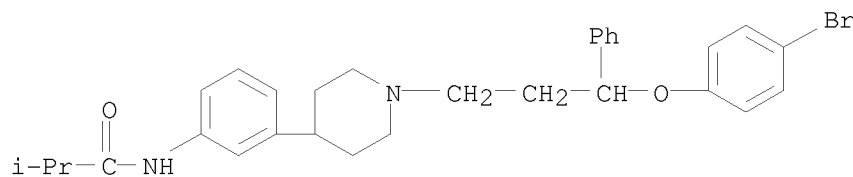
of melanin-concentrating hormone and its antagonist, are considered as a potential targets for the treatment of obesity. To design more potent and selective MCH1-R antagonists, at first, the authors built up the homol. structure of MCH1-R. Then, the authors carried out the receptor based 3 dimensional Quant. Structure Activity Relationship (3D-QSAR) using comparative mol. field anal. and Comparative Mol. Similarity Indexes Anal. (CoMSIA) for a series of scaffold of MCH1-R antagonists and the docking study for MCH1-R. These models are proved as statistically valid models with a good correlative and predictive power. Based on these models, the authors are going to develop more potent and selective MCH1-R antagonists.

IT 1132777-99-1

RL: PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (structure-based 3D-QSAR study of MCH1 receptor antagonists as anti-obesity drugs)

RN 1132777-99-1 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-bromophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:803320 CAPLUS

DOCUMENT NUMBER: 149:215113

TITLE: Two-dimensional QSAR studies on arylpiperazines as high-affinity 5-HT1A receptor ligands

AUTHOR(S): Weber, Karen C.; Honorio, Kathia M.; Andricopulo, Adriano D.; Da Silva, Alberico B. F.

CORPORATE SOURCE: Instituto de Quimica de Sao Carlos, Universidade de Sao Paulo, Sao Carlos, 13560-970, Brazil

SOURCE: Medicinal Chemistry (2008), 4(4), 328-335

CODEN: MCEHAJ; ISSN: 1573-4064

PUBLISHER: Bentham Science Publishers Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 5-HT1A receptor plays an important role in the delayed onset of antidepressant action of a class of selective serotonin reuptake inhibitors. Moreover, 5-HT1A receptor levels have been shown to be altered in patients suffering from major depression. In this work, hologram quant. structure-activity relationship (HQSAR) studies were performed on a series of arylpiperazine compds. presenting affinity to the 5-HT1A receptor. The models were constructed with a training set of 70 compds. The most significant HQSAR model ($q^2 = 0.81$, $r^2 = 0.96$) was generated using atoms, bonds, connections, chirality, and donor and acceptor as fragment distinction, with fragment size of 6-9. Predictions for an external test set containing 20 compds. are in good agreement with exptl. results showing the robustness of the model. Addnl., useful information can be obtained from the 2D contribution maps.

IT 328248-15-3 328248-21-1 328248-24-4

328248-30-2 328248-36-8 753439-74-6

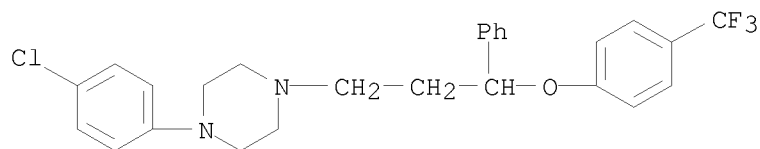
767277-20-3 777843-82-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(two-dimensional QSAR studies on arylpiperazines as high-affinity 5-HT1A receptor ligands)

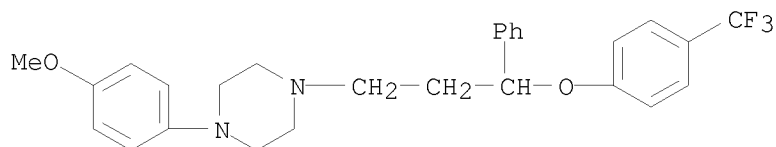
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RN 328248-21-1 CAPLUS

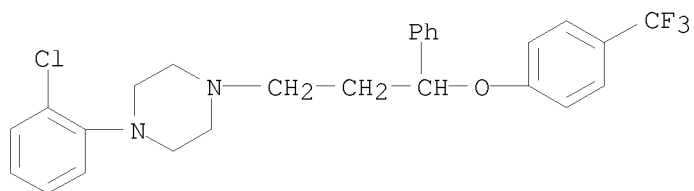
CN Piperazine, 1-(4-methoxyphenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)



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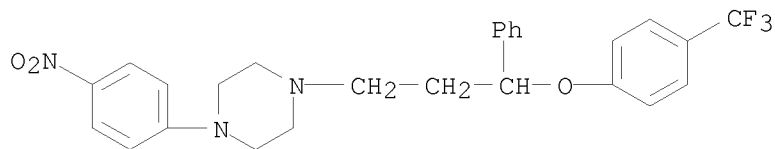
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CN Piperazine, 1-(2-chlorophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)



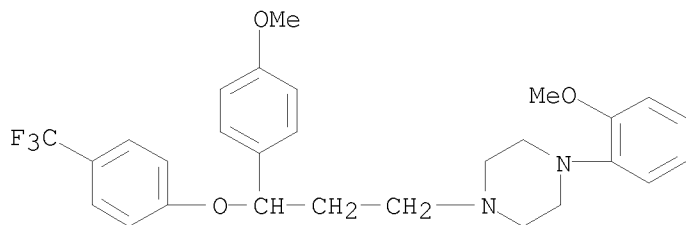
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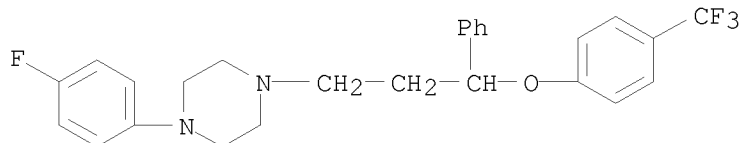
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CN Piperazine, 1-(2-methoxyphenyl)-4-[3-(4-methoxyphenyl)-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)



RN 753439-74-6 CAPLUS

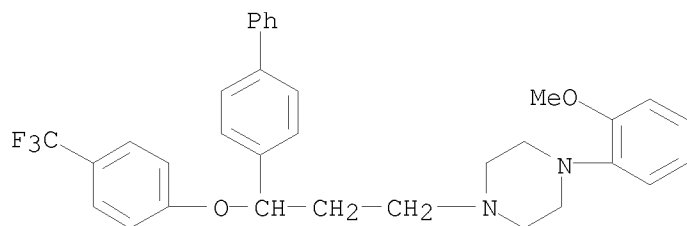
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RN 767277-20-3 CAPLUS

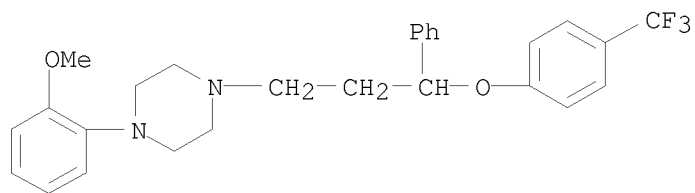
CN Piperazine, 1-[3-[1,1'-biphenyl]-4-yl-3-[4-(trifluoromethyl)phenoxy]propyl]-4-(2-methoxyphenyl)- (CA INDEX NAME)

10/513699



RN 777843-82-0 CAPLUS

CN Piperazine, 1-(2-methoxyphenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)



REFERENCE COUNT:

31

THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:767635 CAPLUS

DOCUMENT NUMBER: 149:324283

TITLE: Quantitative structure-affinity relationship of 5-HT1A receptor ligands by the classification tree method

AUTHOR(S): Kuz'min, V. E.; Polischuk, P. G.; Artemenko, A. G.; Makan, S. Yu.; Andronati, S. A.

CORPORATE SOURCE: A.V. Bogatsky Physical-Chemical Institute, National Academy of Sciences of Ukraine, Odessa, Ukraine

SOURCE: SAR and QSAR in Environmental Research (2008), 19(3-4), 213-244

CODEN: SQERED; ISSN: 1062-936X

PUBLISHER: Taylor & Francis Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The influence of mol. structure of 346 ligands on their affinity for 5-HT1A receptors was investigated. It was shown that the effectiveness of the proposed novel approach for interpretation of decision tree models compared favorably with the PLS method. In the context of the proposed approach, mol. fragments and their values of the relative influence on the affinity for 5-HT1A receptors were defined.

IT 328248-15-3 328248-21-1 328248-24-4

328248-30-2 328248-36-8 753439-74-6

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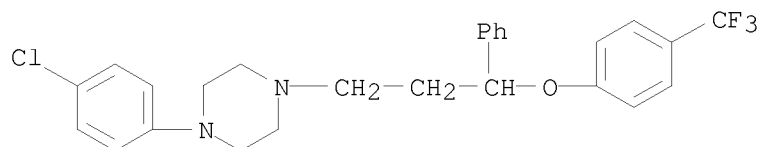
RL: ANT (Analyte); BSU (Biological study, unclassified); PRP (Properties);

ANST (Analytical study); BIOL (Biological study)

(quant. structure-affinity relationship of 5-HT1A receptor ligands by the classification tree method)

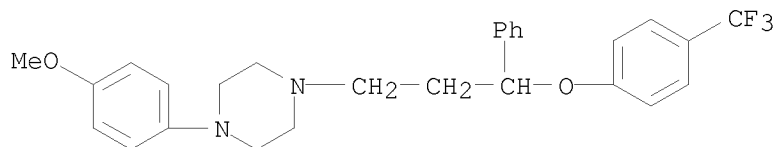
RN 328248-15-3 CAPLUS

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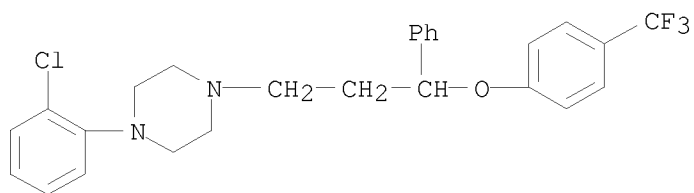
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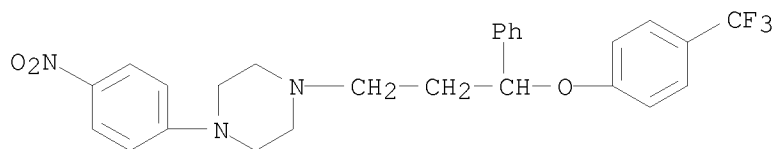
CN Piperazine, 1-(2-chlorophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

10/513699



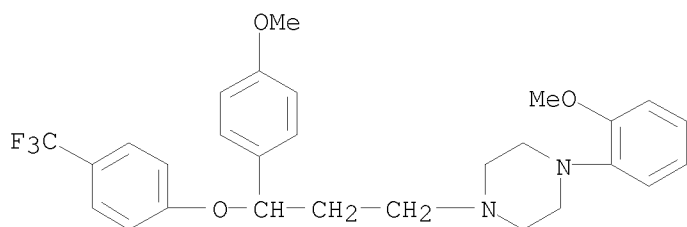
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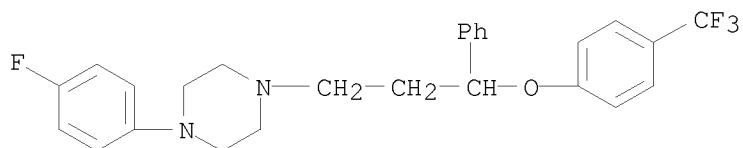
RN 328248-36-8 CAPLUS

CN Piperazine, 1-(2-methoxyphenyl)-4-[3-(4-methoxyphenyl)-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)



RN 753439-74-6 CAPLUS

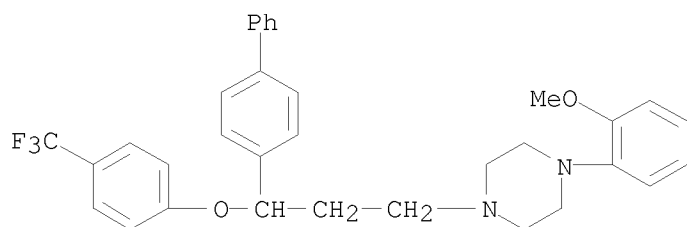
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RN 767277-20-3 CAPLUS

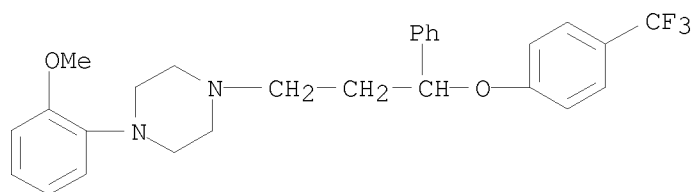
CN Piperazine, 1-[3-[1,1'-biphenyl]-4-yl-3-[4-(trifluoromethyl)phenoxy]propyl]-4-(2-methoxyphenyl)- (CA INDEX NAME)

10/513699



RN 777843-82-0 CAPLUS

CN Piperazine, 1-(2-methoxyphenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)



REFERENCE COUNT:

25

THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:232006 CAPLUS

DOCUMENT NUMBER: 148:440268

TITLE: A chemometric study of the 5-HT_{1A} receptor affinities presented by arylpiperazine compounds

AUTHOR(S): Weber, Karen C.; da Silva, Alberico B. F.

CORPORATE SOURCE: Instituto de Quimica de Sao Carlos, Universidade de Sao Paulo, Sao Carlos, 13566-590, Brazil

SOURCE: European Journal of Medicinal Chemistry (2008), 43(2), 364-372

CODEN: EJMCA5; ISSN: 0223-5234

PUBLISHER: Elsevier Masson SAS

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Arylpiperazine compds. are promising 5-HT_{1A} receptor ligands that can contribute for accelerating the onset of therapeutic effect of selective serotonin reuptake inhibitors. In the present work, the chemometric methods HCA, PCA, KNN, SIMCA and PLS were employed in order to obtain SAR and QSAR models relating the structures of arylpiperazine compds. to their 5-HT_{1A} receptor affinities. A training set of 52 compds. was used to construct the models and the best ones were obtained with nine topol. descriptors. The classification and regression models were externally validated by means of predictions for a test set of 14 compds. and have presented good quality, as verified by the correctness of classifications, in the case of pattern recognition studies, and by the high correlation coeffs. ($q^2 = 0.76$, $r^2 = 0.83$) and small prediction errors for the PLS regression. Since the results are in good agreement with previous SAR studies, we can suggest that these findings can help in the search for 5-HT_{1A} receptor ligands that are able to improve antidepressant treatment.

IT 328248-21-1 328248-24-4 328248-30-2

328248-36-8 753439-74-6 767277-20-3

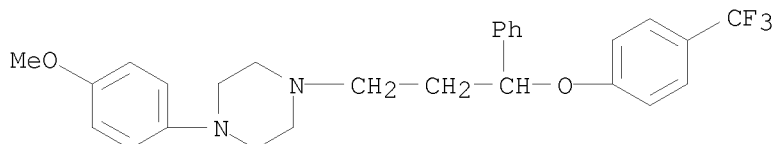
777843-82-0

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(chemometric study of 5-HT_{1A} receptor affinities presented by arylpiperazine compds. as possible antidepressants)

RN 328248-21-1 CAPLUS

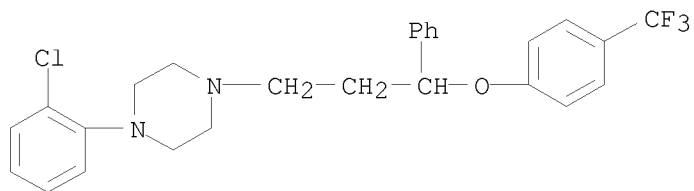
CN Piperazine, 1-(4-methoxyphenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)



RN 328248-24-4 CAPLUS

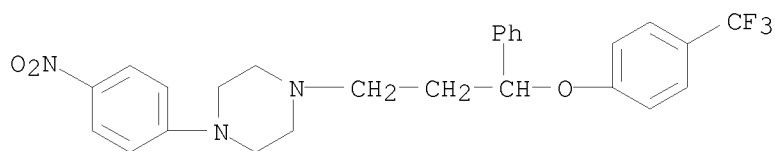
CN Piperazine, 1-(2-chlorophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

10/513699



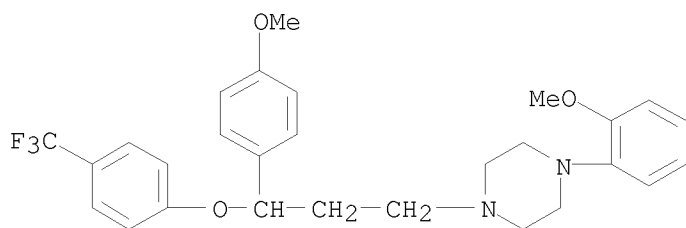
RN 328248-30-2 CAPLUS

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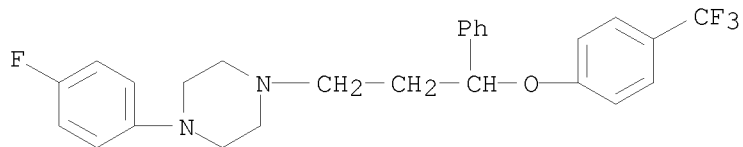
RN 328248-36-8 CAPLUS

CN Piperazine, 1-(2-methoxyphenyl)-4-[3-(4-methoxyphenyl)-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)



RN 753439-74-6 CAPLUS

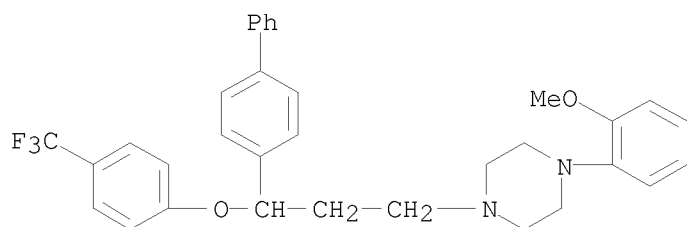
CN Piperazine, 1-(4-fluorophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)



RN 767277-20-3 CAPLUS

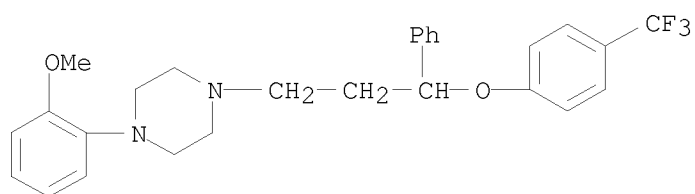
CN Piperazine, 1-[3-[1,1'-biphenyl]-4-yl-3-[4-(trifluoromethyl)phenoxy]propyl]-4-(2-methoxyphenyl)- (CA INDEX NAME)

10/513699



RN 777843-82-0 CAPLUS

CN Piperazine, 1-(2-methoxyphenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)



REFERENCE COUNT:

35

THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/513699

L3 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:847178 CAPLUS

DOCUMENT NUMBER: 145:410017

TITLE: Synthesis of benzenepropanamine analogues as non-detergent spermicides with antitrichomonas and anticandida activities

AUTHOR(S): Kumar, S. T. V. S. Kiran; Sharma, Vishnu Lal; Kumar, Manish; Shukla, Praveen Kumar; Tiwari, Pratibha; Jain, Rajeev Kumar; Maikhuri, Jagdamba Prasad; Singh, Divya; Gupta, Gopal; Singh, Man Mohan

CORPORATE SOURCE: Division of Medicinal and Process Chemistry, Central Drug Research Institute, Lucknow, 226001, India

SOURCE: Bioorganic & Medicinal Chemistry (2006), 14(19), 6593-6600

CODEN: BMECEP; ISSN: 0968-0896

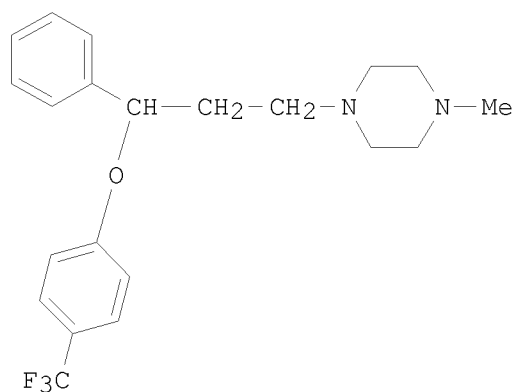
PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 145:410017

GI



I

AB Fifteen analogs of benzenepropanamine were synthesized and evaluated for their spermicidal as well as microbicidal activities against *Trichomonas vaginalis* and *Candida* spp. Several compds. showed appreciable dual activities. Compound I exhibited good spermicidal (MEC = 0.1%) along with substantial anticandidal (MIC = 0.05%) activities, while compds. 3 and 6 showed significant microbicidal activities with moderate spermicidal effect. The SAR of these structures is being discussed here in this communication. It is concluded that suitable structural modifications in this class of compds. at 3-amino position may lead to a potent spermicide with associated microbicidal activity.

IT 911811-08-0P 911811-09-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

<12/04/2007>

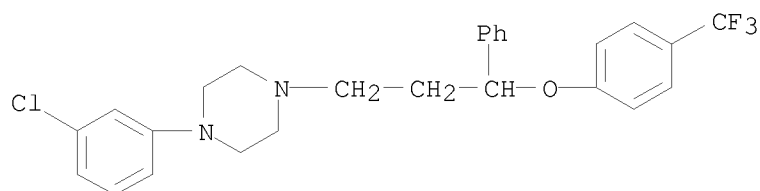
Erich Leese

10/513699

(benzenepropanamine analogs as non-detergent spermicides with
antitrichomonas and anticandida activities)

RN 911811-08-0 CAPLUS

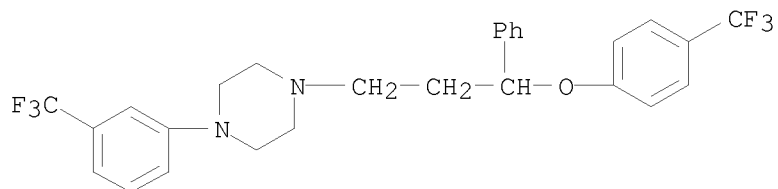
CN Piperazine, 1-(3-chlorophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 911811-09-1 CAPLUS

CN Piperazine, 1-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-4-[3-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

REFERENCE COUNT:

34

THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1143268 CAPLUS

DOCUMENT NUMBER: 144:63874

TITLE: Design and synthesis of long-chain arylpiperazines with mixed affinity for serotonin transporter (SERT) and 5-HT1A receptor

AUTHOR(S): Perrone, Roberto; Berardi, Francesco; Colabufo, Nicola A.; Lacivita, Enza; Larizza, Carmela; Leopoldo, Marcello; Tortorella, Vincenzo

CORPORATE SOURCE: Dipartimento Farmaco-Chimico, Universita degli Studi di Bari, Bari, 70125, Italy

SOURCE: Journal of Pharmacy and Pharmacology (2005), 57(10), 1319-1327

CODEN: JPPMAB; ISSN: 0022-3573

PUBLISHER: Pharmaceutical Press

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:63874

AB A new generation of antidepressant agents could be represented by compds. with mixed activity as serotonin transporter (SERT) inhibitors and 5-HT1A receptor antagonists. We report here on the synthesis and evaluation of SERT and 5-HT1A receptor affinity of long-chain arylpiperazines obtained either by modifying 6-nitroquipazine into a long-chain arylpiperazine or by inserting a modified 6-nitroquipazine moiety or other structures endowed with SERT affinity into a long-chain arylpiperazine with 5-HT1A affinity. Among the compds. studied, 2-[4-(2-methoxyphenyl)piperazin-1-yl]-N-(6-nitro-2-quinolyl)ethylamine (21) and 1-(5-bromo-1,2,3,4-tetrahydronaphthalen-1-yl)-3-[4-(2-methoxyphenyl)-piperazin-1-yl]-1-propanone (24) showed good affinity values for SERT and 5-HT1A receptors (SERT: K_i (inhibition constant) = 71.8 and 62.8 nM; 5-HT1A K_i = 14.2 and 0.82 nM, resp.).

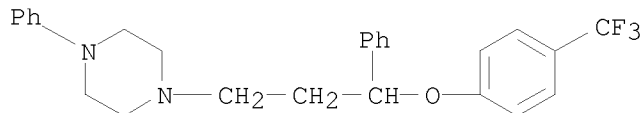
IT 871739-17-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(aryl piperazines with mixed affinity for serotonin transporter and 5-HT1A receptor)

RN 871739-17-2 CAPLUS

CN Piperazine, 1-phenyl-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

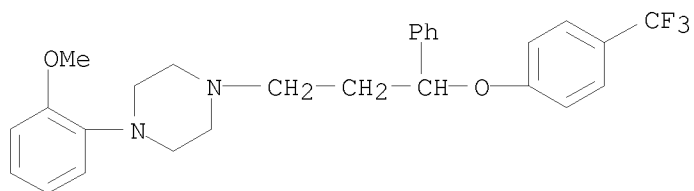
IT 777843-82-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(aryl piperazines with mixed affinity for serotonin transporter and

10/513699

5-HT1A receptor)
RN 777843-82-0 CAPLUS
CN Piperazine, 1-(2-methoxyphenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/513699

L3 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1103625 CAPLUS

DOCUMENT NUMBER: 143:387060

TITLE: Preparation of piperazine or piperidine derivatives as serotonin reuptake inhibitors

INVENTOR(S): Pinney, Kevin G.; Miranda, Maria Graciela; Dorsey, James Michael

PATENT ASSIGNEE(S): Baylor University, USA

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

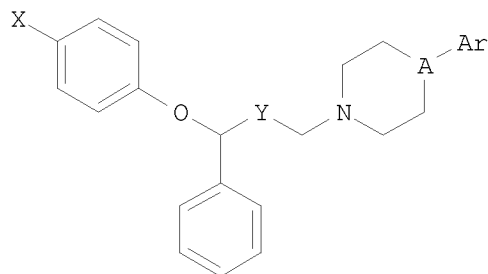
DOCUMENT TYPE: Patent

LANGUAGE: English

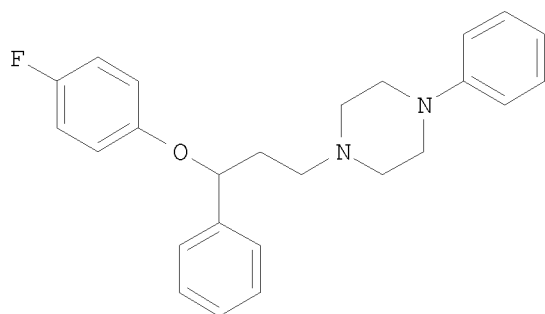
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005094896	A2	20051013	WO 2005-US10356	20050328
WO 2005094896	A3	20070503		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AP, EA, EP, OA			
EP 1732610	A2	20061220	EP 2005-730778	20050328
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU			
US 20080132514	A1	20080605	US 2007-594105	20070921
PRIORITY APPLN. INFO.:			US 2004-557069P	P 20040326
			WO 2005-US10356	W 20050328
OTHER SOURCE(S):	CASREACT 143:387060; MARPAT 143:387060			
GI				



I



II

AB Title compds. I [X = F or CF₃; Y = (CH₂)_n; n = 0-1; A = N or C; Ar = aryl] and their pharmaceutically acceptable salts, are prepared and disclosed as serotonin reuptake inhibitors. Thus, e.g., II was prepared by reduction of 1-phenyl-3-(4-phenyl-piperazin-1-yl)-propan-1-ol (preparation given) using sodium borohydride followed by coupling with 4-fluorophenol. The ability of I to inhibit [3H]5-HT uptake was evaluated using liquid scintillation spectroscopy and it was revealed that selected compds. of the invention possessed IC₅₀ values in the range of 1.45 up to 9.56 μM. I as serotonin reuptake inhibitors should prove useful in the treatment of depression. Pharmaceutical composition comprising I are disclosed.

IT 158545-85-8P 691872-56-7P 691872-58-9P
691872-60-3P 691872-62-5P 691872-64-7P
691872-66-9P 866548-21-2P 866548-22-3P
866548-23-4P 866548-24-5P 866548-25-6P
866548-26-7P 866548-27-8P 866548-28-9P
866548-29-0P 866548-30-3P 866548-31-4P
866548-36-9P 866548-37-0P

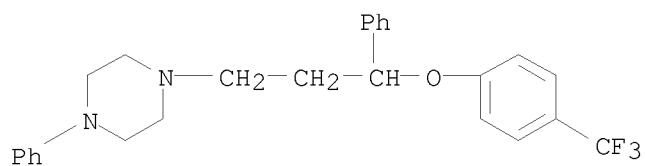
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperazine or piperidine derivs. as serotonin reuptake inhibitors)

RN 158545-85-8 CAPLUS

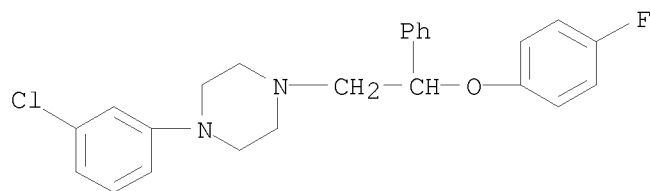
CN Piperazine, 1-phenyl-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-
(CA INDEX NAME)

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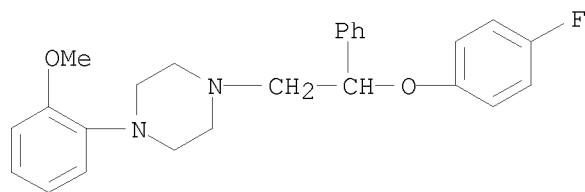
RN 691872-56-7 CAPLUS

CN Piperazine, 1-(3-chlorophenyl)-4-[2-(4-fluorophenoxy)-2-phenylethyl]- (CA INDEX NAME)



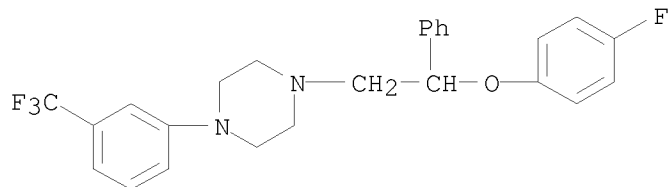
RN 691872-58-9 CAPLUS

CN Piperazine, 1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-(2-methoxyphenyl)- (CA INDEX NAME)



RN 691872-60-3 CAPLUS

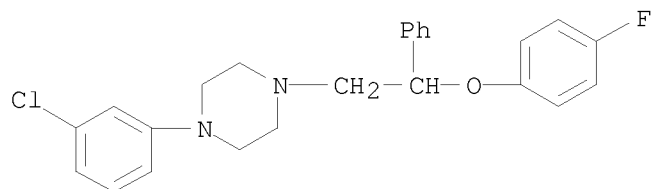
CN Piperazine, 1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 691872-62-5 CAPLUS

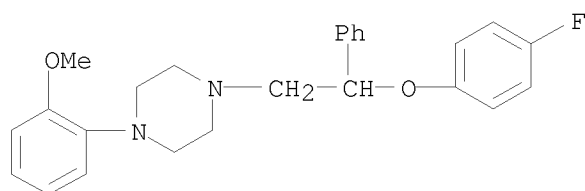
CN Piperazine, 1-(3-chlorophenyl)-4-[2-(4-fluorophenoxy)-2-phenylethyl]-, hydrochloride (1:1) (CA INDEX NAME)

10/513699



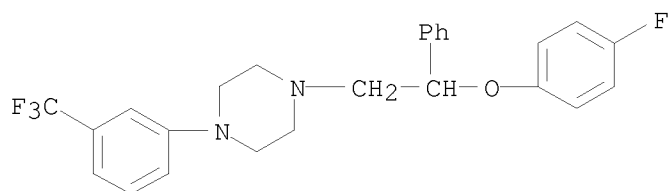
● HCl

RN 691872-64-7 CAPLUS
CN Piperazine, 1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-(2-methoxyphenyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

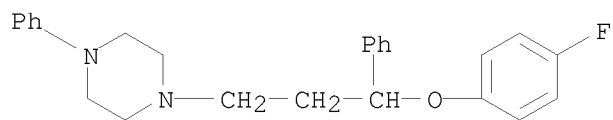
RN 691872-66-9 CAPLUS
CN Piperazine, 1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-[3-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



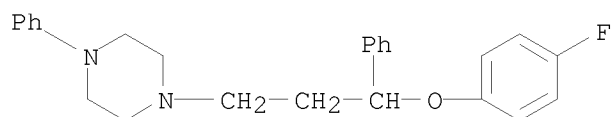
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RN 866548-21-2 CAPLUS
CN Piperazine, 1-[3-(4-fluorophenoxy)-3-phenylpropyl]-4-phenyl- (CA INDEX NAME)

10/513699

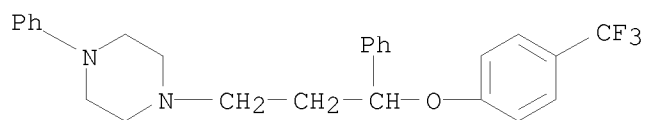


RN 866548-22-3 CAPLUS
CN Piperazine, 1-[3-(4-fluorophenoxy)-3-phenylpropyl]-4-phenyl-,
hydrochloride (1:1) (CA INDEX NAME)



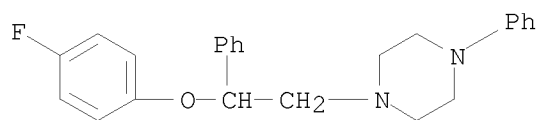
● HCl

RN 866548-23-4 CAPLUS
CN Piperazine, 1-phenyl-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-,
hydrochloride (1:1) (CA INDEX NAME)



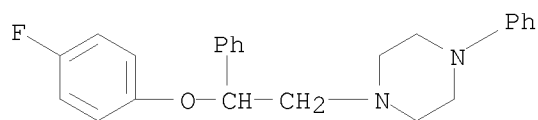
● HCl

RN 866548-24-5 CAPLUS
CN Piperazine, 1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-phenyl- (CA INDEX
NAME)



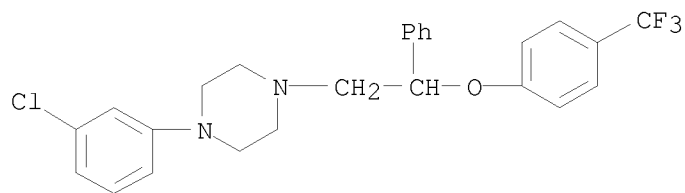
RN 866548-25-6 CAPLUS
CN Piperazine, 1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-phenyl-, hydrochloride
(1:1) (CA INDEX NAME)

10/513699

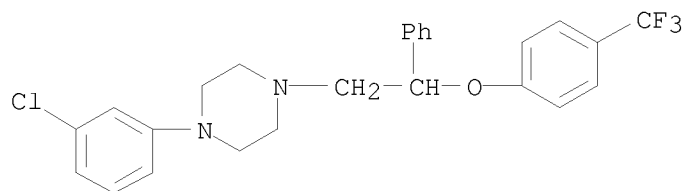


● HCl

RN 866548-26-7 CAPLUS
CN Piperazine, 1-(3-chlorophenyl)-4-[2-phenyl-2-[4-(trifluoromethyl)phenoxy]ethyl]- (CA INDEX NAME)

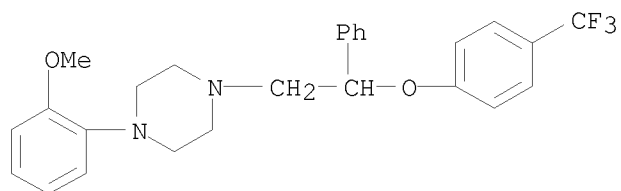


RN 866548-27-8 CAPLUS
CN Piperazine, 1-(3-chlorophenyl)-4-[2-phenyl-2-[4-(trifluoromethyl)phenoxy]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

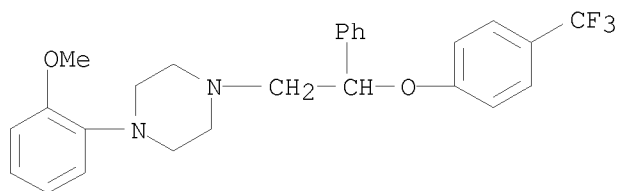
RN 866548-28-9 CAPLUS
CN Piperazine, 1-(2-methoxyphenyl)-4-[2-phenyl-2-[4-(trifluoromethyl)phenoxy]ethyl]- (CA INDEX NAME)



10/513699

RN 866548-29-0 CAPLUS

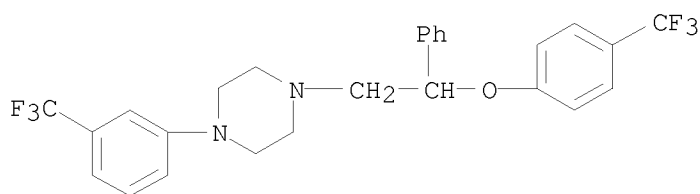
CN Piperazine, 1-(2-methoxyphenyl)-4-[2-phenyl-2-[4-(trifluoromethyl)phenoxy]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

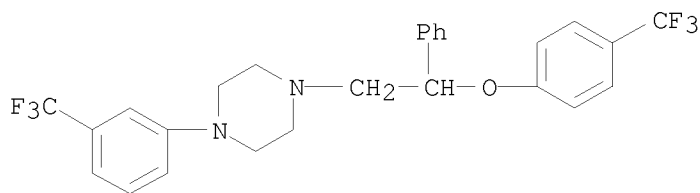
RN 866548-30-3 CAPLUS

CN Piperazine, 1-[2-phenyl-2-[4-(trifluoromethyl)phenoxy]ethyl]-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 866548-31-4 CAPLUS

CN Piperazine, 1-[2-phenyl-2-[4-(trifluoromethyl)phenoxy]ethyl]-4-[3-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

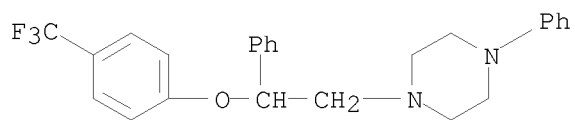
RN 866548-36-9 CAPLUS

CN Piperazine, 1-phenyl-4-[2-phenyl-2-[4-(trifluoromethyl)phenoxy]ethyl]- (CA INDEX NAME)

<12/04/2007>

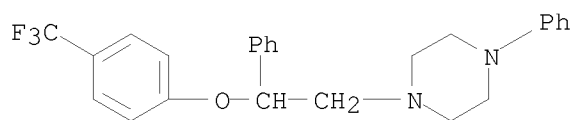
Erich Leese

10/513699



RN 866548-37-0 CAPLUS

CN Piperazine, 1-phenyl-4-[2-phenyl-2-[4-(trifluoromethyl)phenoxy]ethyl]-,
hydrochloride (1:1) (CA INDEX NAME)



● HCl

10/513699

L3 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:344622 CAPLUS
DOCUMENT NUMBER: 140:357212
TITLE: Preparation of substituted anilinic piperidines as MCH
selective antagonists
INVENTOR(S): Marzabadi, Mohammad R.; Wetzell, John; Deleon, John E.;
Jiang, Yu; Chen, Chien-An; Lu, Kai
PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA
SOURCE: U.S., 394 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6727264	B1	20040427	US 2002-188434	20020703
US 20040073036	A1	20040415	US 2003-345063	20030114
US 20060041139	A9	20060223		
US 7105544	B2	20060912		
US 7067534	B1	20060627	US 2003-719358	20031121
US 20040186103	A1	20040923	US 2004-753057	20040106
US 20060084649	A9	20060420		
US 7199135	B2	20070403		
US 20060217418	A1	20060928	US 2005-541991	20050705
US 20070043080	A1	20070222	US 2005-214968	20050830
PRIORITY APPLN. INFO.:			US 2001-303091P	P 20010705
			US 2002-346997P	P 20020109
			US 2002-188434	A2 20020703
			WO 2002-US21063	A2 20020703
			US 2003-345063	A2 20030114
			US 2003-719358	A1 20031121
			WO 2004-US175	W 20040106
OTHER SOURCE(S):		MARPAT 140:357212		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I (R1 = H, alkyl, aryl, etc.; R2 = alkyl, cyclopropyl; R3 = (un)substituted (hetero)aryl; A = H, F, Cl, Br, CN, etc.; X = O, NH; n = 0-5), II (W = III, IV (wherein R1 = H, Me, Et; X = O, NR3, CO, a bond; Y = H, (hetero)aryl; R3 = H, (hetero)aryl); R2 and A as above)] which are selective antagonists for melanin concentrating hormone-1 (MCH1) receptors, were prepared Thus, reacting 2-methyl-N-[3-(4-piperidinyl)phenyl]propanamide (preparation given) with 4-chloro-3',4'-dimethylbutyrophenone in the presence of K2CO3 and NaI in DMF afforded 80% V which showed Ki of 3.9 nM in cloned rat MCH1 binding assay.

IT 387826-65-5P 387826-66-6P 387826-67-7P
387826-68-8P 387826-69-9P 387826-73-5P
387826-74-6P 387826-79-1P 387826-80-4P
387826-81-5P 387826-82-6P 387826-85-9P
487049-74-1P 487049-80-9P 487049-81-0P

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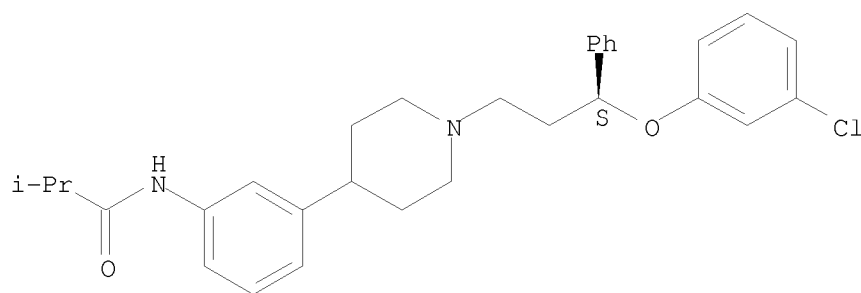
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted anilinic piperidines as MCH selective antagonists)

RN 387826-65-5 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(3-chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

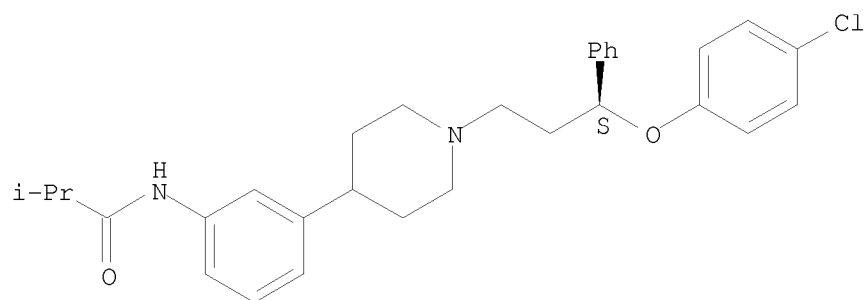


RN 387826-66-6 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(4-chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

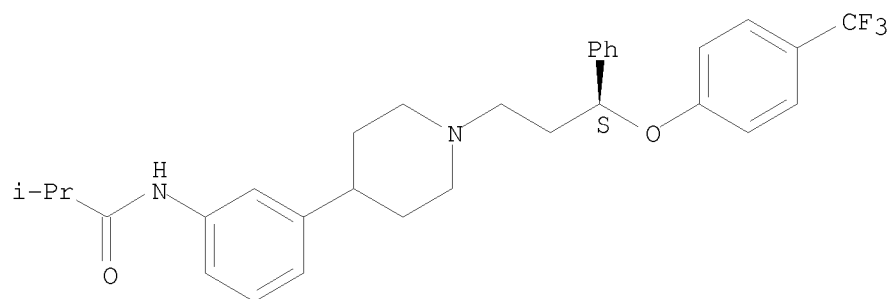
10/513699



RN 387826-67-7 CAPLUS

CN Propanamide, 2-methyl-N-[3-[1-[(3S)-3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

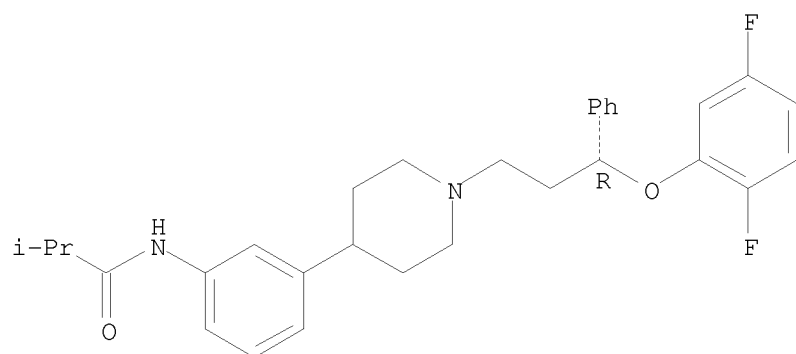
Absolute stereochemistry.



RN 387826-68-8 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(2,5-difluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 387826-69-9 CAPLUS

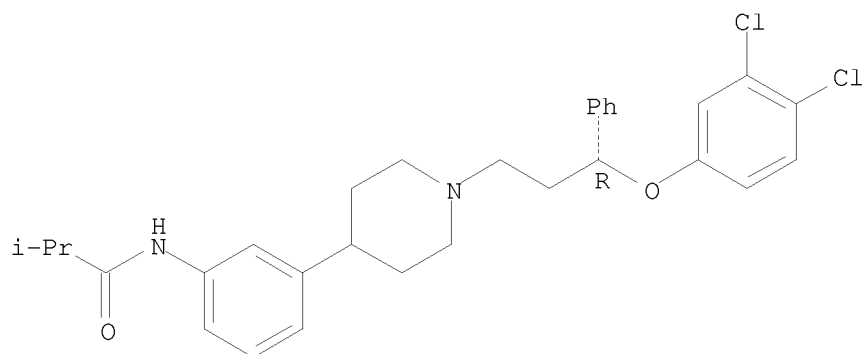
CN Propanamide, N-[3-[1-[(3R)-3-(3,4-dichlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

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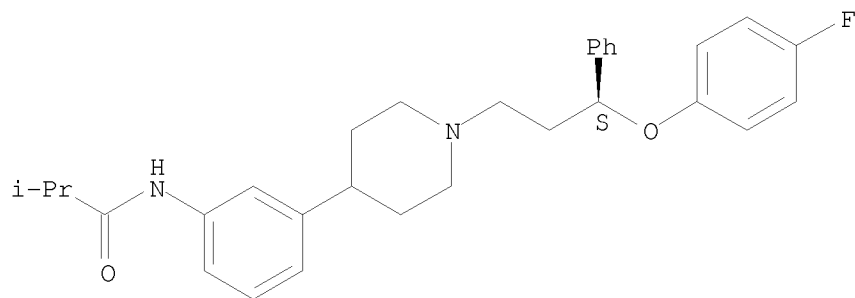
Absolute stereochemistry.



RN 387826-73-5 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(4-fluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

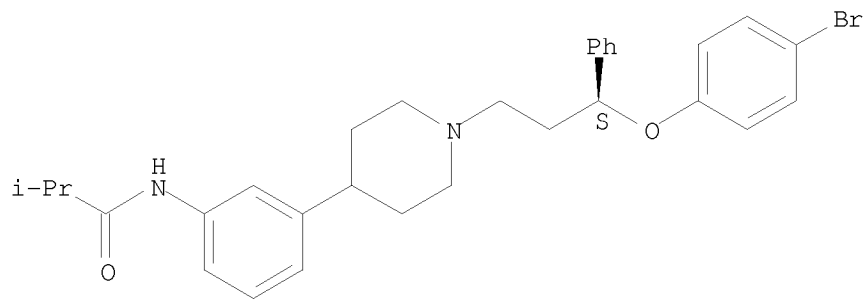
Absolute stereochemistry.



RN 387826-74-6 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(4-bromophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 387826-79-1 CAPLUS

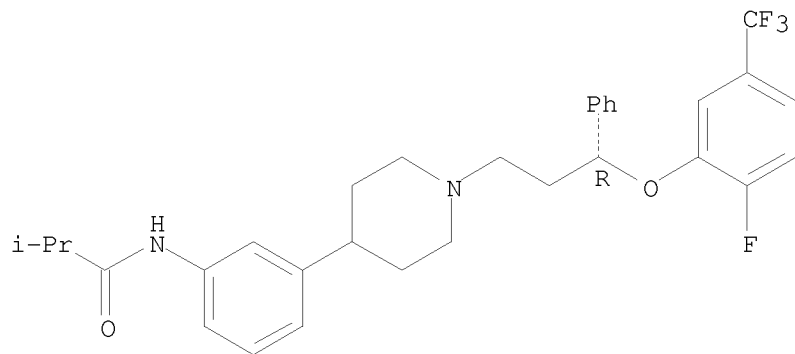
<12/04/2007>

Erich Leese

10/513699

CN Propanamide, N-[3-[1-[(3R)-3-[2-fluoro-5-(trifluoromethyl)phenoxy]-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

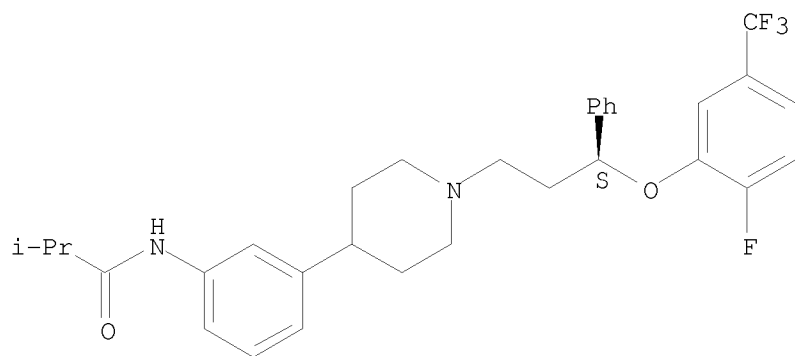
Absolute stereochemistry.



RN 387826-80-4 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-[2-fluoro-5-(trifluoromethyl)phenoxy]-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

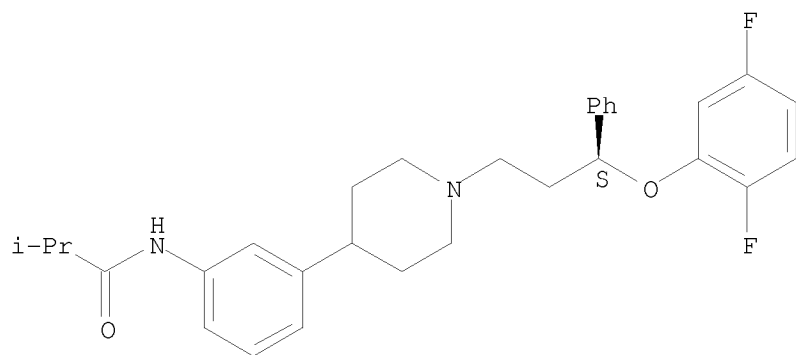


RN 387826-81-5 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(2,5-difluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

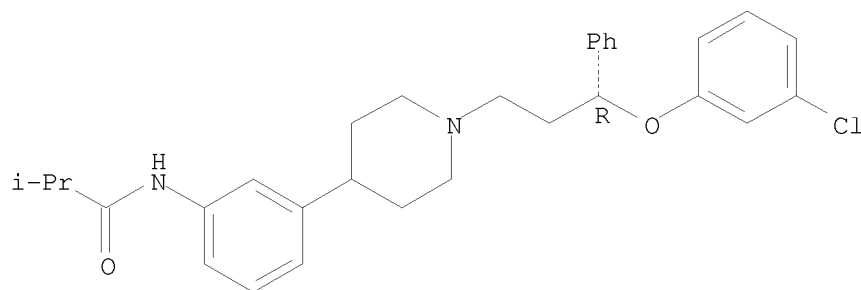
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RN 387826-82-6 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(3-chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

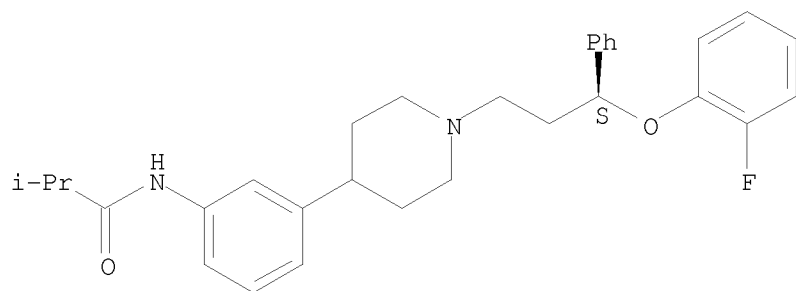
Absolute stereochemistry.



RN 387826-85-9 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(2-fluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



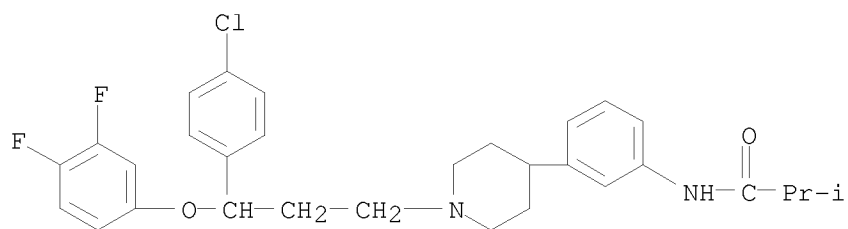
RN 487049-74-1 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(4-chlorophenyl)-3-(3,4-difluorophenoxy)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

<12/04/2007>

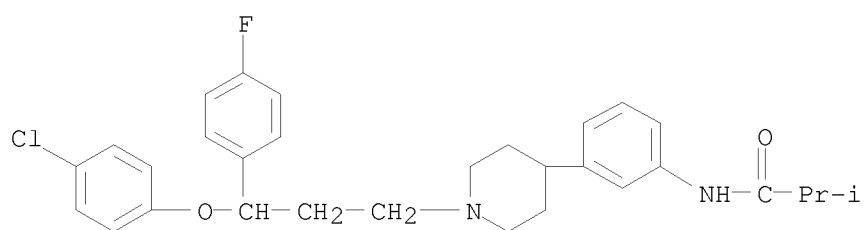
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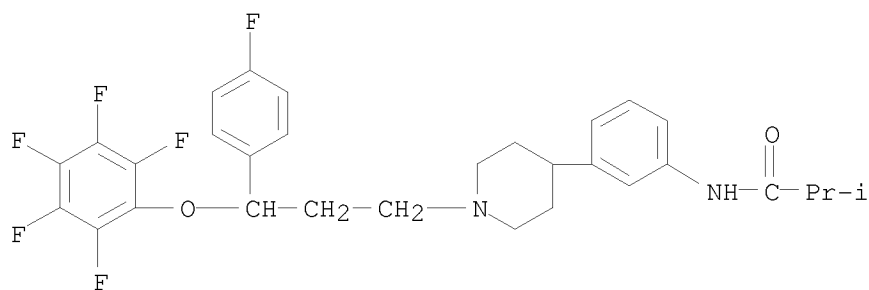
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CN Propanamide, N-[3-[1-[3-(4-chlorophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



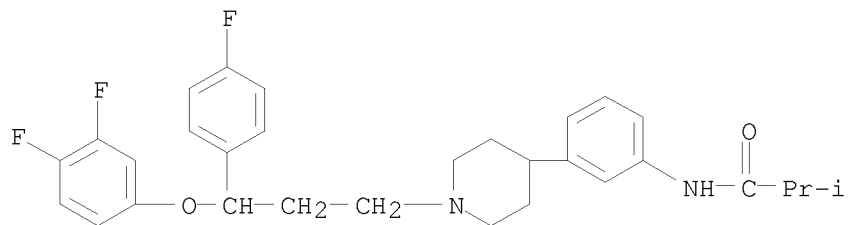
RN 487049-81-0 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-fluorophenyl)-3-(2,3,4,5,6-pentafluorophenoxy)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



RN 487049-83-2 CAPLUS

CN Propanamide, N-[3-[1-[3-(3,4-difluorophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



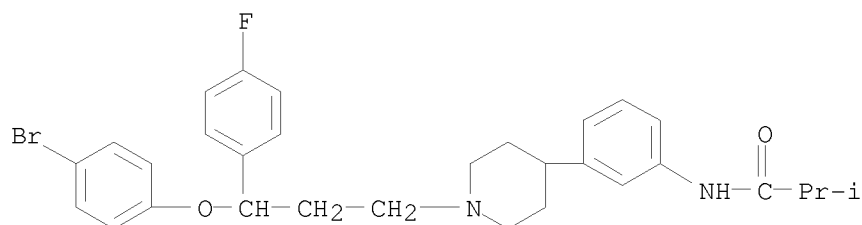
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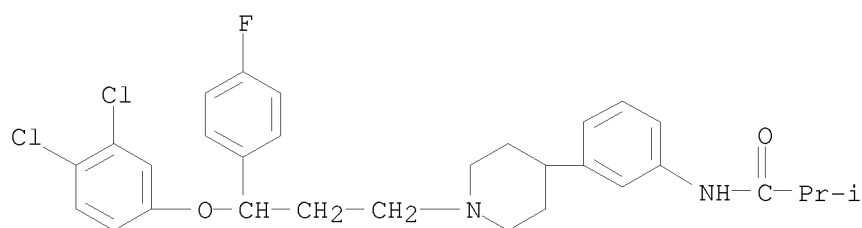
RN 487049-84-3 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-bromophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



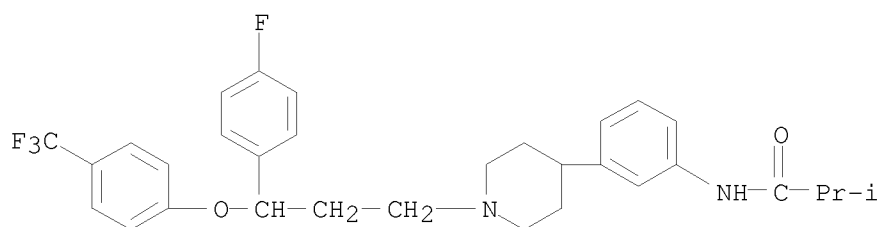
RN 487049-85-4 CAPLUS

CN Propanamide, N-[3-[1-[3-(3,4-dichlorophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



RN 487049-86-5 CAPLUS

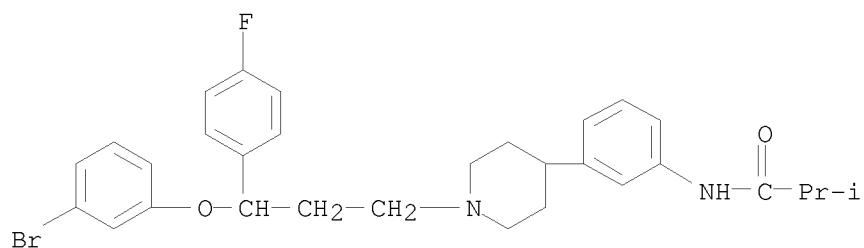
CN Propanamide, N-[3-[1-[3-(4-fluorophenyl)-3-[4-(trifluoromethyl)phenoxy]propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



RN 487049-87-6 CAPLUS

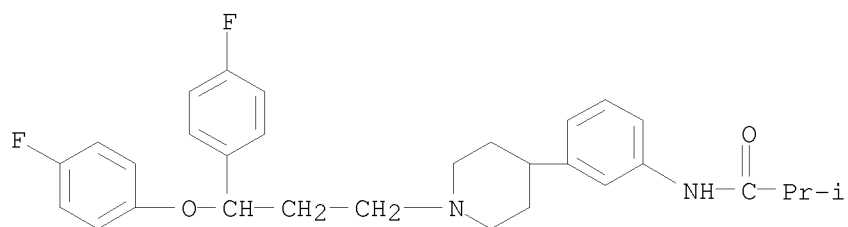
CN Propanamide, N-[3-[1-[3-(3-bromophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

10/513699



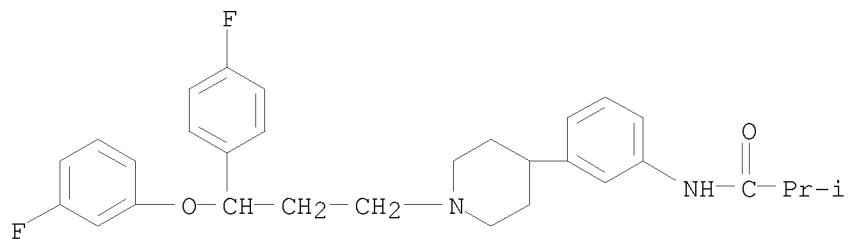
RN 487049-88-7 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-fluorophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



RN 487049-89-8 CAPLUS

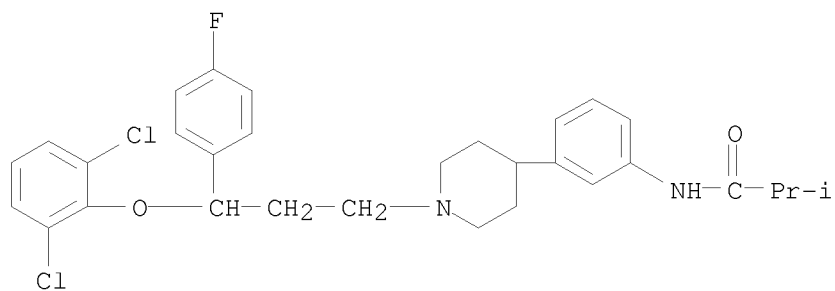
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RN 487049-90-1 CAPLUS

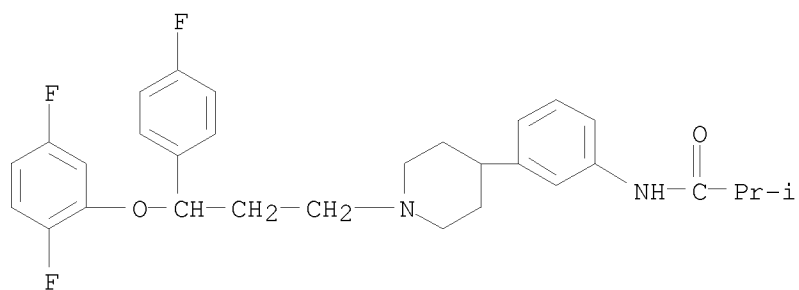
CN Propanamide, N-[3-[1-[3-(2,6-dichlorophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

10/513699



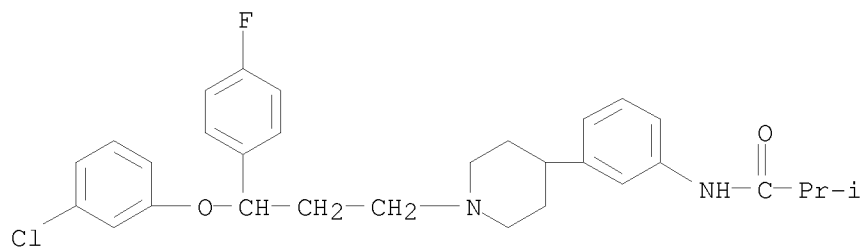
RN 487049-91-2 CAPLUS

CN Propanamide, N-[3-[1-[3-(2,5-difluorophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



RN 487049-92-3 CAPLUS

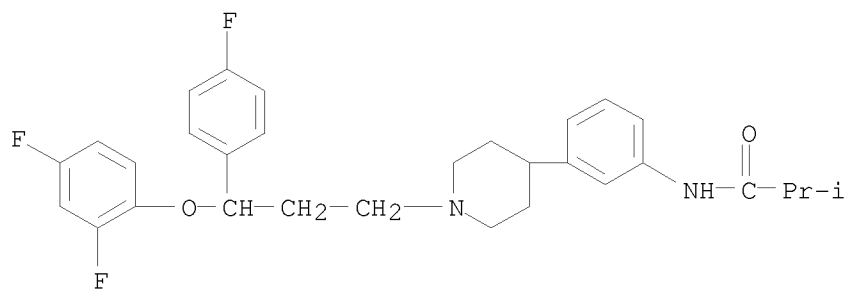
CN Propanamide, N-[3-[1-[3-(3-chlorophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



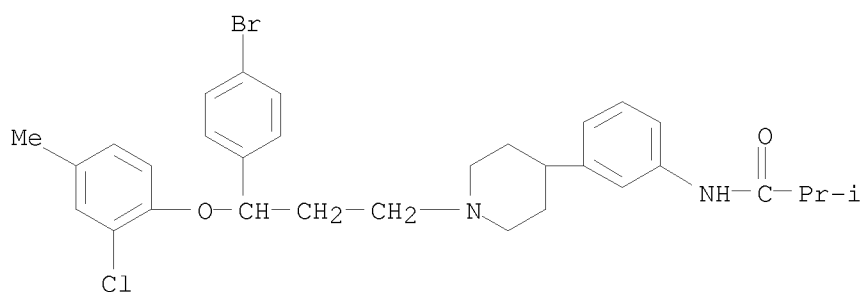
RN 487049-95-6 CAPLUS

CN Propanamide, N-[3-[1-[3-(2,4-difluorophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

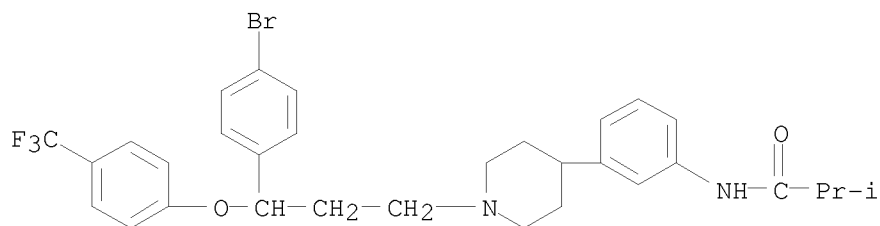
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RN 487049-99-0 CAPLUS
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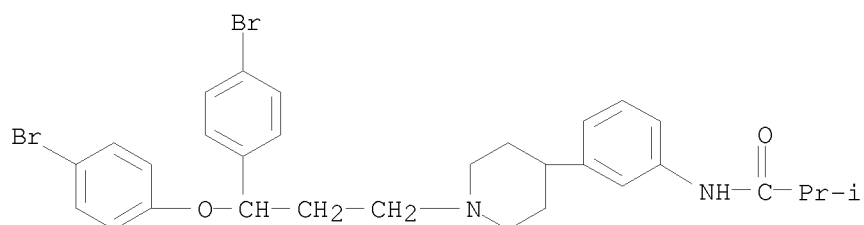


RN 487050-01-1 CAPLUS
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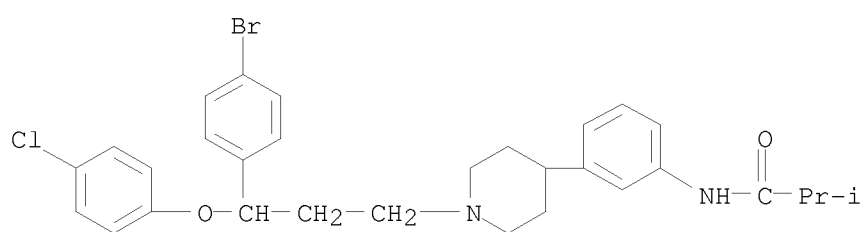
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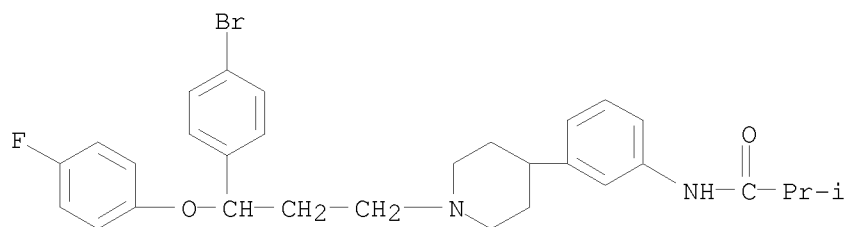
RN 487050-08-8 CAPLUS

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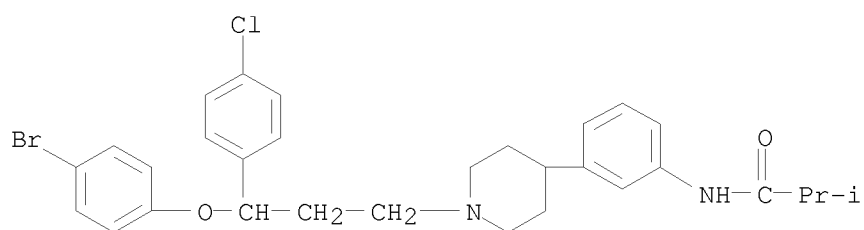
RN 487050-09-9 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-bromophenyl)-3-(4-fluorophenoxy)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



RN 487050-20-4 CAPLUS

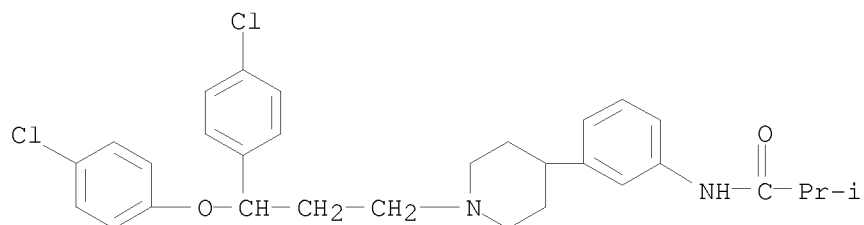
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RN 487050-22-6 CAPLUS

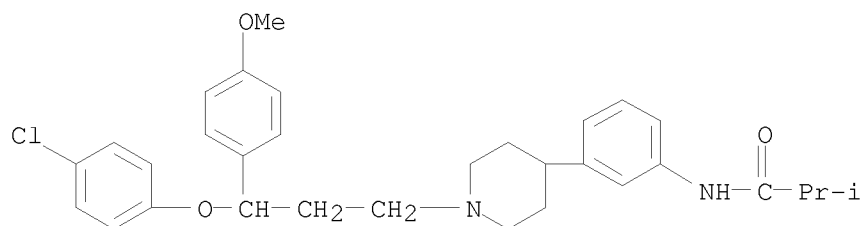
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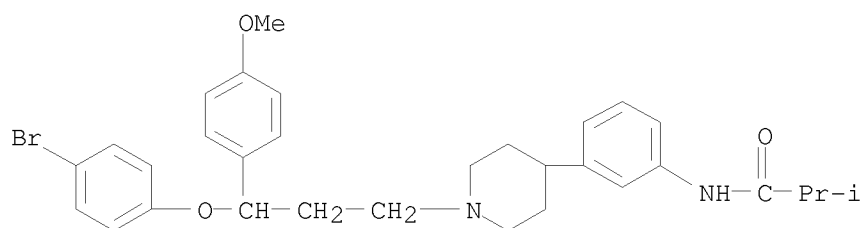
RN 487050-28-2 CAPLUS

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RN 487050-33-9 CAPLUS

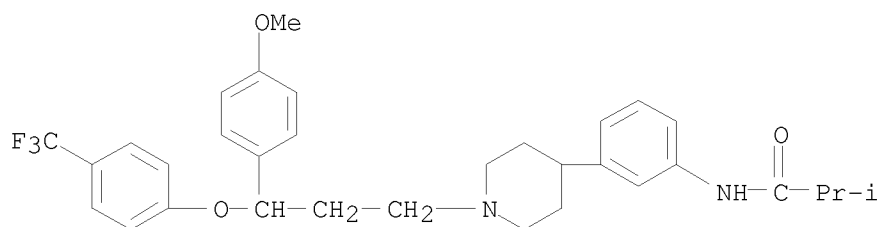
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RN 487050-34-0 CAPLUS

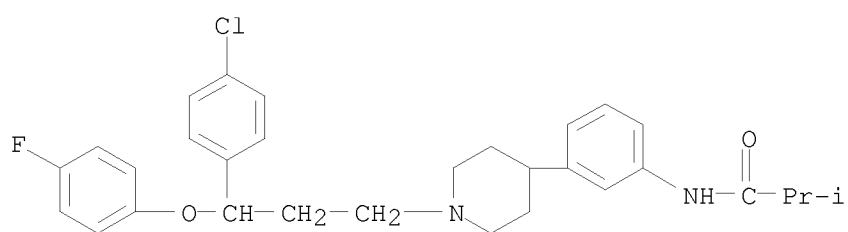
CN Propanamide, N-[3-[1-[3-(4-methoxyphenyl)-3-[4-(trifluoromethyl)phenoxy]propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

10/513699



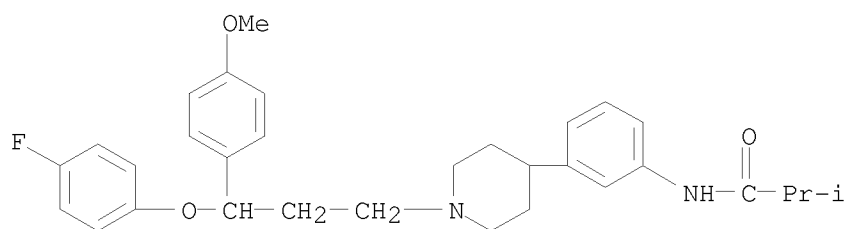
RN 487050-35-1 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-chlorophenyl)-3-(4-fluorophenoxy)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



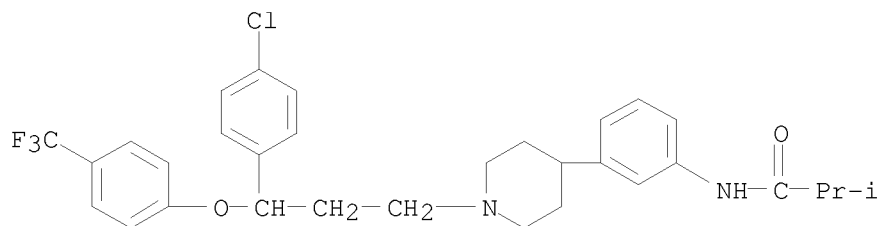
RN 487050-36-2 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-fluorophenoxy)-3-(4-methoxyphenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



RN 487050-39-5 CAPLUS

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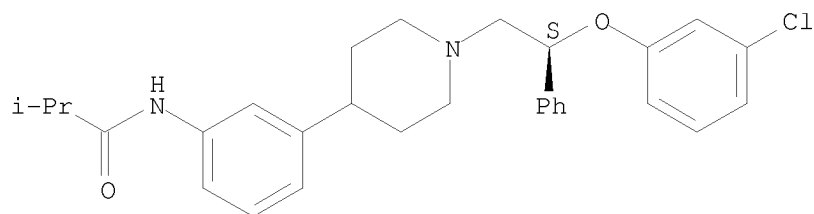


10/513699

RN 487051-81-0 CAPLUS

CN Propanamide, N-[3-[1-[(2S)-2-(3-chlorophenoxy)-2-phenylethyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

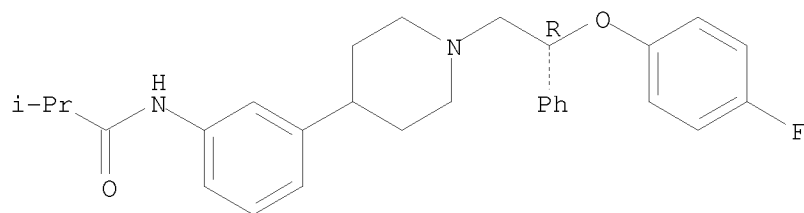
Absolute stereochemistry.



RN 487051-83-2 CAPLUS

CN Propanamide, N-[3-[1-[(2R)-2-(4-fluorophenoxy)-2-phenylethyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

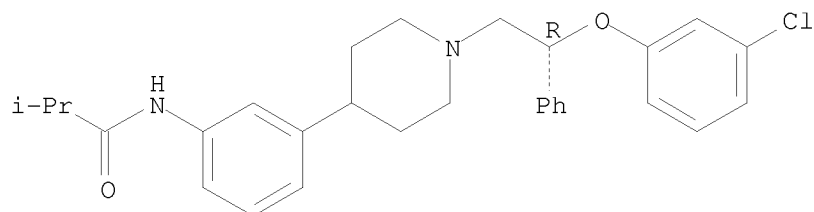
Absolute stereochemistry.



RN 487051-85-4 CAPLUS

CN Propanamide, N-[3-[1-[(2R)-2-(3-chlorophenoxy)-2-phenylethyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

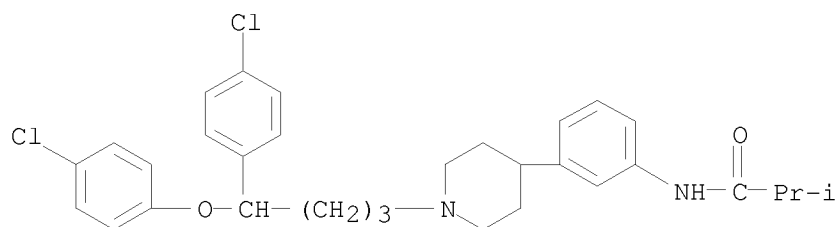
Absolute stereochemistry.



RN 487052-31-3 CAPLUS

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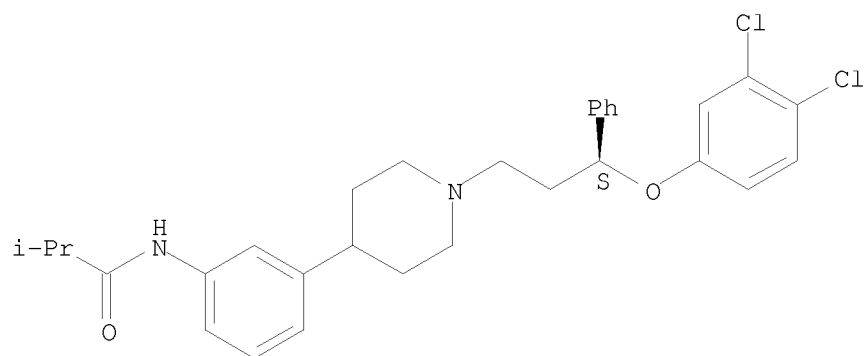
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RN 487056-49-5 CAPLUS

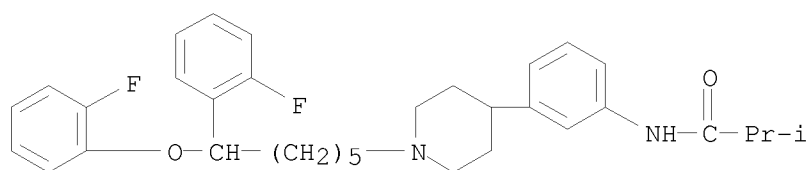
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Absolute stereochemistry.



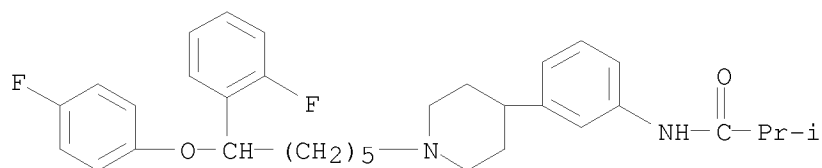
RN 487057-25-0 CAPLUS

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RN 487057-26-1 CAPLUS

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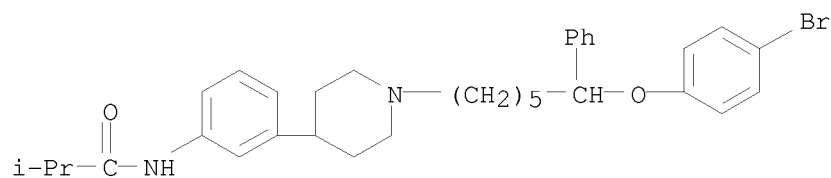
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Erich Leese

10/513699

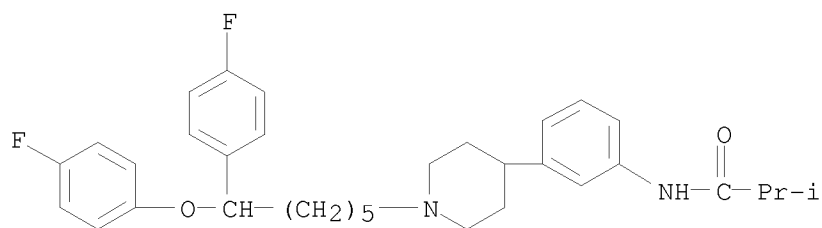
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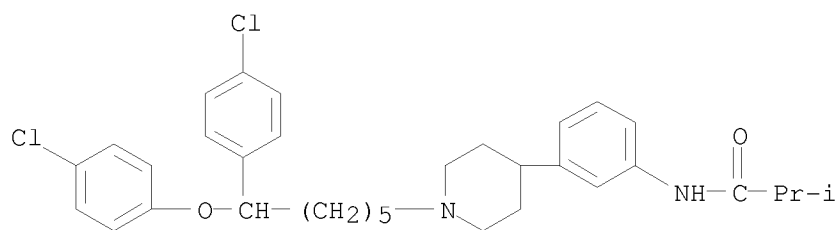
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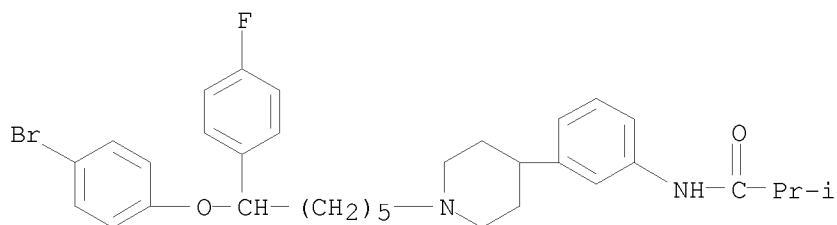
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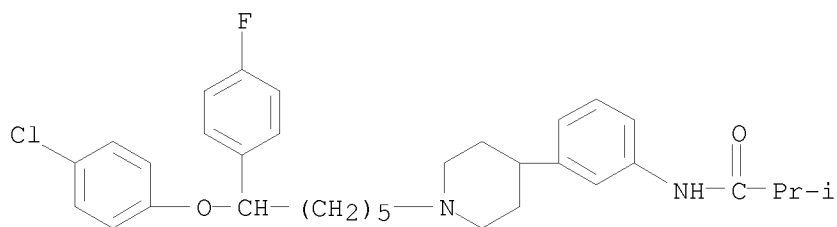
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10/513699



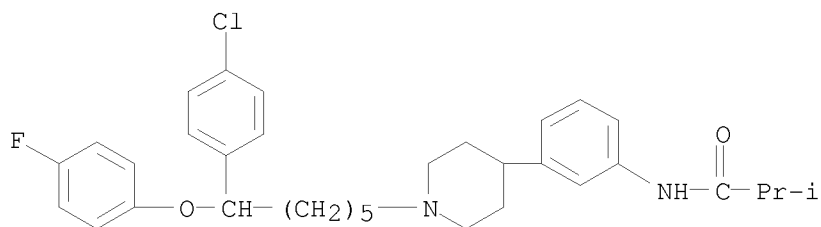
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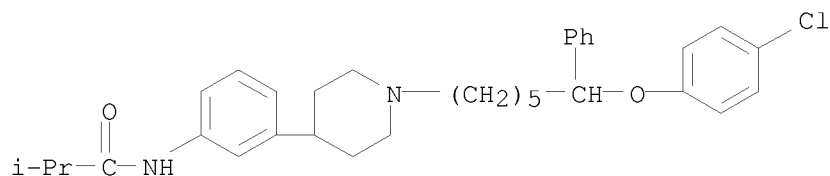
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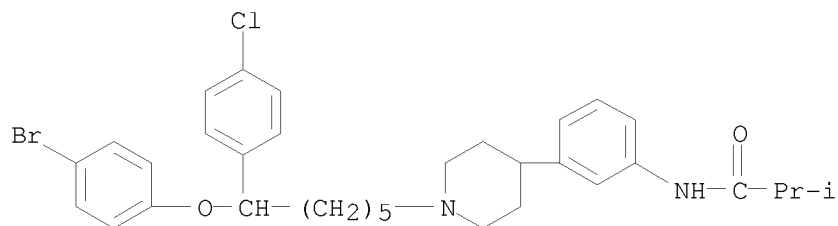
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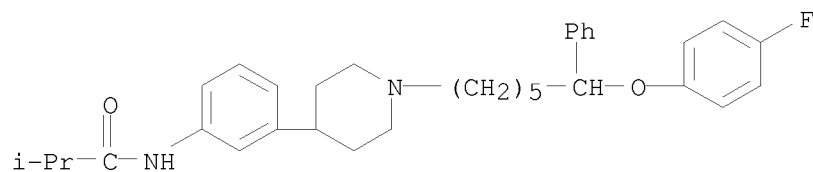
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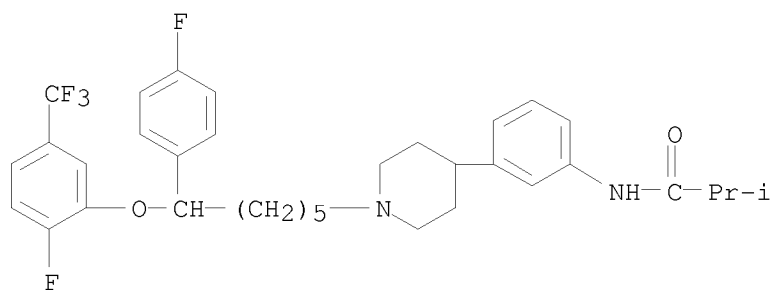
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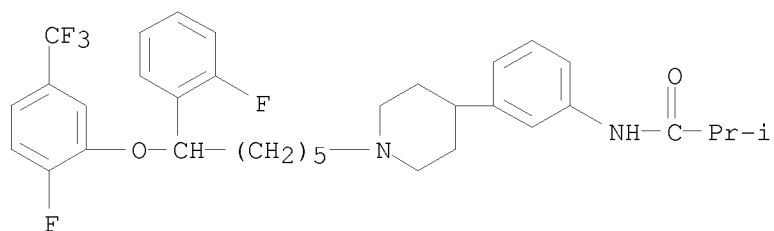
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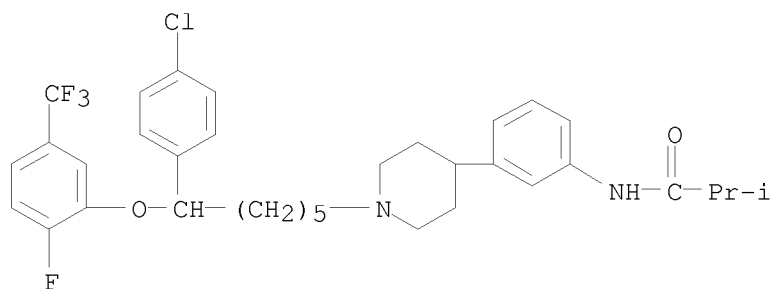
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10/513699



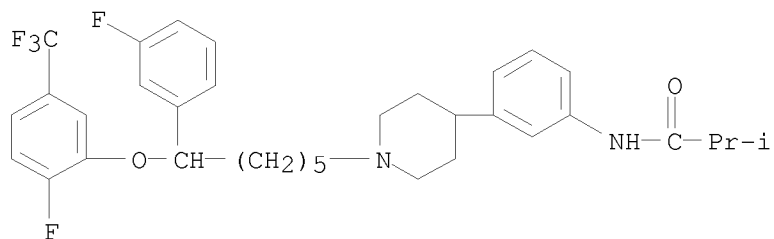
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RN 487057-51-2 CAPLUS

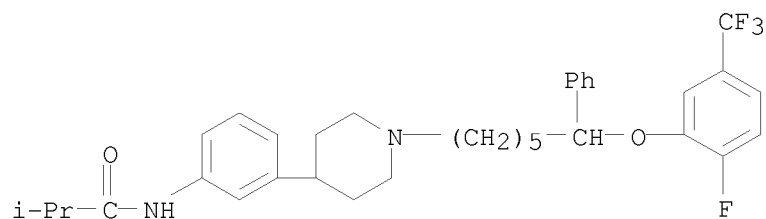
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RN 487057-52-3 CAPLUS

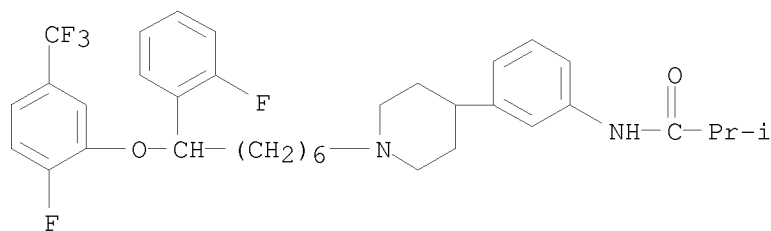
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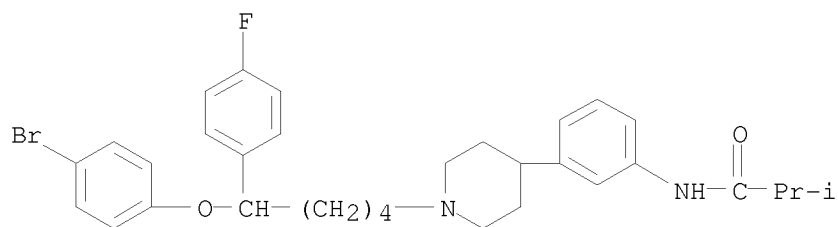
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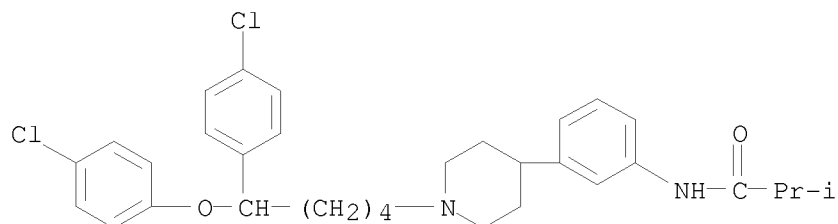
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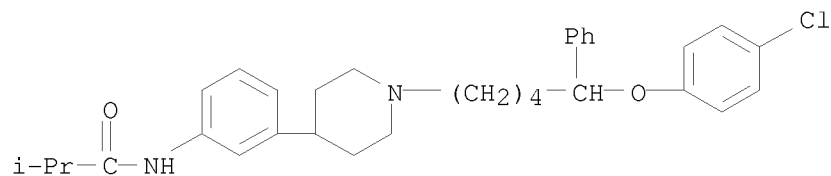
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10/513699

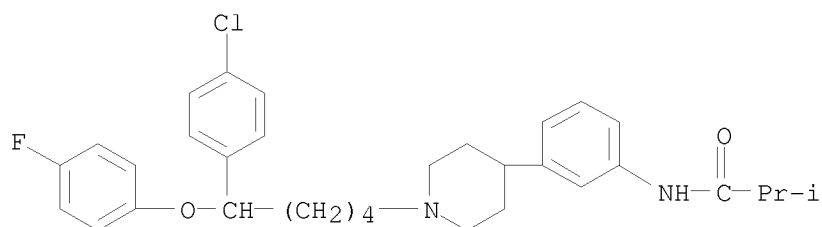
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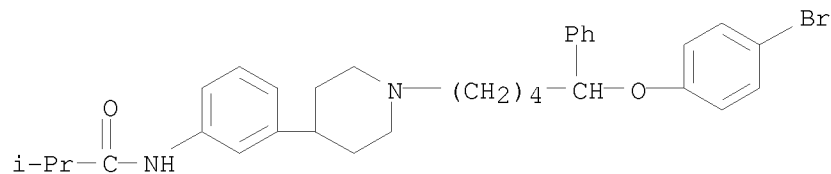
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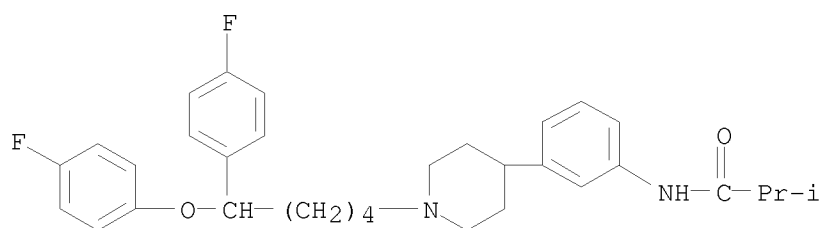
RN 487057-60-3 CAPLUS

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RN 487057-62-5 CAPLUS

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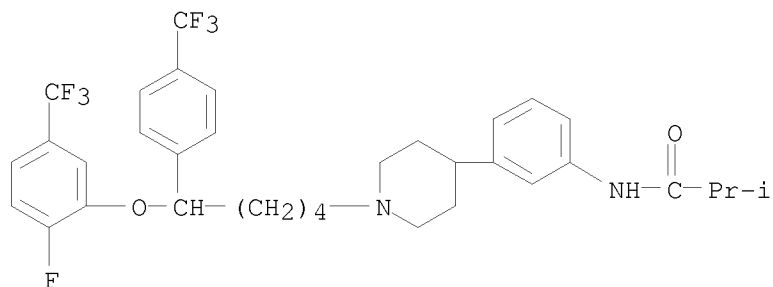
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<12/04/2007>

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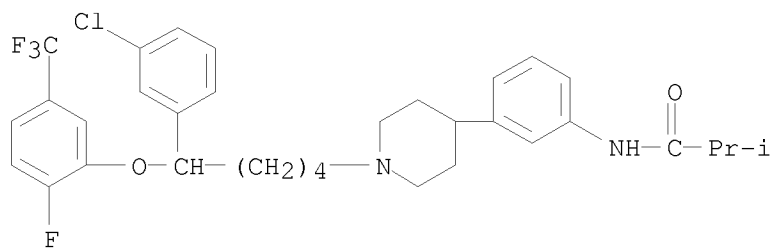
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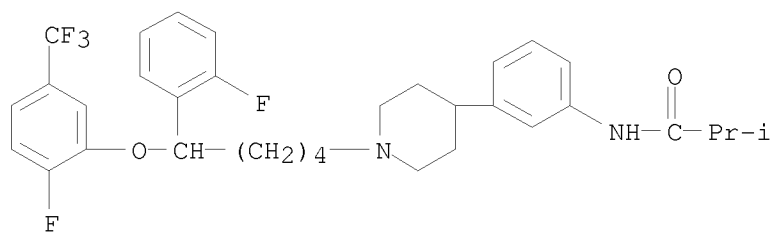
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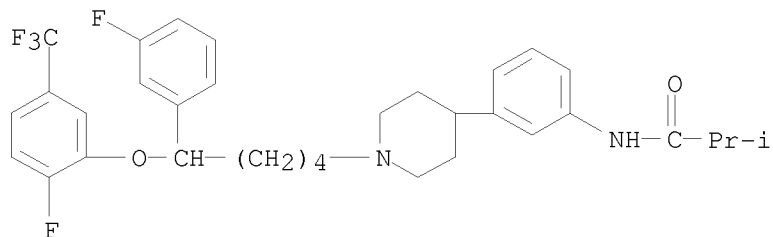
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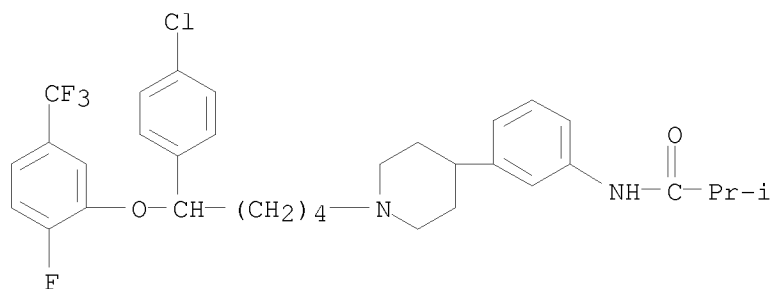
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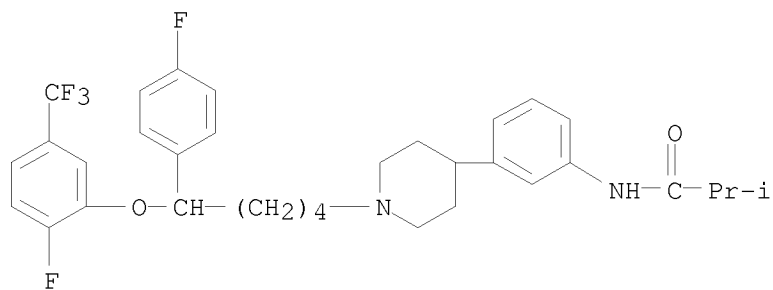
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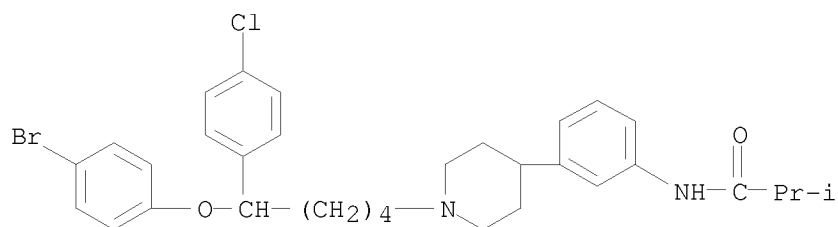


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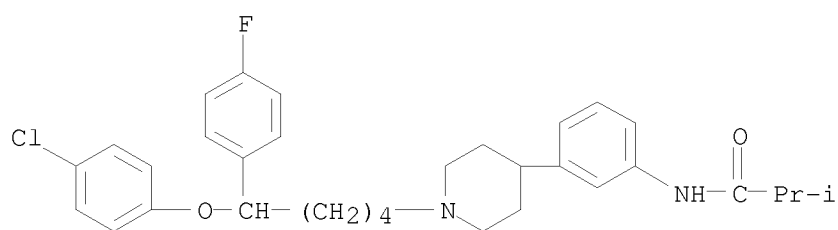
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10/513699



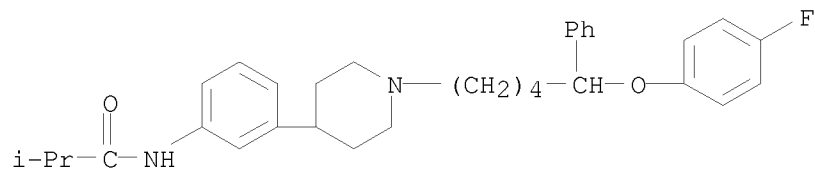
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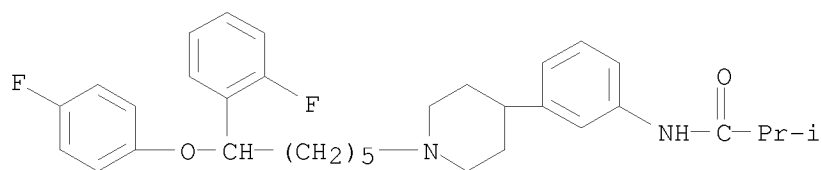
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RN 488098-61-9 CAPLUS

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● HCl

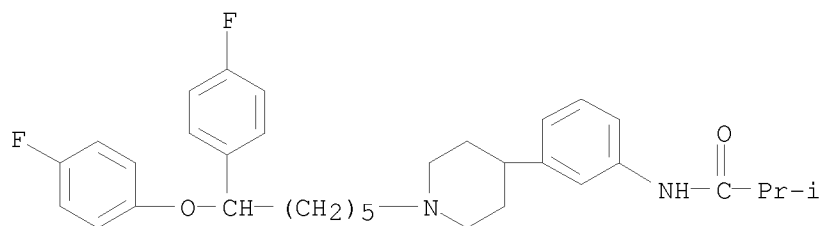
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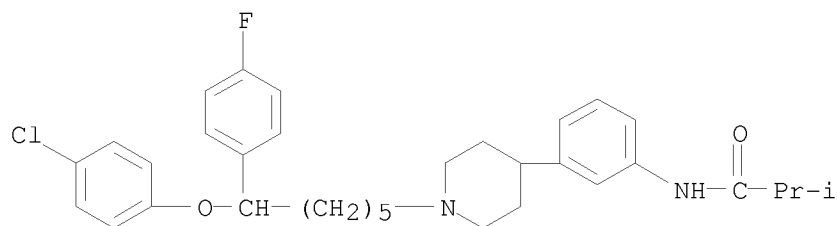
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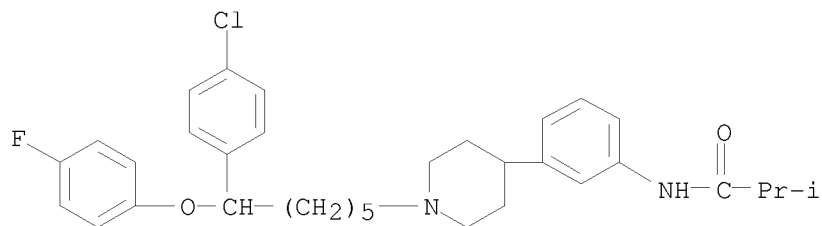
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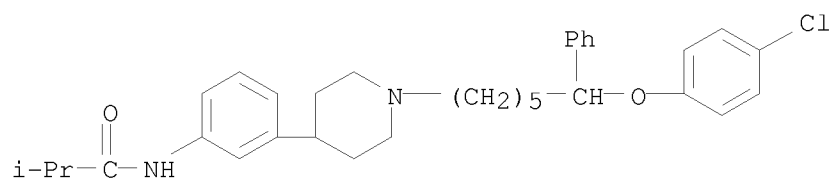
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RN 488098-65-3 CAPLUS

10/513699

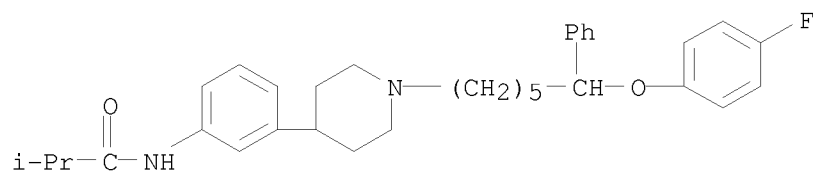
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● HCl

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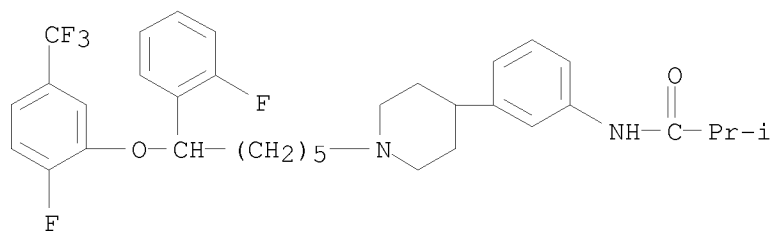
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● HCl

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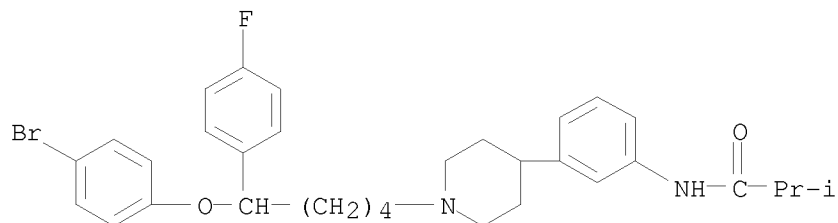


● HCl

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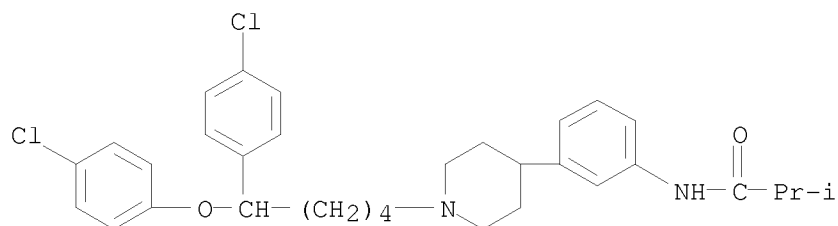
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● HCl

RN 488098-71-1 CAPLUS

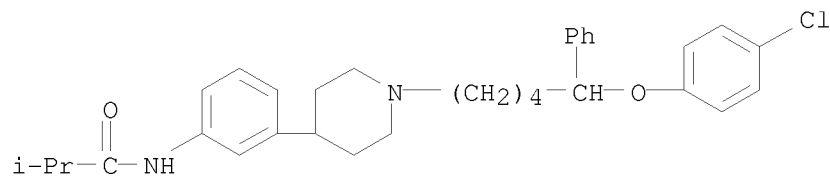
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● HCl

RN 488098-72-2 CAPLUS

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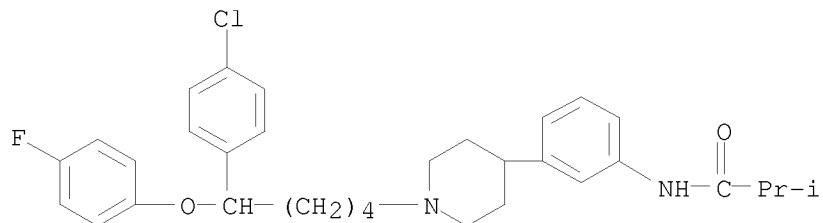


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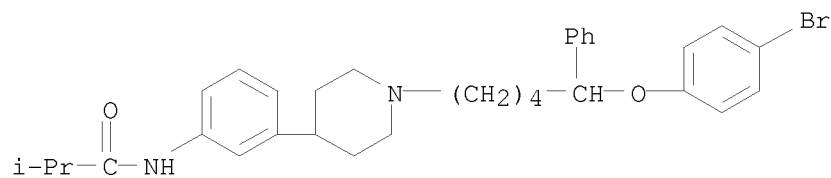
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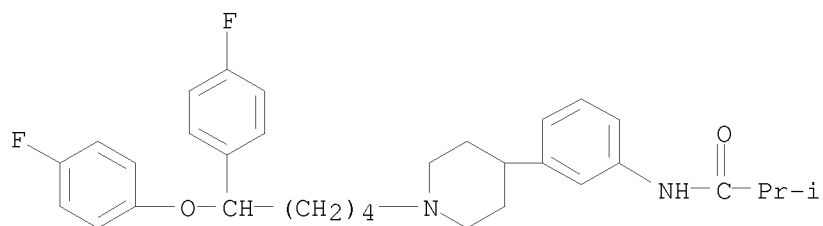
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● HCl

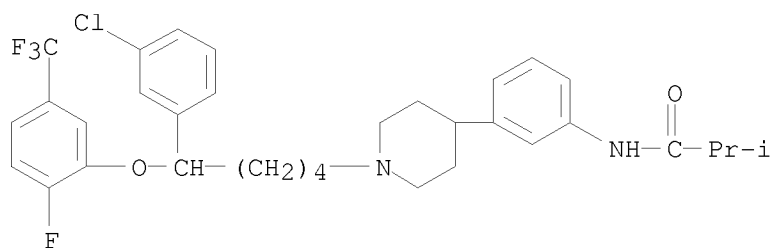
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● HCl

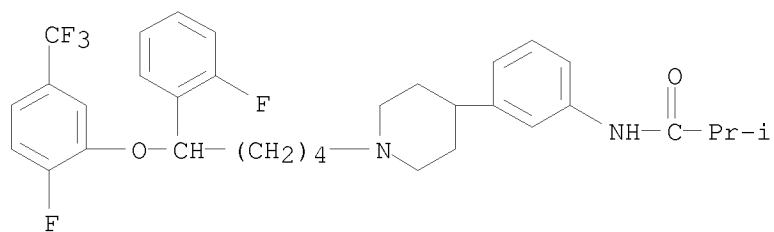
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10/513699



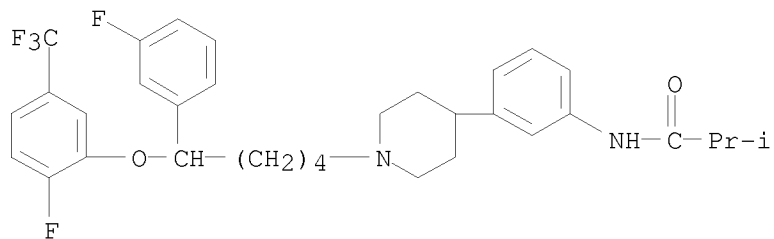
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● HCl

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● HCl

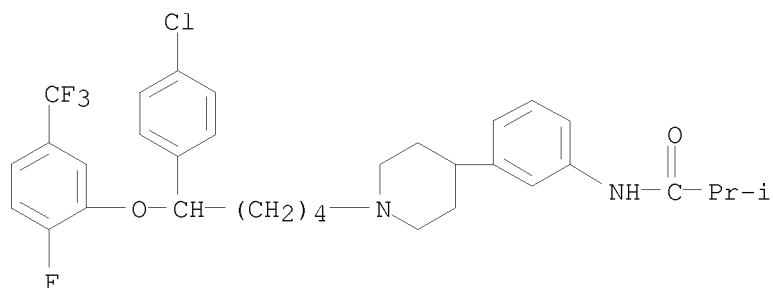
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<12/04/2007>

Erich Leese

10/513699

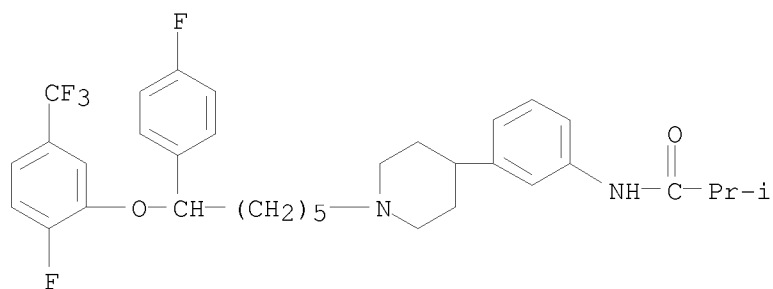
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● HCl

RN 488098-82-4 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-fluorophenyl)-6-[2-fluoro-5-(trifluoromethyl)phenoxy]hexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

REFERENCE COUNT:

25

THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:170822 CAPLUS

DOCUMENT NUMBER: 140:417233

TITLE: Synthesis and biological evaluation of
2-(4-fluorophenoxy)-2-phenyl-ethyl piperazines as
serotonin-selective reuptake inhibitors with a
potentially improved adverse reaction profileAUTHOR(S): Dorsey, James M.; Miranda, Maria G.; Cozzi, Nicholas
V.; Pinney, Kevin G.CORPORATE SOURCE: Department of Chemistry and Biochemistry and The
Center for Drug Discovery, Baylor University, Waco,
TX, 76798-7348, USASOURCE: Bioorganic & Medicinal Chemistry (2004), 12(6),
1483-1491

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:417233

AB Three new 2-(4-fluorophenoxy)-2-phenyl-Et piperazines,
1-(3-chlorophenyl)-4-[2-(4-fluorophenoxy)-2-phenylethyl]-piperazine,
1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-(2-methoxyphenyl)-piperazine, and
1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-(3-trifluoromethylphenyl)-
piperazine, modeled after the potent antidepressant fluoxetine and coupled
with several functionalized piperazines, have been prepared by chemical
synthesis as selective serotonin reuptake inhibitors (SSRIs) with a
potentially improved adverse reaction profile. Typical SSRIs, although
very effective in the treatment of depression, still face the troublesome
side effect of sexual dysfunction. A number of pharmacol. agents-notably,
drugs in the piperazine class-have been used to reverse SSRI-induced
sexual dysfunction, and evidence for developing an improved SSRI by
coupling a fluoxetine congener with the pharmacophore of a reversal agent
holds promise. Preliminary data indicates that the hydrochloride (HCl)
salts of piperazines exhibit single-site binding at the site of the
serotonin reuptake transporter (SERT). However, each of the three compds.
are much less potent than typical SSRIs, showing micromolar (μM)
affinity for the SERT with IC50 values of 1.45 μM , 3.27 μM , and 9.56
 μM , resp. Further biol. evaluation of piperazine compds. is needed
before definitive conclusions can be made with regard to each compound's
potential for use as an SSRI-type candidate which is devoid of sexual side
effects. Nevertheless, the initial findings are quite encouraging, thus
lending credence to the idea of hybridizing an SSRI congener with that of
the pharmacophore of an agent known to reverse or treat SSRI-induced
sexual dysfunction.

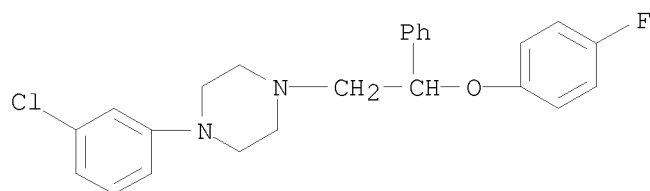
IT 691872-62-5P 691872-64-7P 691872-66-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)(synthesis and structure-activity relationship of
2-(4-fluorophenoxy)-2-Ph-Et piperazines as serotonin-selective reuptake
inhibitors with a potentially improved adverse reaction profile)

RN 691872-62-5 CAPLUS

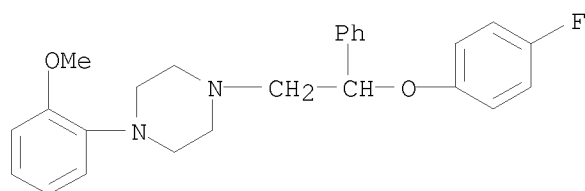
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hydrochloride (1:1) (CA INDEX NAME)

10/513699



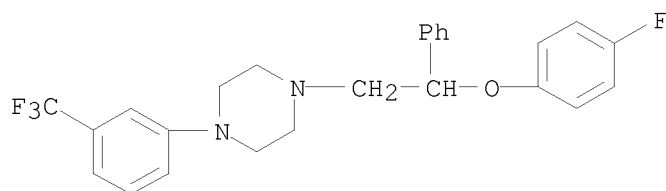
● HCl

RN 691872-64-7 CAPLUS
CN Piperazine, 1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-(2-methoxyphenyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 691872-66-9 CAPLUS
CN Piperazine, 1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-[3-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

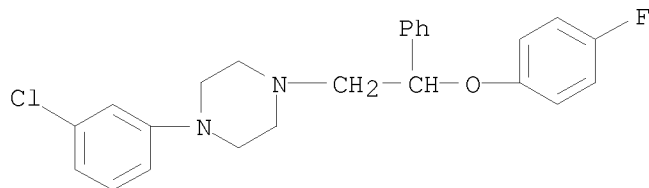
IT 691872-56-7P 691872-58-9P 691872-60-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and structure-activity relationship of
2-(4-fluorophenoxy)-2-Ph-Et piperazines as serotonin-selective reuptake
inhibitors with a potentially improved adverse reaction profile)
RN 691872-56-7 CAPLUS

<12/04/2007>

Erich Leese

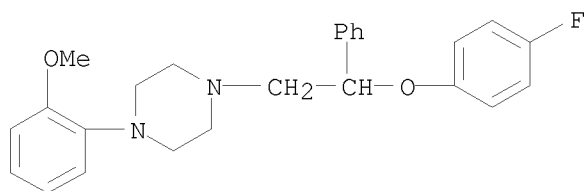
10/513699

CN Piperazine, 1-(3-chlorophenyl)-4-[2-(4-fluorophenoxy)-2-phenylethyl]- (CA INDEX NAME)



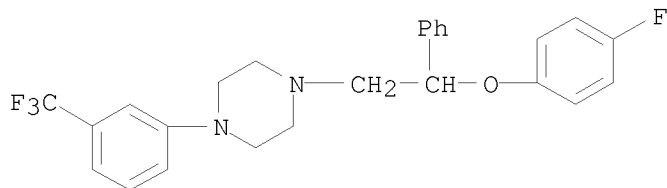
RN 691872-58-9 CAPLUS

CN Piperazine, 1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-(2-methoxyphenyl)- (CA INDEX NAME)



RN 691872-60-3 CAPLUS

CN Piperazine, 1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



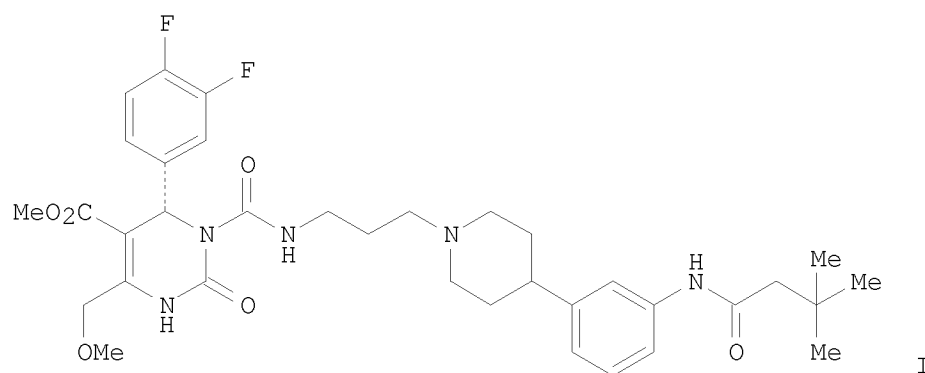
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/513699

L3 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:162444 CAPLUS
DOCUMENT NUMBER: 140:212060
TITLE: DNA encoding a human melanin concentrating hormone
receptor (MCH1) and uses thereof and preparation of
4-phenylpiperidine derivatives as human MCH1 receptor
antagonists
INVENTOR(S): Salon, John A.; Laz, Thomas M.; Nagorny, Raisa;
Wilson, Amy E.; Craig, Douglas A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 180 pp., Cont.-in-part of U.S.
Ser. No. 899,732.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040038855	A1	20040226	US 2003-341751	20030114
WO 2000039279	A2	20000706	WO 1999-US31169	19991230
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US 20030082623	A1	20030501	US 2001-899732	20010705
WO 2004064774	A2	20040805	WO 2004-US724	20040114
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PRIORITY APPLN. INFO.:			WO 1999-US31169	A2 19991230
			US 2000-610635	B2 20000705
			US 2001-899732	A2 20010705
			US 1998-224426	A2 19981231
			US 2003-341751	A 20030114

GI



AB This invention provides an isolated nucleic acid encoding a human MCH1 receptor, a purified human MCH1 receptor, vectors comprising isolated nucleic acid encoding a human MCH1 receptor, cells comprising such vectors, antibodies directed to a human MCH1 receptor, nucleic acid probes useful for detecting nucleic acid encoding human MCH1 receptors, antisense oligonucleotides complementary to unique sequences of nucleic acid encoding human MCH1 receptors, transgenic, nonhuman animals which express DNA encoding a normal or mutant human MCH1 receptor, methods of isolating a human MCH1 receptor, methods of treating an abnormality that is linked to the activity of a human MCH1 receptor, as well as methods of determining binding of compds. to mammalian MCH1 receptors. This invention further provides a method of treating a subject suffering from urinary incontinence which comprises administering to the subject an amount of an MCH1 antagonist effective to treat the subject's urinary incontinence or overactive bladder. Various 4-phenylpiperidine derivs., e.g (I), were synthesized and tested as human MCH1 receptor antagonists.

IT 387826-65-5P, N-[3-[1-[(3S)-3-(3-Chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methylpropanamide 387826-66-6P, N-[3-[1-[(3S)-3-(4-Chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methylpropanamide 387826-67-7P, 2-Methyl-N-[3-[1-[(3S)-3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-4-piperidinyl]phenyl]propanamide 387826-68-8P, N-[3-[1-[(3R)-3-(2,5-Difluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methylpropanamide 387826-69-9P, N-[3-[1-[(3R)-3-(3,4-Dichlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methylpropanamide 387826-73-5P, N-[3-[1-[(3S)-3-(4-Fluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methylpropanamide 387826-74-6P, N-[3-[1-[(3S)-3-(4-Bromophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methylpropanamide 387826-79-1P, N-[3-[1-[(3R)-3-[2-Fluoro-5-(trifluoromethyl)phenoxy]-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methylpropanamide 387826-80-4P, N-[3-[1-[(3S)-3-[2-Fluoro-5-(trifluoromethyl)phenoxy]-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methylpropanamide 387826-81-5P, N-[3-[1-[(3S)-3-(2,5-Difluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methylpropanamide 387826-82-6P, N-[3-[1-[(3R)-3-(3-Chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methylpropanamide 387826-85-9P, N-[3-[1-[(3S)-3-(2-Fluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methylpropanamide

10/513699

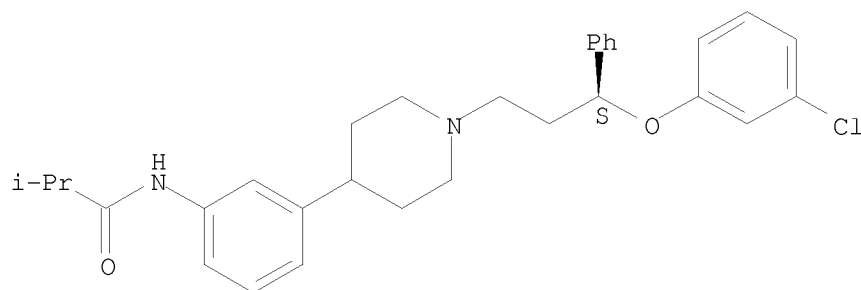
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(DNA encoding human melanin concentrating hormone receptor (MCH1) and uses thereof and preparation of phenylpiperidine derivs. as human MCH1 antagonists)

RN 387826-65-5 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(3-chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

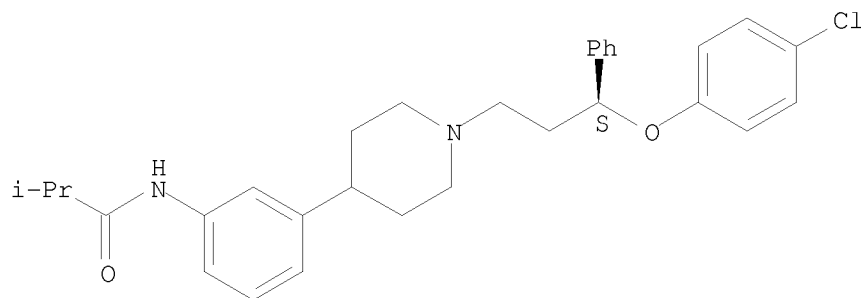
Absolute stereochemistry.



RN 387826-66-6 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(4-chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

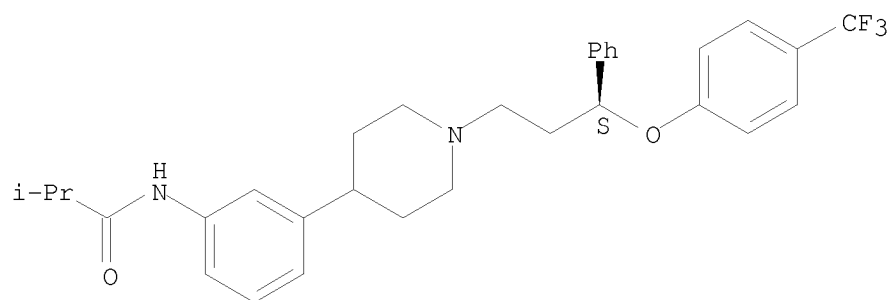


RN 387826-67-7 CAPLUS

CN Propanamide, 2-methyl-N-[3-[1-[(3S)-3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-4-piperidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

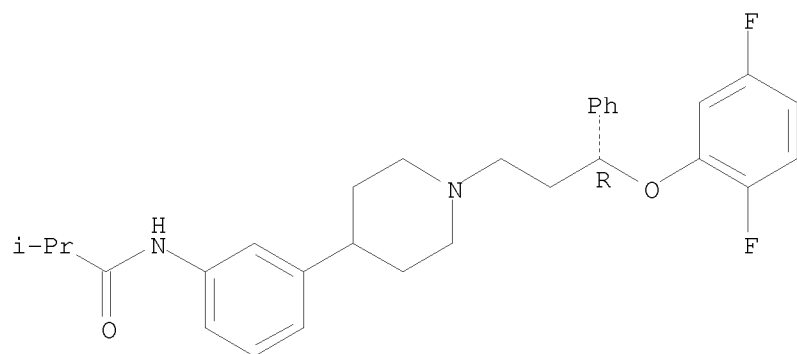
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RN 387826-68-8 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(2,5-difluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

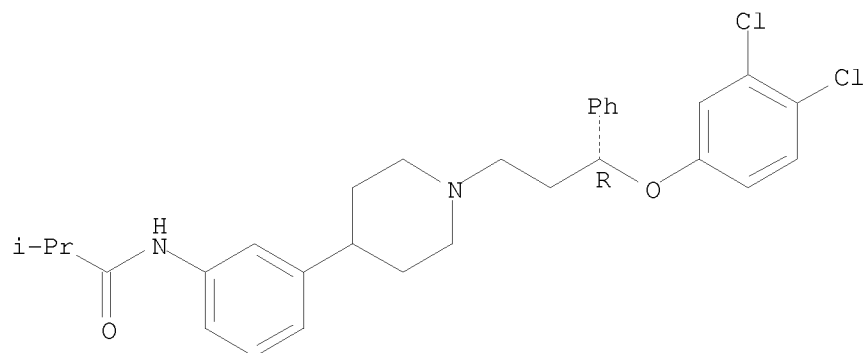
Absolute stereochemistry.



RN 387826-69-9 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(3,4-dichlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 387826-73-5 CAPLUS

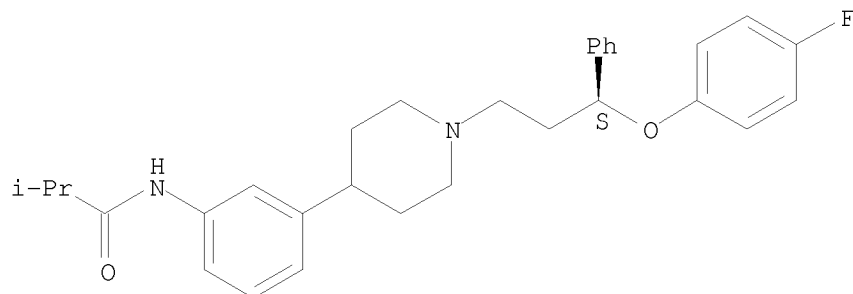
<12/04/2007>

Erich Leese

10/513699

CN Propanamide, N-[3-[1-[(3S)-3-(4-fluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

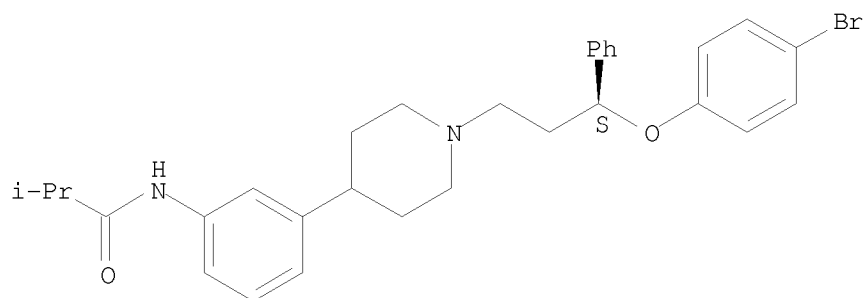
Absolute stereochemistry.



RN 387826-74-6 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(4-bromophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

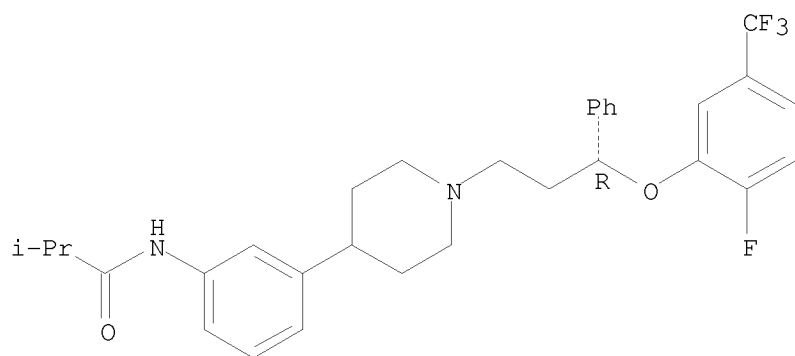
Absolute stereochemistry.



RN 387826-79-1 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-[2-fluoro-5-(trifluoromethyl)phenoxy]-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

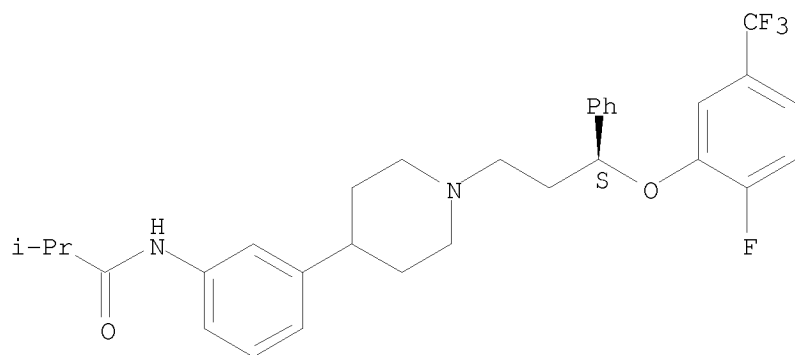


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RN 387826-80-4 CAPLUS

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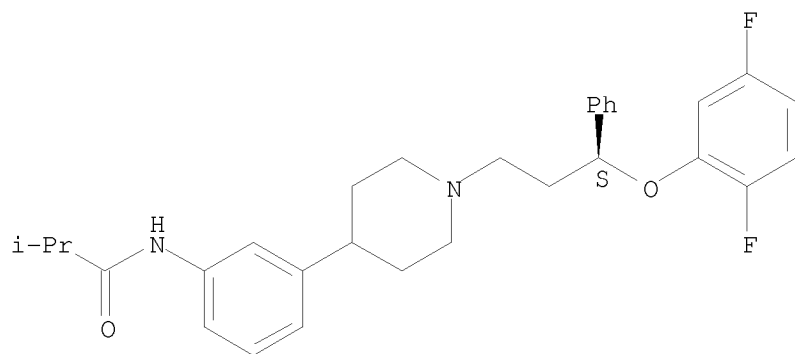
Absolute stereochemistry.



RN 387826-81-5 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(2,5-difluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

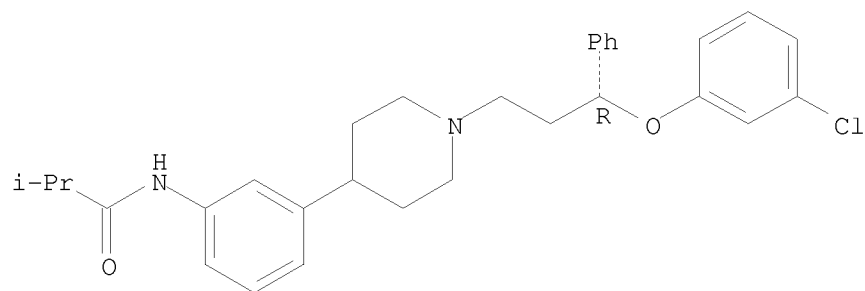


RN 387826-82-6 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(3-chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

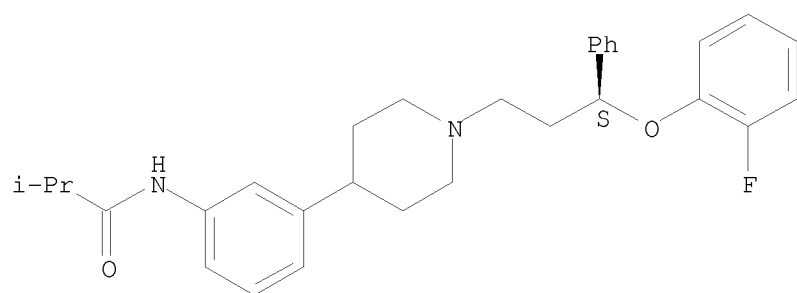
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RN 387826-85-9 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(2-fluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:334519 CAPLUS

DOCUMENT NUMBER: 138:298124

TITLE: Human melanin concentrating hormone receptor MCH1, its DNA, its synthetic ligands and diagnostic and therapeutic uses thereof

INVENTOR(S): Borowsky, Beth; Blackburn, Thomas P.; Ogozalek, Kristine

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 193 pp., Cont.-in-part of U.S. Ser. No. 610,635.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030082623	A1	20030501	US 2001-899732	20010705
US 6221613	B1	20010424	US 1998-224426	19981231
WO 2000039279	A2	20000706	WO 1999-US31169	19991230
WO 2000039279	A3	20001102		

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RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 20030077701	A1	20030424	US 2001-29314	20011220
US 20040038855	A1	20040226	US 2003-341751	20030114

PRIORITY APPLN. INFO.:

US 1998-224426	A2	19981231
WO 1999-US31169	A2	19991230
US 2000-610635	A2	20000705
US 2001-899732	A1	20010705

AB This invention provides an isolated nucleic acid encoding a human MCH1 receptor, a purified human MCH1 receptor, vectors comprising isolated nucleic acid encoding a human MCH1 receptor, cells comprising such vectors, antibodies directed to a human MCH1 receptor, nucleic acid probes useful for detecting nucleic acid encoding human MCH1 receptors, antisense oligonucleotides complementary to unique sequences of nucleic acid encoding human MCH1 receptors, transgenic, nonhuman animals which express DNA encoding a normal or mutant human MCH1 receptor, methods of isolating a human MCH1 receptor, methods of treating an abnormality that is linked to the activity of a human MCH1 receptor, as well as methods of determining binding of compds. to mammalian MCH1 receptors. This invention provides a method of modifying the feeding behavior of a subject which comprises administering to the subject an amount of an MCH1 antagonist effective to decrease the body mass of the subject and/or decrease the consumption of food by the subject. This invention further provides a method of treating a subject suffering from depression and/or anxiety which comprises administering to the subject an amount of an MCH1 antagonist effective to treat the subject's depression and/or anxiety.

IT 387826-65-5P 387826-66-6P 387826-67-7P
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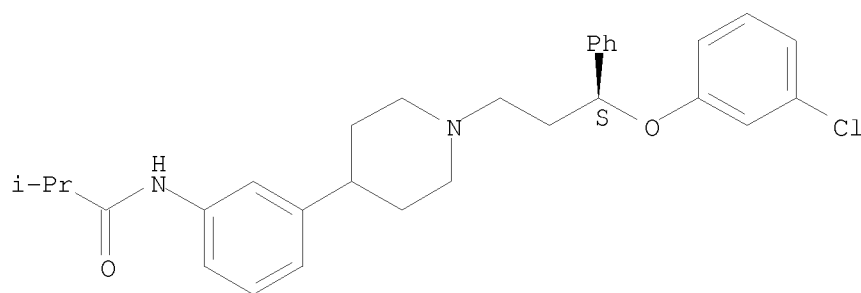
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(human melanin concentrating hormone receptor MCH1, its DNA, its synthetic ligands and diagnostic and therapeutic uses thereof)

RN 387826-65-5 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(3-chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

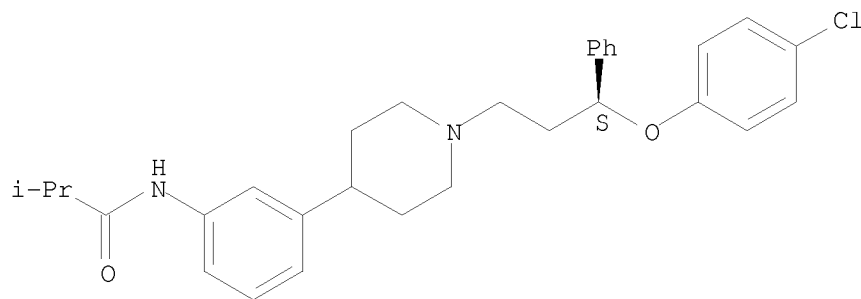
Absolute stereochemistry.



RN 387826-66-6 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(4-chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

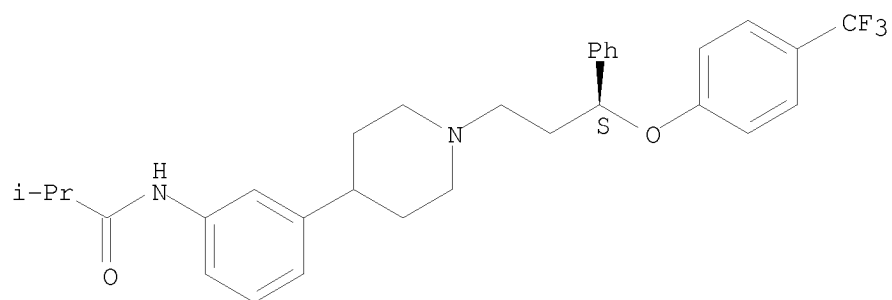


RN 387826-67-7 CAPLUS

CN Propanamide, 2-methyl-N-[3-[1-[(3S)-3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-4-piperidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

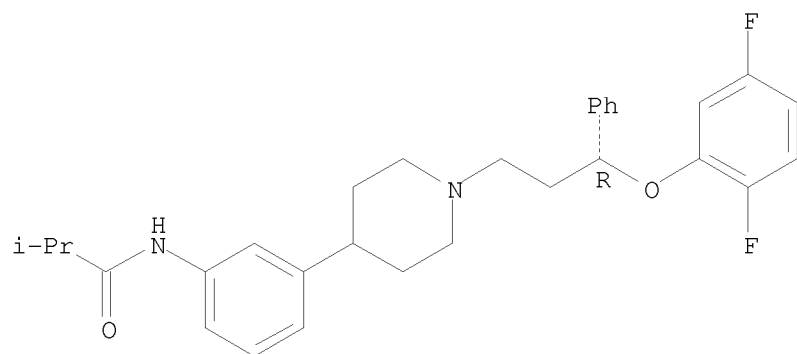
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RN 387826-68-8 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(2,5-difluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

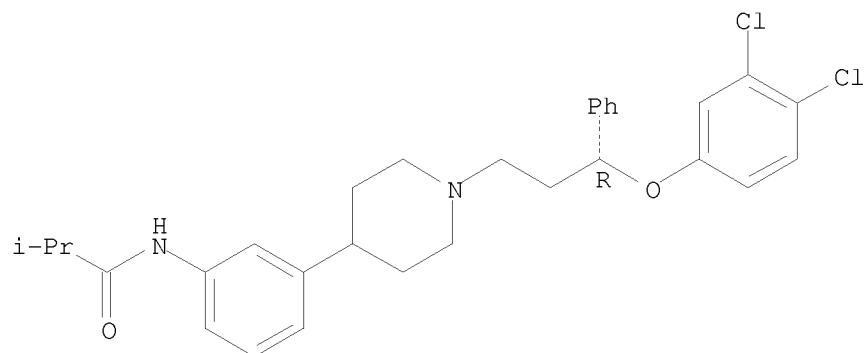
Absolute stereochemistry.



RN 387826-69-9 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(3,4-dichlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 387826-73-5 CAPLUS

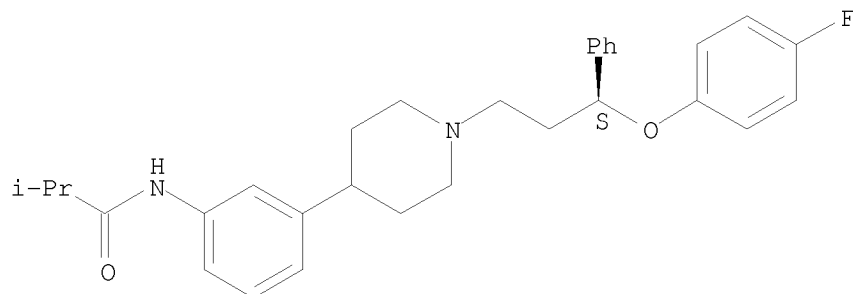
<12/04/2007>

Erich Leese

10/513699

CN Propanamide, N-[3-[1-[(3S)-3-(4-fluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

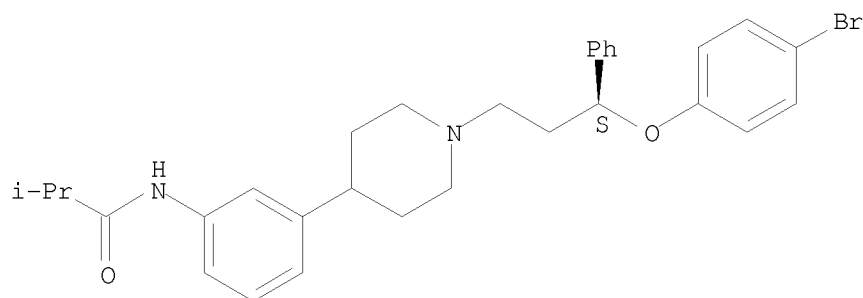
Absolute stereochemistry.



RN 387826-74-6 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(4-bromophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

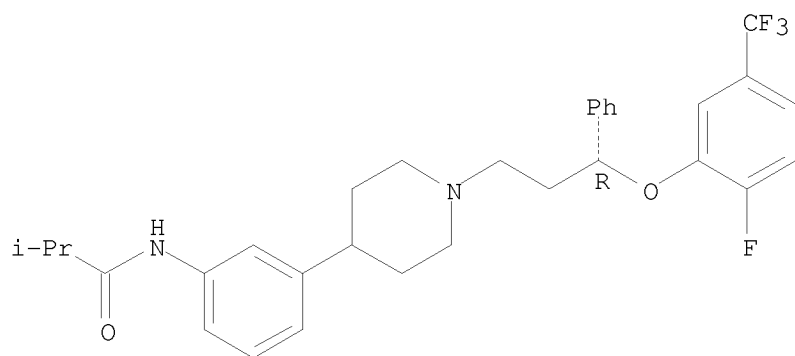
Absolute stereochemistry.



RN 387826-79-1 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-[2-fluoro-5-(trifluoromethyl)phenoxy]-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

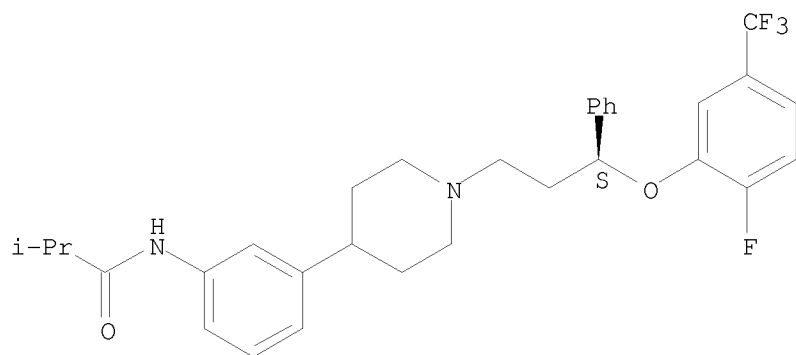


10/513699

RN 387826-80-4 CAPLUS

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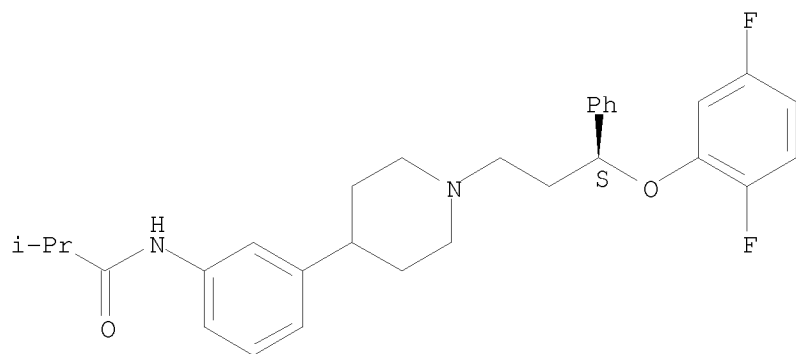
Absolute stereochemistry.



RN 387826-81-5 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(2,5-difluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

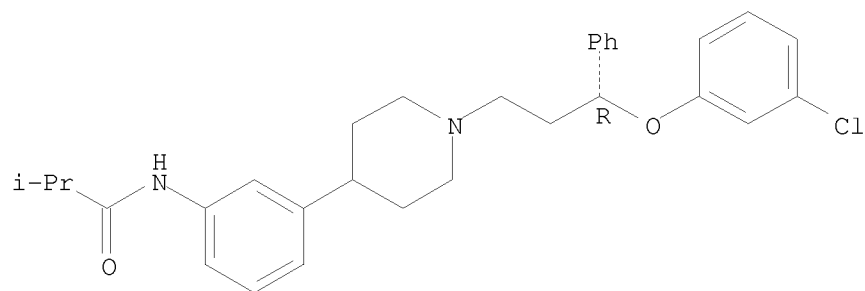


RN 387826-82-6 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(3-chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

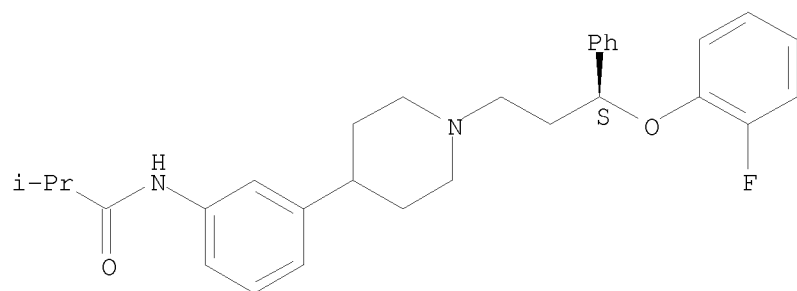
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RN 387826-85-9 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(2-fluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



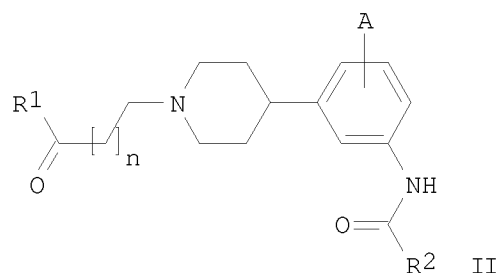
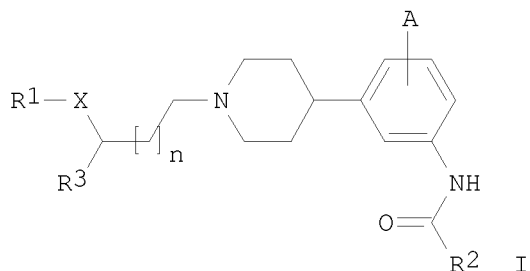
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L3 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:42108 CAPLUS
DOCUMENT NUMBER: 138:106601
TITLE: Preparation of substituted anilinic piperidines as MCH
selective antagonists
INVENTOR(S): Marzabadi, Mohammad R.; Wetzell, John; Deleon, John E.;
Jiang, Yu
PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA
SOURCE: PCT Int. Appl., 771 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003004027	A1	20030116	WO 2002-US21063	20020703
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
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AU 2002316531	A1	20030121	AU 2002-316531	20020703
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EP 1411942	A1	20040428	EP 2002-746843	20020703
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US 20060084649	A9	20060420		
US 7199135	B2	20070403		
IN 2004CN00230	A	20051209	IN 2004-CN230	20040205
PRIORITY APPLN. INFO.:			US 2001-899794	A 20010705
			US 2002-42582	A 20020109
			US 2001-303091P	P 20010705
			US 2002-346997P	P 20020109
			US 2002-188434	A2 20020703
			WO 2002-US21063	W 20020703
			US 2003-345063	A2 20030114

OTHER SOURCE(S): MARPAT 138:106601

GI



AB The title compds. [I (R1 = H, alkyl, aryl, etc.; R2 = alkyl, cyclopropyl; R3 = (un)substituted (hetero)aryl; A = H, F, Cl, Br, CN, etc.; X = O, NH; n = 0-5), II (R1 = (un)substituted (hetero)aryl; R2, A, n as above), etc.] which are selective antagonists for melanin concentrating hormone-1 (MCH1)

receptors, were prepared and formulated. Thus, reacting 2-methyl-N-[3-(4-piperidinyl)phenyl]propanamide (preparation given) with 4-chloro-3',4'-dimethylbutyrophenone in the presence of K2CO3 and NaI in DMF afforded 80% II [R1 = R1 = 3,4-Me2C6H3; R2 = iso-Pr; A = H; n = 2] which showed Ki of 3.9 nM in cloned rat MCH1 binding assay.

IT 387826-65-5P 387826-66-6P 387826-67-7P
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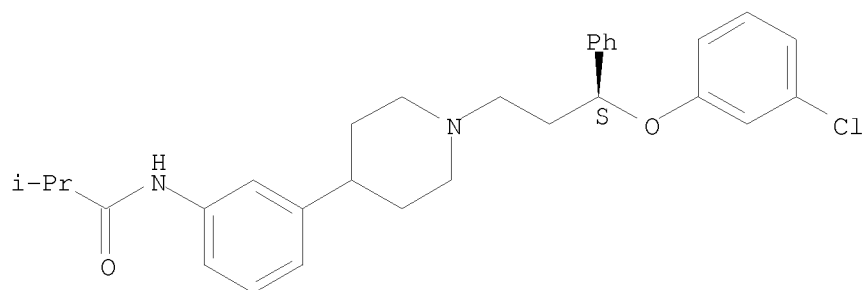
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

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(preparation of substituted anilinic piperidines as MCH selective
antagonists)
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RN 387826-65-5 CAPLUS

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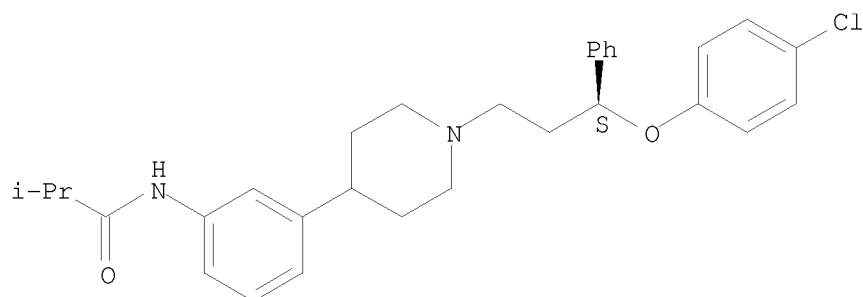
Absolute stereochemistry.



RN 387826-66-6 CAPLUS

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Absolute stereochemistry.

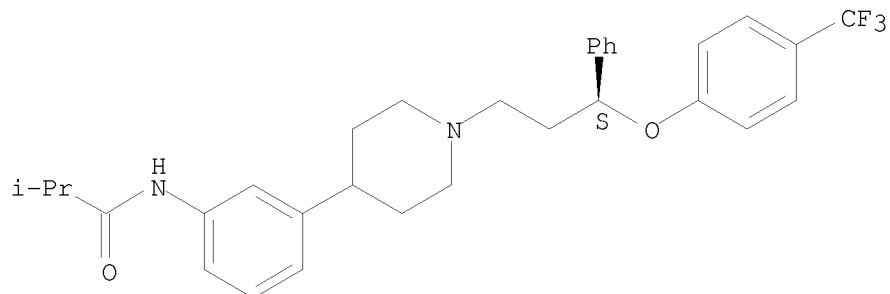


RN 387826-67-7 CAPLUS

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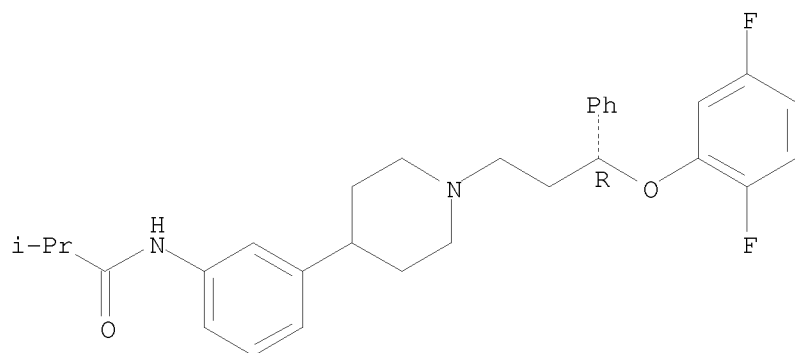
Absolute stereochemistry.



RN 387826-68-8 CAPLUS

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Absolute stereochemistry.

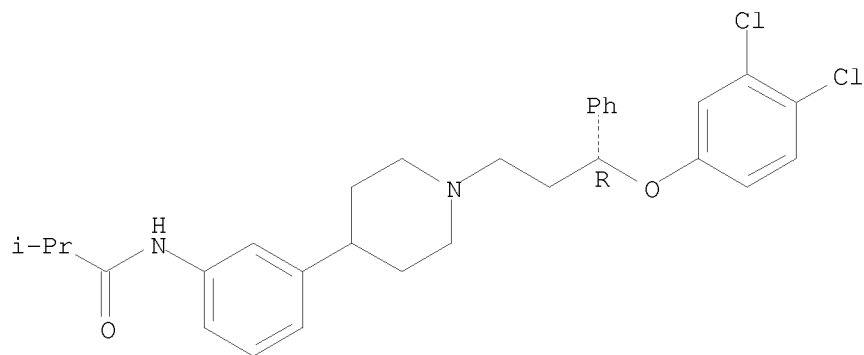


RN 387826-69-9 CAPLUS

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Absolute stereochemistry.

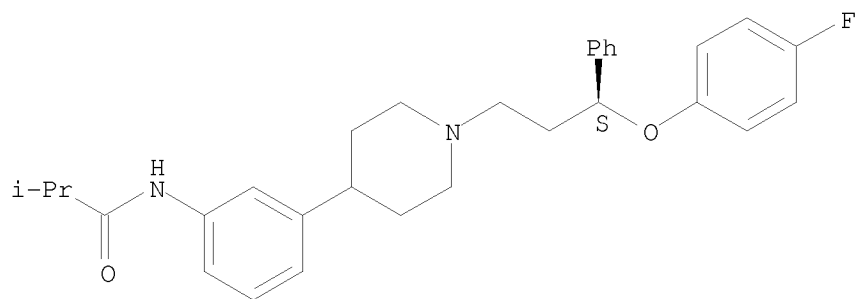
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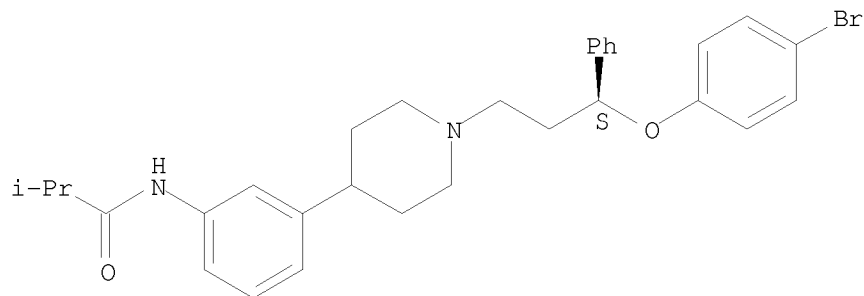
Absolute stereochemistry.



RN 387826-74-6 CAPLUS

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Absolute stereochemistry.



RN 387826-79-1 CAPLUS

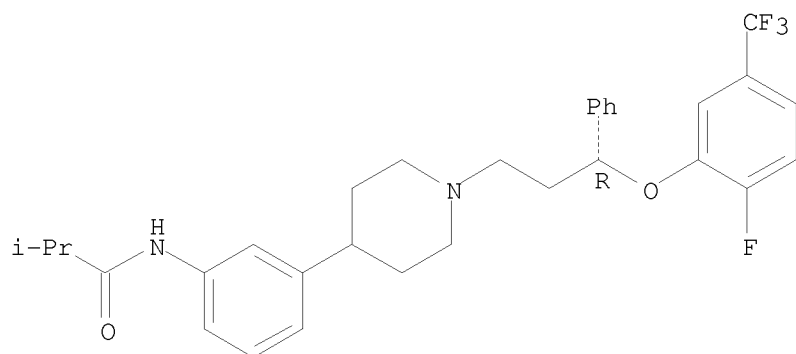
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<12/04/2007>

Erich Leese

10/513699

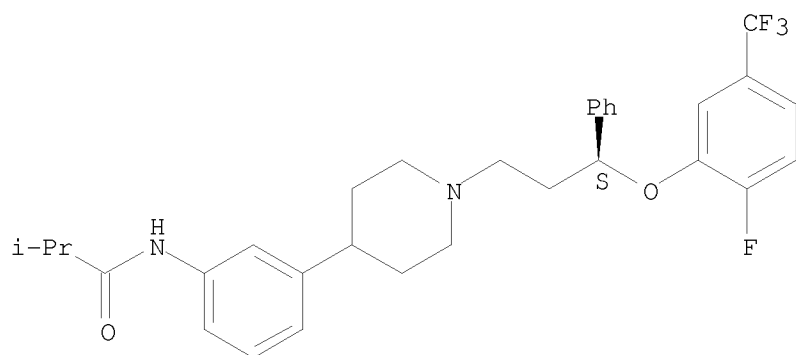
Absolute stereochemistry.



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Absolute stereochemistry.

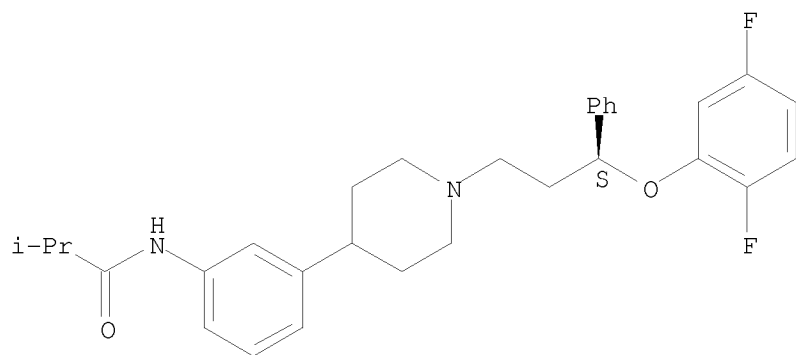


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Absolute stereochemistry.

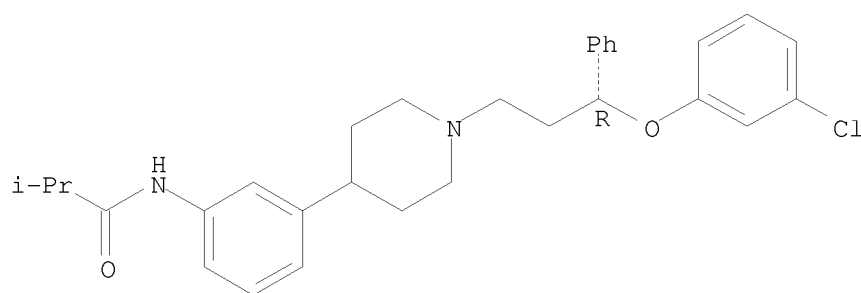
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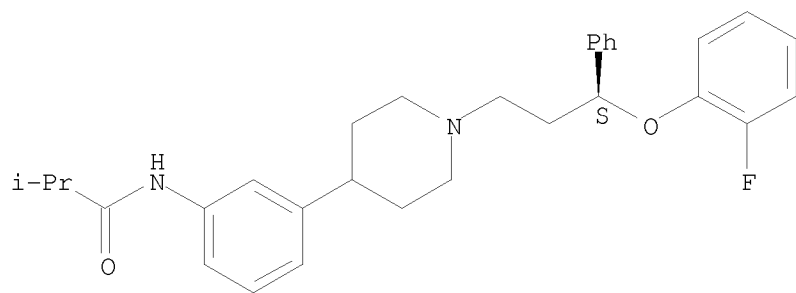
Absolute stereochemistry.



RN 387826-85-9 CAPLUS

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Absolute stereochemistry.



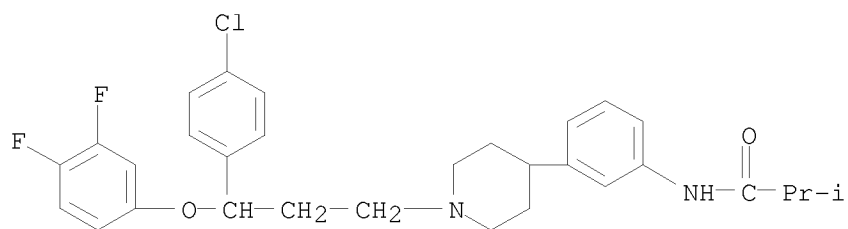
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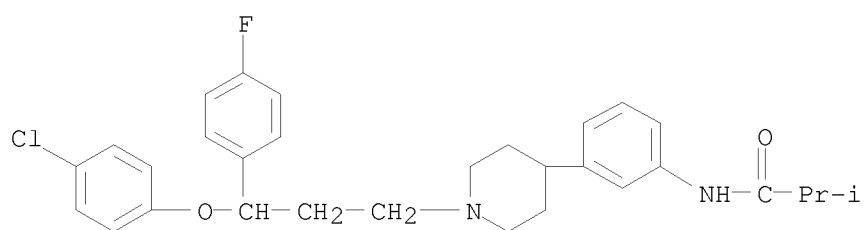
Erich Leese

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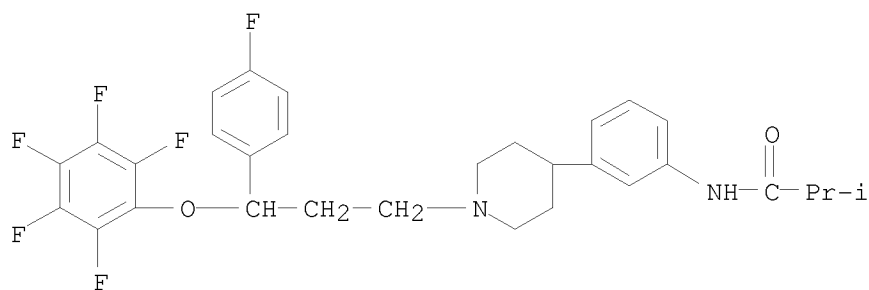
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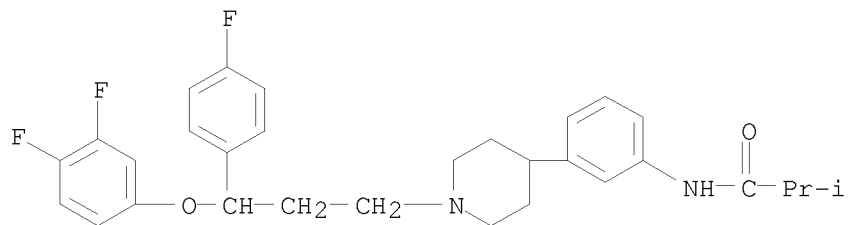
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RN 487049-83-2 CAPLUS

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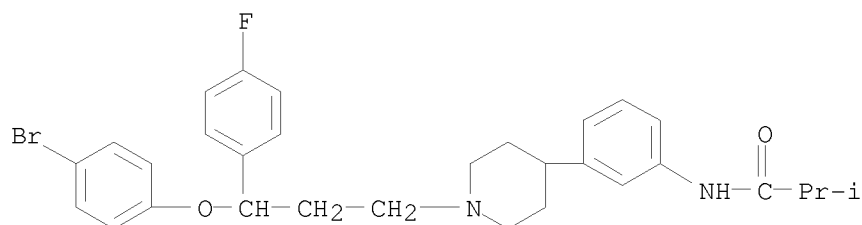
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Erich Leese

10/513699

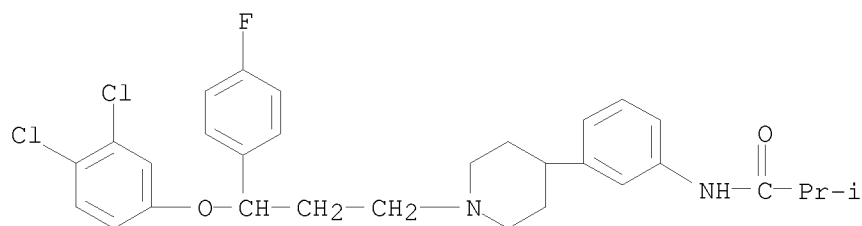
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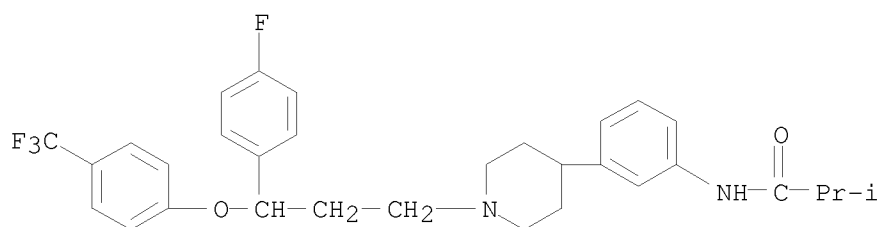
RN 487049-85-4 CAPLUS

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RN 487049-86-5 CAPLUS

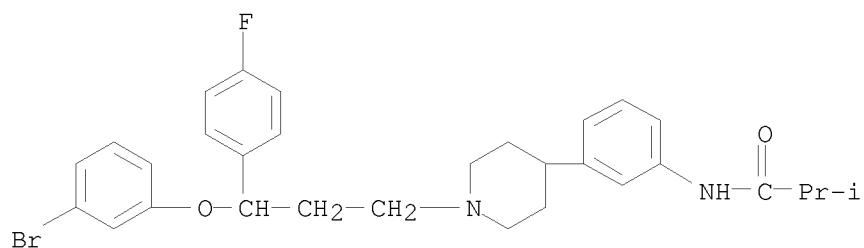
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RN 487049-87-6 CAPLUS

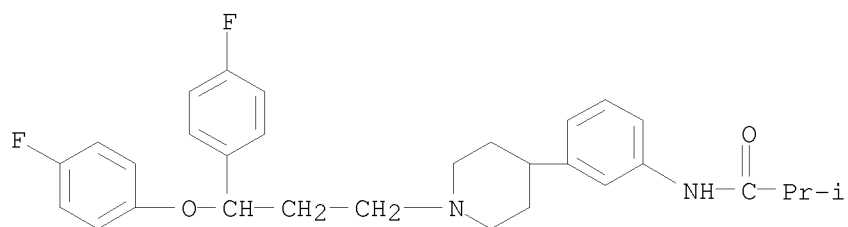
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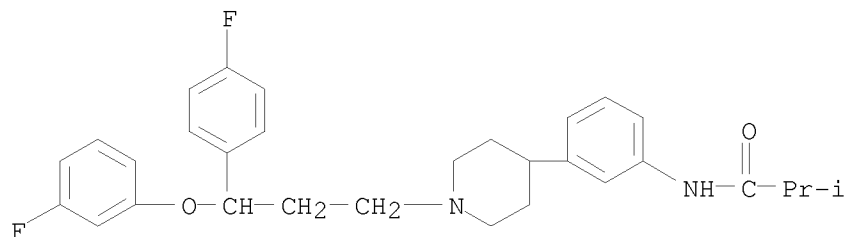
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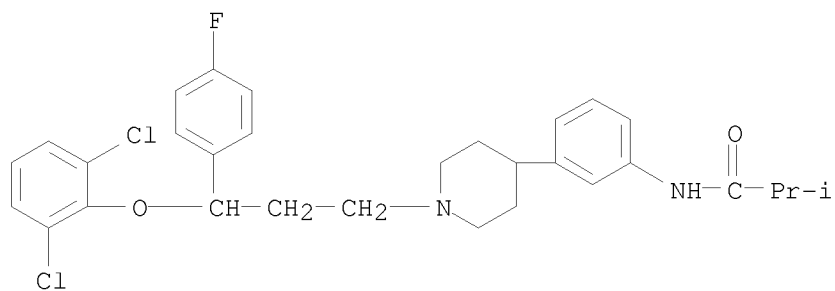
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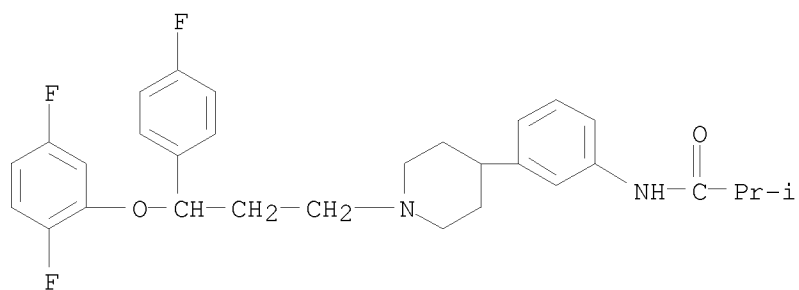
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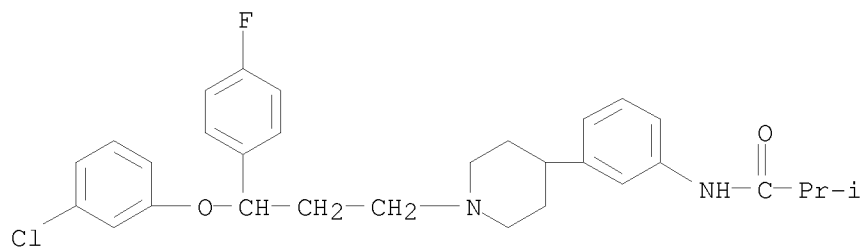
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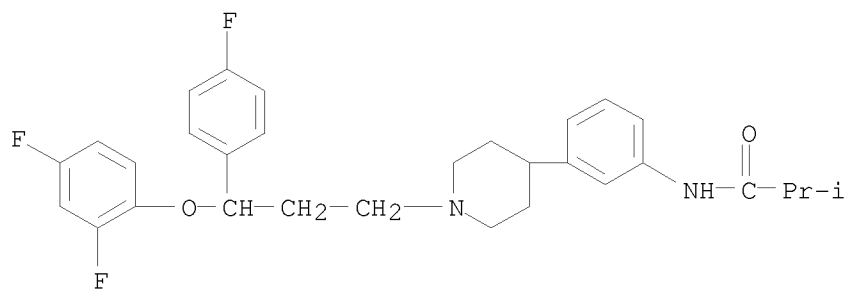
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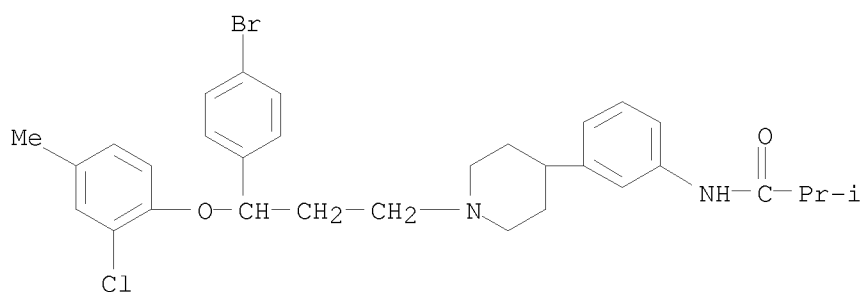
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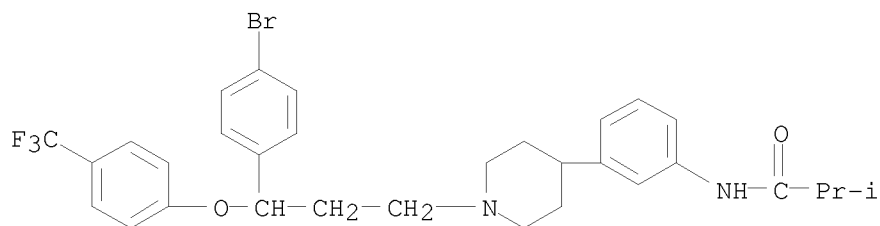
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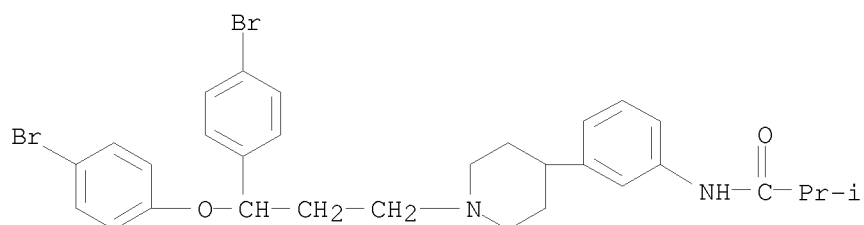


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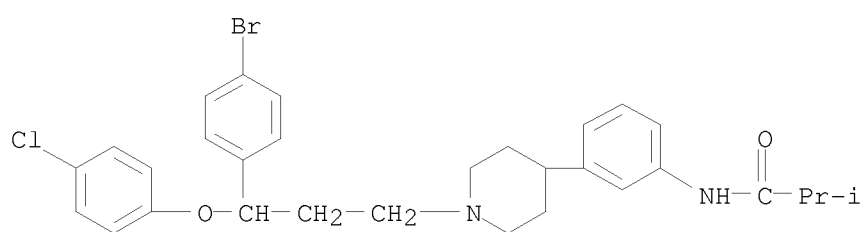
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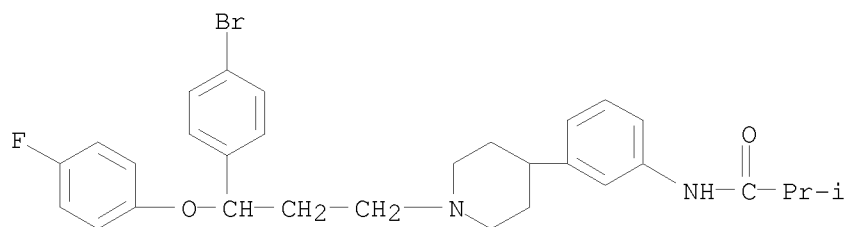
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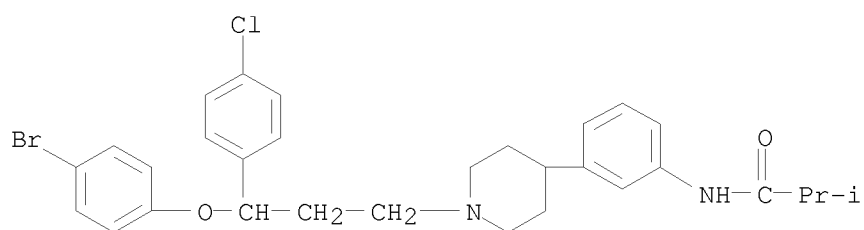
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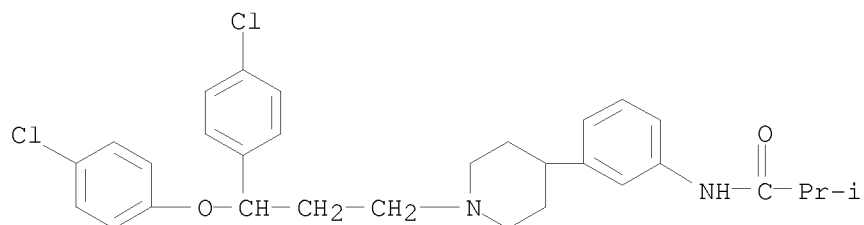
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Erich Leese

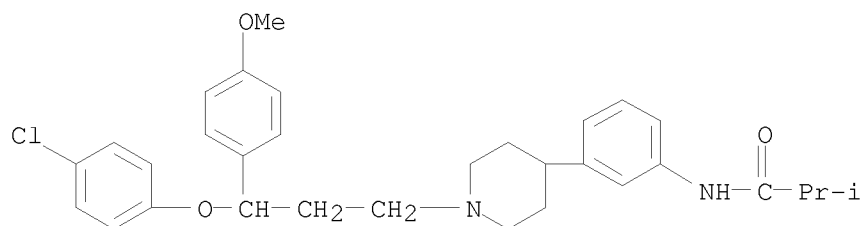
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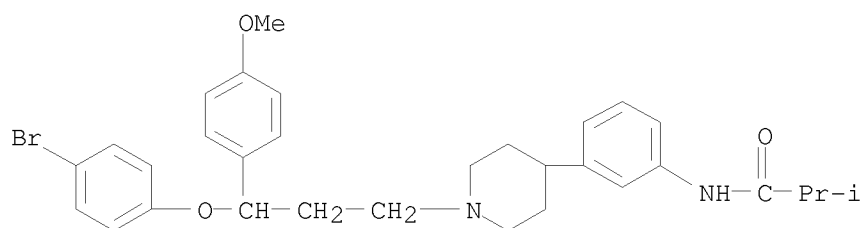
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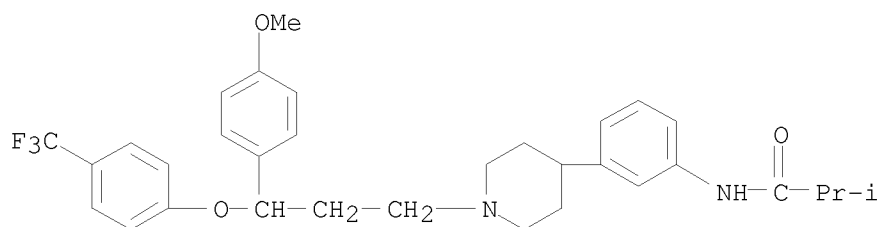
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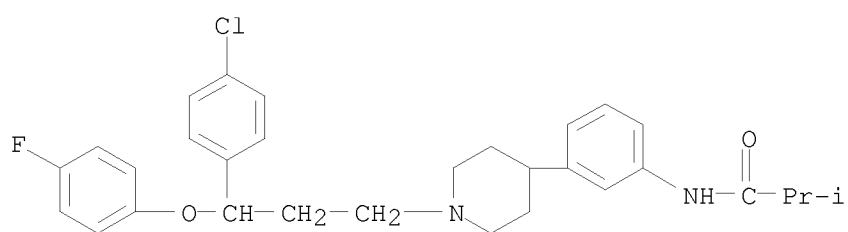
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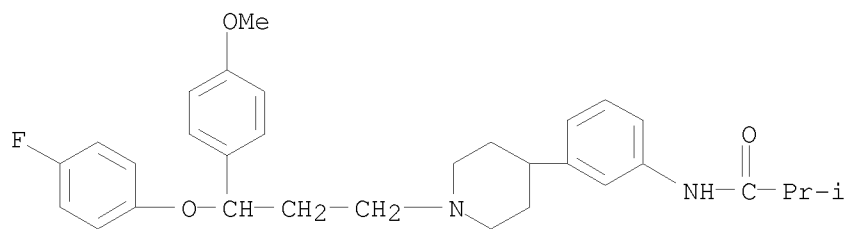
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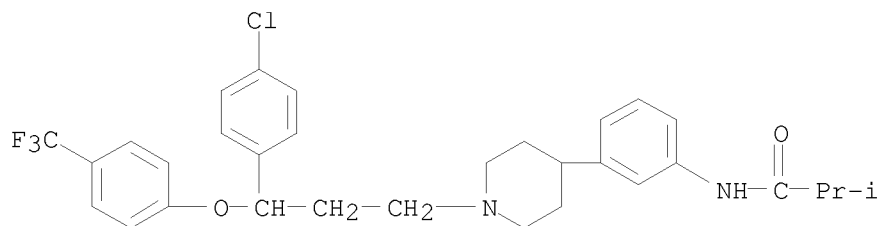
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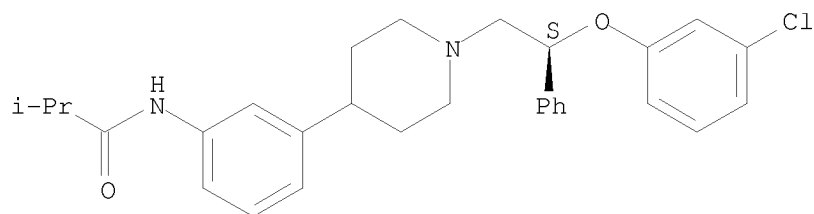


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RN 487051-81-0 CAPLUS

CN Propanamide, N-[3-[1-[(2S)-2-(3-chlorophenoxy)-2-phenylethyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

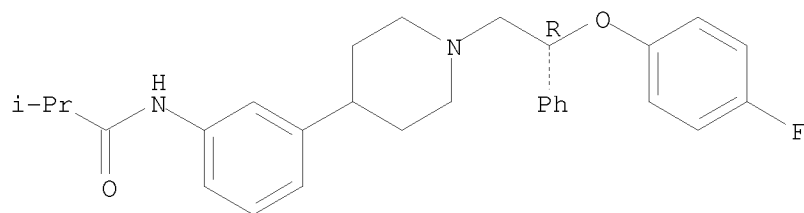
Absolute stereochemistry.



RN 487051-83-2 CAPLUS

CN Propanamide, N-[3-[1-[(2R)-2-(4-fluorophenoxy)-2-phenylethyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

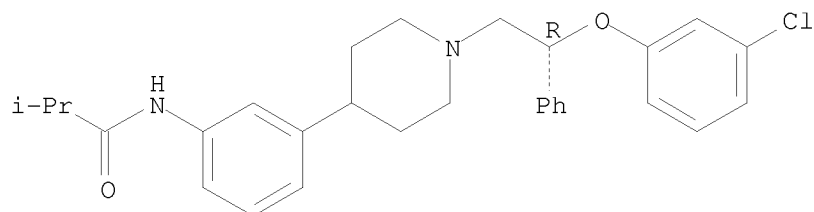
Absolute stereochemistry.



RN 487051-85-4 CAPLUS

CN Propanamide, N-[3-[1-[(2R)-2-(3-chlorophenoxy)-2-phenylethyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

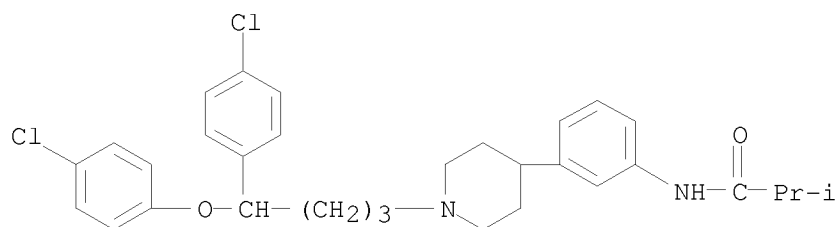
Absolute stereochemistry.



RN 487052-31-3 CAPLUS

CN Propanamide, N-[3-[1-[4-(4-chlorophenoxy)-4-(4-chlorophenyl)butyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

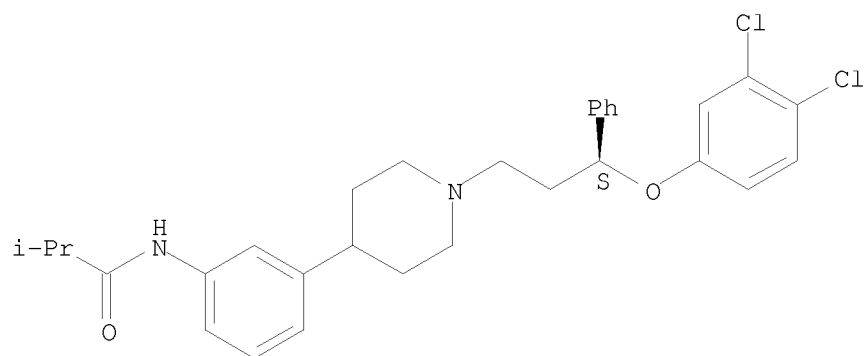
10/513699



RN 487056-49-5 CAPLUS

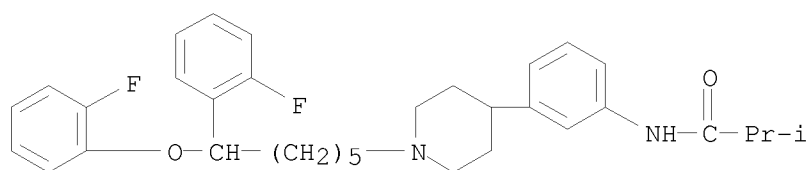
CN Propanamide, N-[3-[1-[(3S)-3-(3,4-dichlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



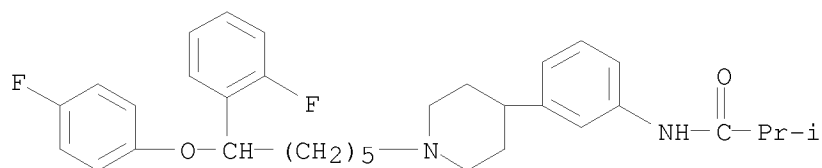
RN 487057-25-0 CAPLUS

CN Propanamide, N-[3-[1-[6-(2-fluorophenoxy)-6-(2-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



RN 487057-26-1 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-fluorophenoxy)-6-(2-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



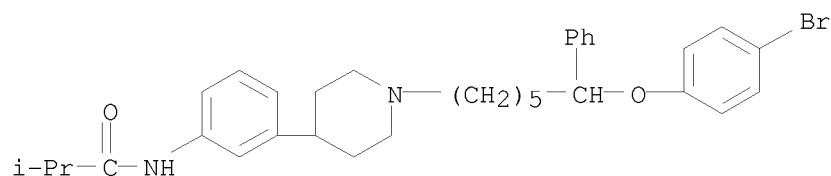
<12/04/2007>

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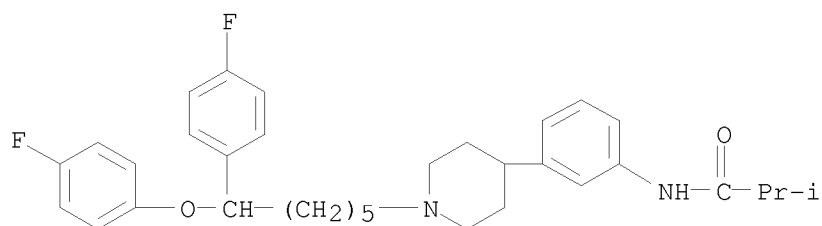
RN 487057-32-9 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-bromophenoxy)-6-phenylhexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



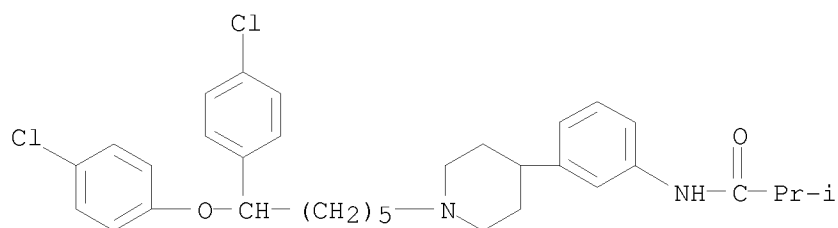
RN 487057-33-0 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-fluorophenoxy)-6-(4-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



RN 487057-35-2 CAPLUS

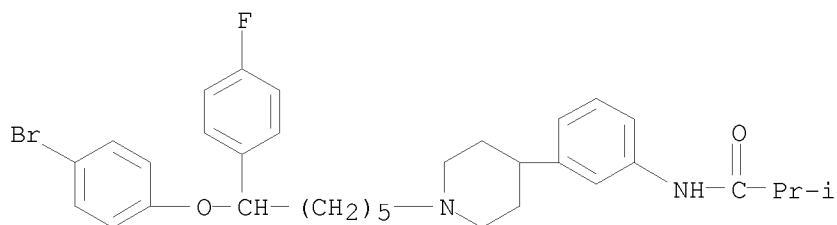
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RN 487057-36-3 CAPLUS

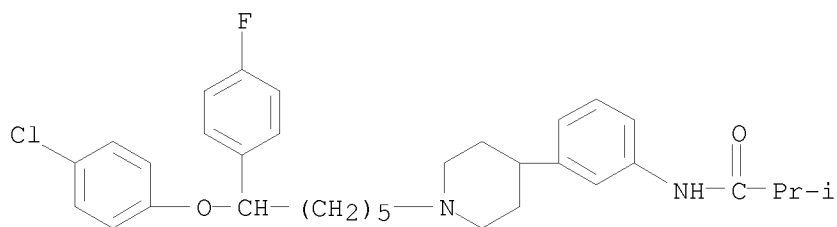
CN Propanamide, N-[3-[1-[6-(4-bromophenoxy)-6-(4-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

10/513699



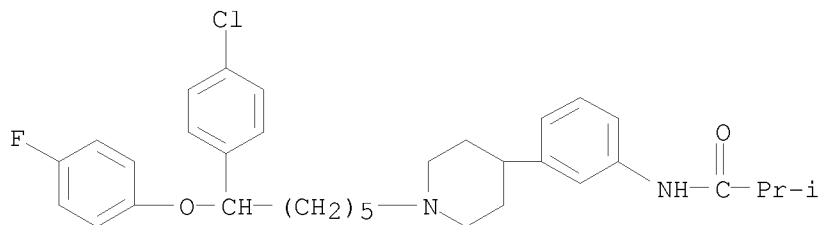
RN 487057-37-4 CAPLUS

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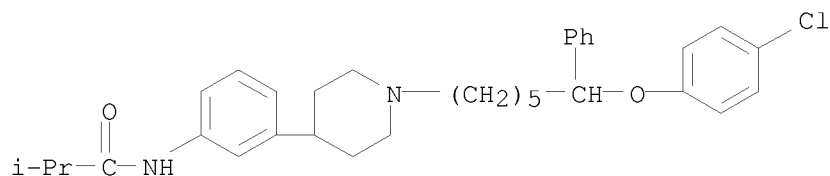
RN 487057-38-5 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-chlorophenyl)-6-(4-fluorophenoxy)hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



RN 487057-40-9 CAPLUS

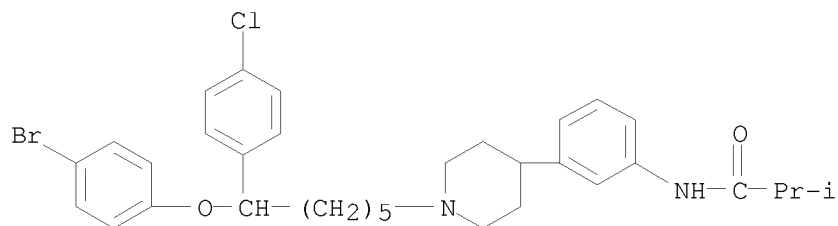
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RN 487057-41-0 CAPLUS

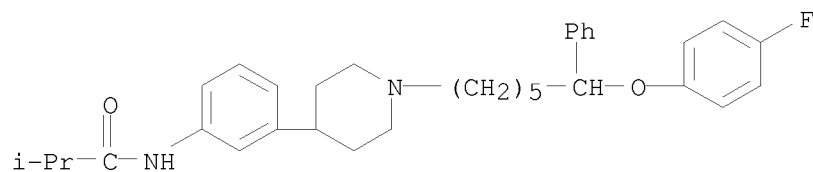
CN Propanamide, N-[3-[1-[6-(4-bromophenoxy)-6-(4-chlorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

10/513699



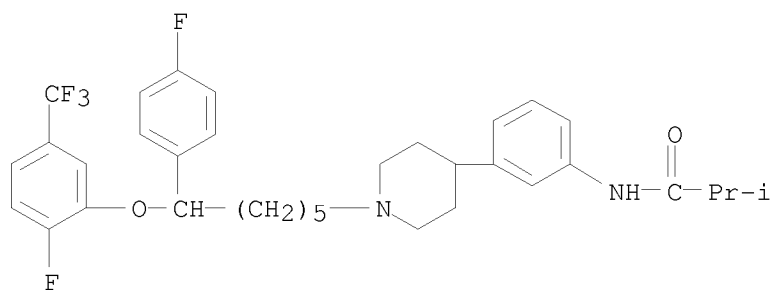
RN 487057-45-4 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-fluorophenoxy)-6-phenylhexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



RN 487057-47-6 CAPLUS

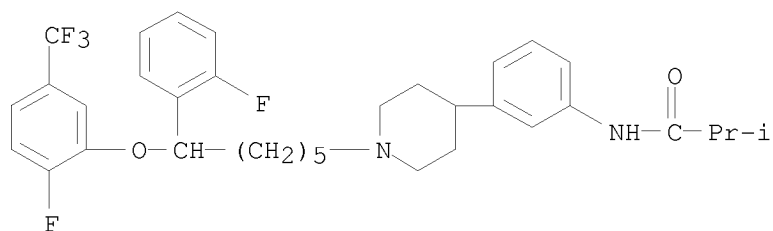
CN Propanamide, N-[3-[1-[6-(4-fluorophenyl)-6-[2-fluoro-5-(trifluoromethyl)phenoxy]hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



RN 487057-49-8 CAPLUS

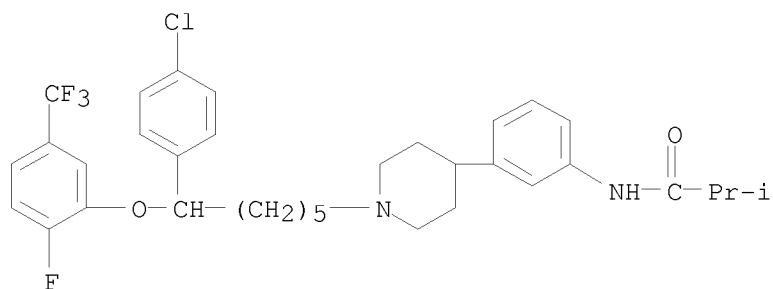
CN Propanamide, N-[3-[1-[6-(2-fluorophenyl)-6-[2-fluoro-5-(trifluoromethyl)phenoxy]hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

10/513699



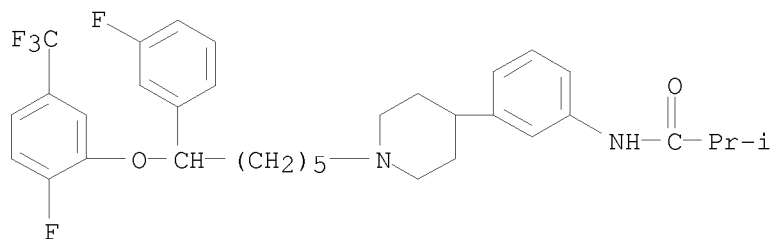
RN 487057-50-1 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-chlorophenyl)-6-[2-fluoro-5-(trifluoromethyl)phenoxy]hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



RN 487057-51-2 CAPLUS

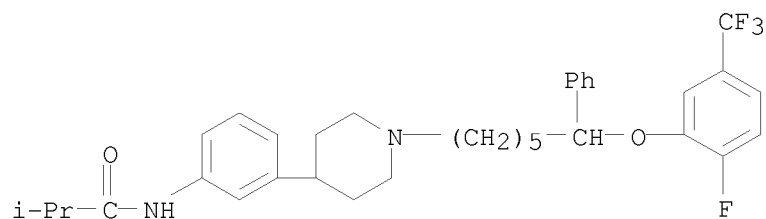
CN Propanamide, N-[3-[1-[6-(3-fluorophenyl)-6-[2-fluoro-5-(trifluoromethyl)phenoxy]hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



RN 487057-52-3 CAPLUS

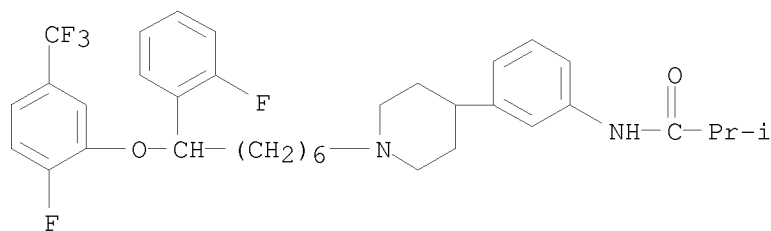
CN Propanamide, N-[3-[1-[6-[2-fluoro-5-(trifluoromethyl)phenoxy]-6-phenyl]hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

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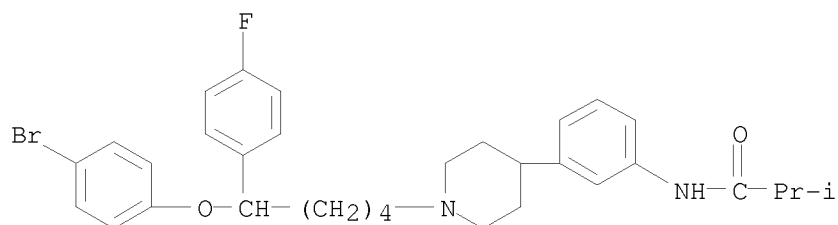
RN 487057-53-4 CAPLUS

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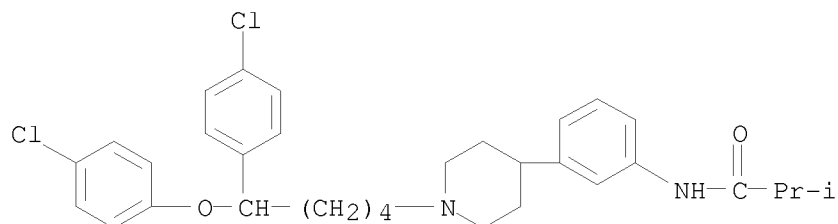
RN 487057-55-6 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-bromophenoxy)-5-(4-fluorophenyl)pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



RN 487057-56-7 CAPLUS

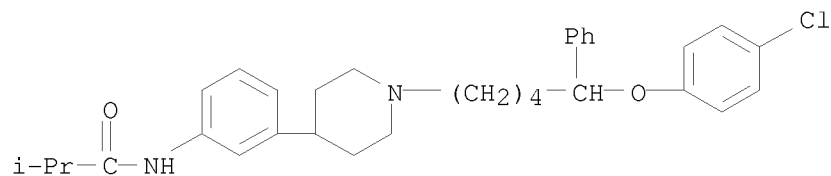
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10/513699

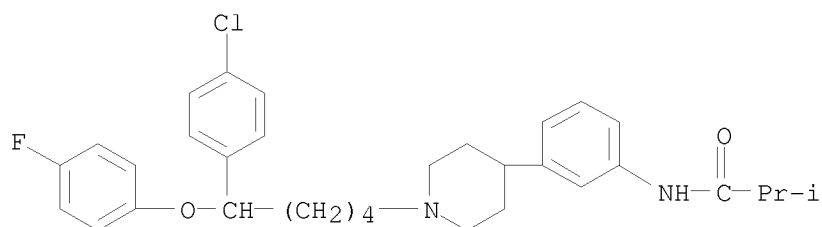
RN 487057-57-8 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-chlorophenoxy)-5-phenylpentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



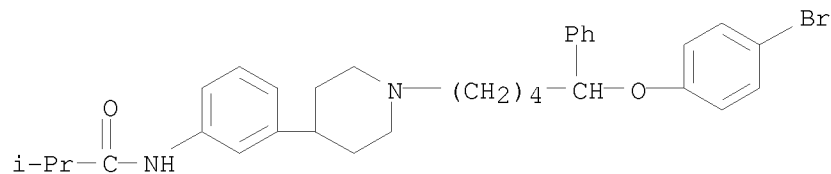
RN 487057-59-0 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-chlorophenyl)-5-(4-fluorophenoxy)pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



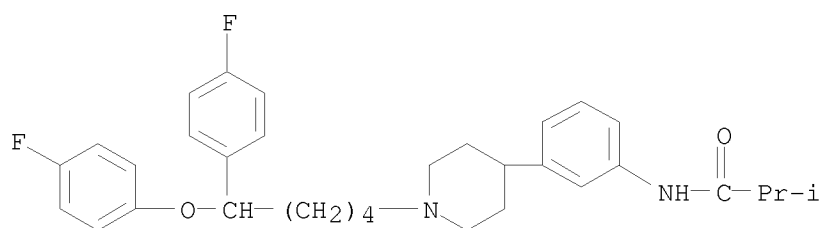
RN 487057-60-3 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-bromophenoxy)-5-phenylpentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



RN 487057-62-5 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-fluorophenoxy)-5-(4-fluorophenyl)pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



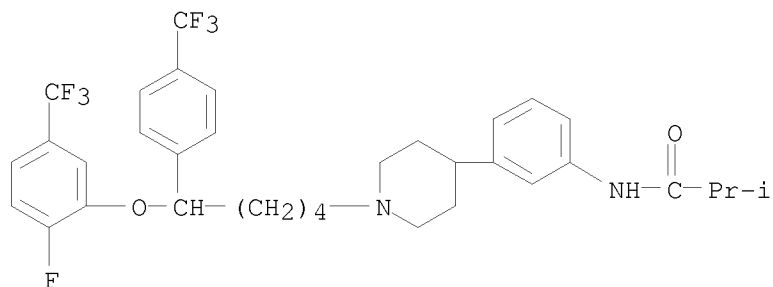
RN 487057-65-8 CAPLUS

<12/04/2007>

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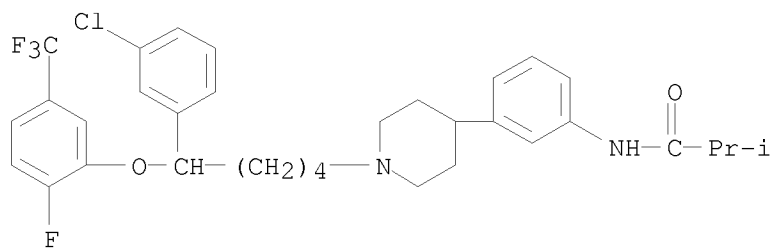
10/513699

CN Propanamide, N-[3-[1-[5-[2-fluoro-5-(trifluoromethyl)phenoxy]-5-[4-(trifluoromethyl)phenyl]pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



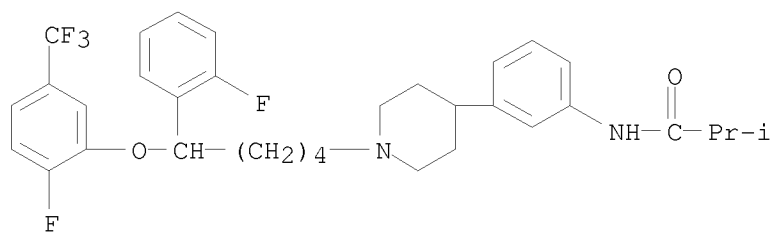
RN 487057-66-9 CAPLUS

CN Propanamide, N-[3-[1-[5-(3-chlorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



RN 487057-67-0 CAPLUS

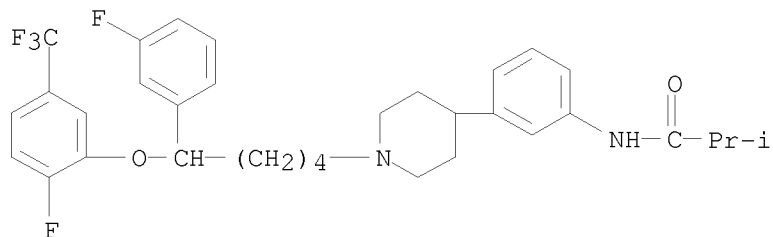
CN Propanamide, N-[3-[1-[5-(2-fluorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



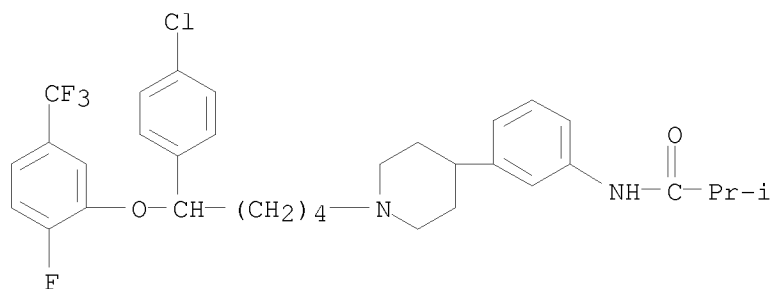
RN 487057-68-1 CAPLUS

CN Propanamide, N-[3-[1-[5-(3-fluorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

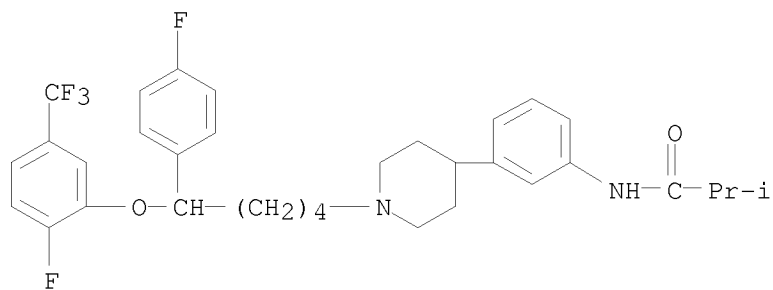
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RN 487057-70-5 CAPLUS
CN Propanamide, N-[3-[1-[5-(4-chlorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

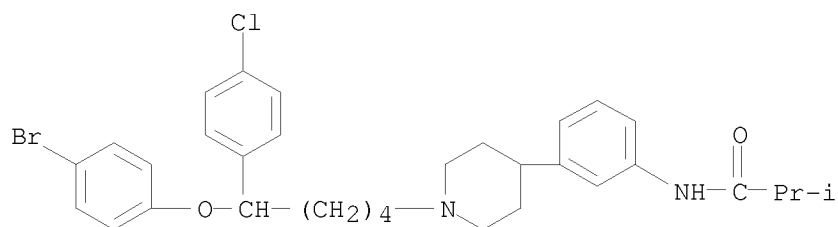


RN 487057-71-6 CAPLUS
CN Propanamide, N-[3-[1-[5-(4-fluorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



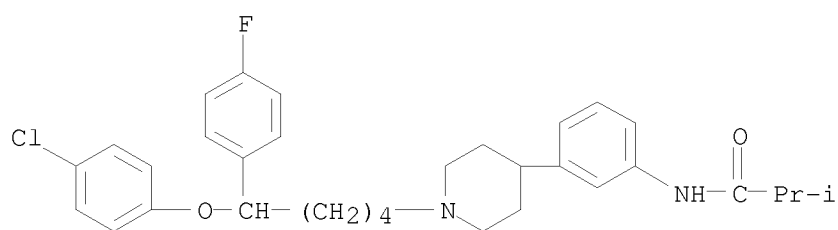
RN 487057-72-7 CAPLUS
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10/513699



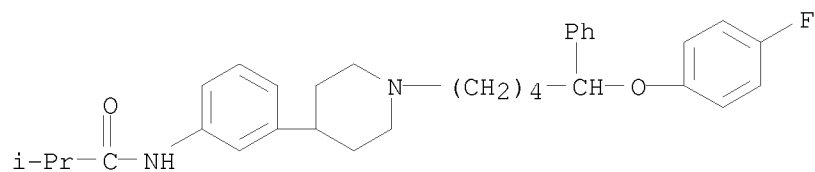
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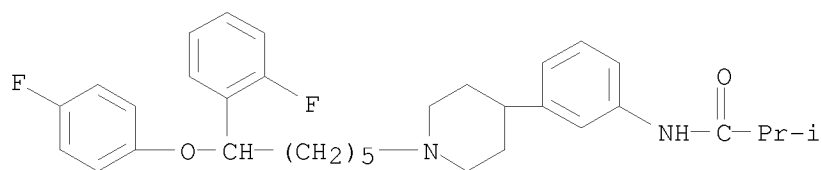
RN 487057-76-1 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-fluorophenoxy)-5-phenylpentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)



RN 488098-61-9 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-fluorophenoxy)-6-(2-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

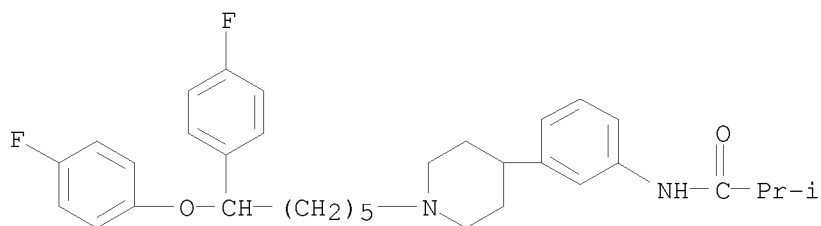
RN 488098-62-0 CAPLUS

<12/04/2007>

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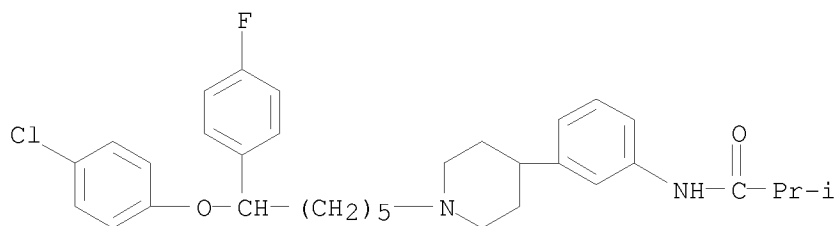
CN Propanamide, N-[3-[1-[6-(4-fluorophenoxy)-6-(4-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 488098-63-1 CAPLUS

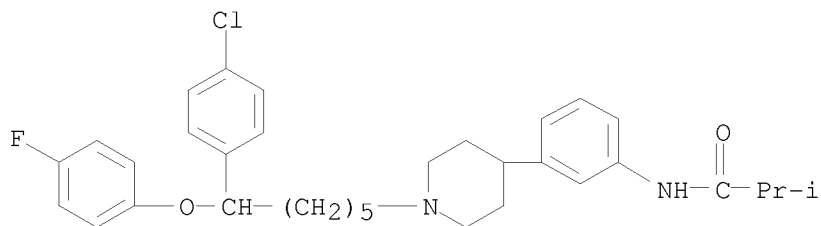
CN Propanamide, N-[3-[1-[6-(4-chlorophenoxy)-6-(4-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 488098-64-2 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-chlorophenyl)-6-(4-fluorophenoxy)hexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

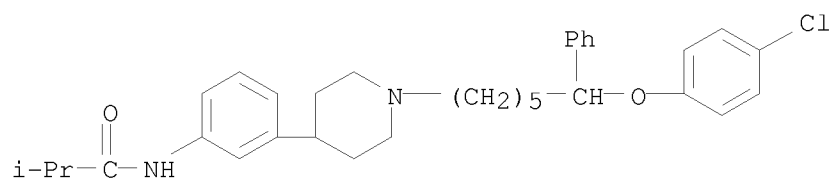
RN 488098-65-3 CAPLUS

<12/04/2007>

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10/513699

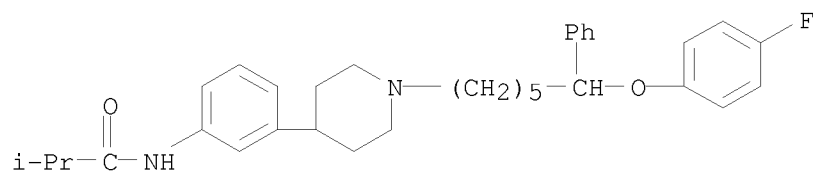
CN Propanamide, N-[3-[1-[6-(4-chlorophenoxy)-6-phenylhexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 488098-67-5 CAPLUS

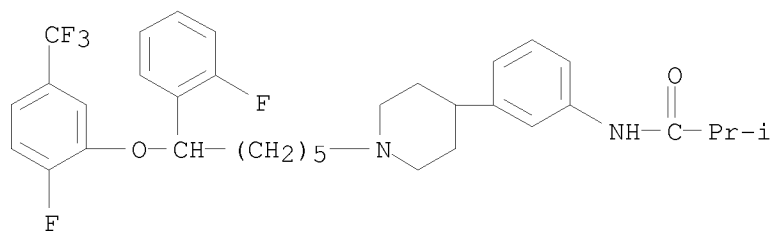
CN Propanamide, N-[3-[1-[6-(4-fluorophenoxy)-6-phenylhexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 488098-69-7 CAPLUS

CN Propanamide, N-[3-[1-[6-(2-fluorophenyl)-6-[2-fluoro-5-(trifluoromethyl)phenoxy]hexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

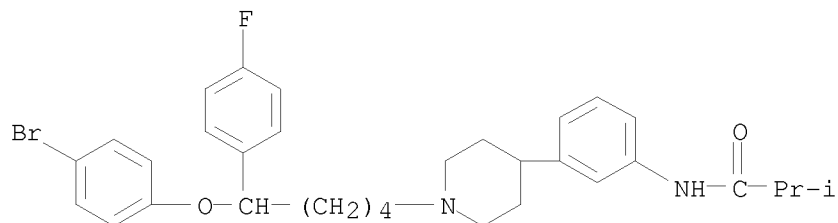


● HCl

RN 488098-70-0 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-bromophenoxy)-5-(4-fluorophenyl)pentyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

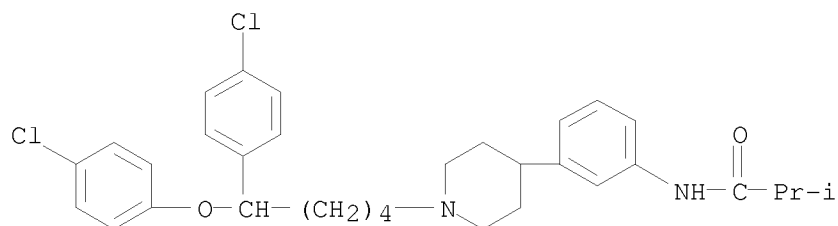
10/513699



● HCl

RN 488098-71-1 CAPLUS

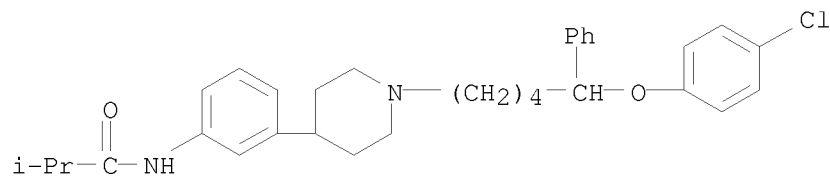
CN Propanamide, N-[3-[1-[5-(4-chlorophenoxy)-5-(4-chlorophenyl)pentyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 488098-72-2 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-chlorophenoxy)-5-phenylpentyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

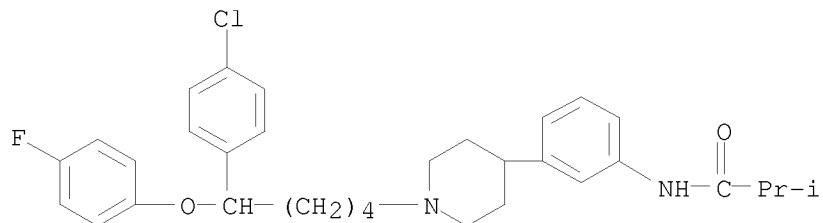


● HCl

RN 488098-73-3 CAPLUS

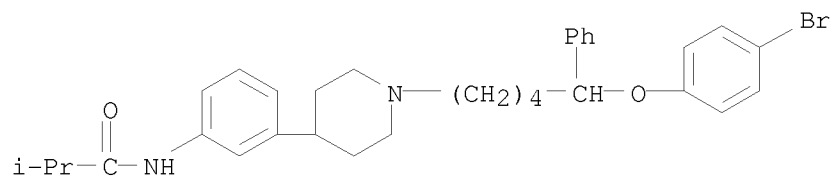
CN Propanamide, N-[3-[1-[5-(4-chlorophenyl)-5-(4-fluorophenoxy)pentyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

10/513699



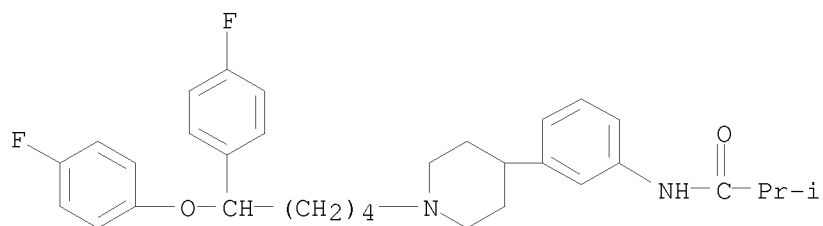
● HCl

RN 488098-74-4 CAPLUS
CN Propanamide, N-[3-[1-[5-(4-bromophenoxy)-5-phenylpentyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

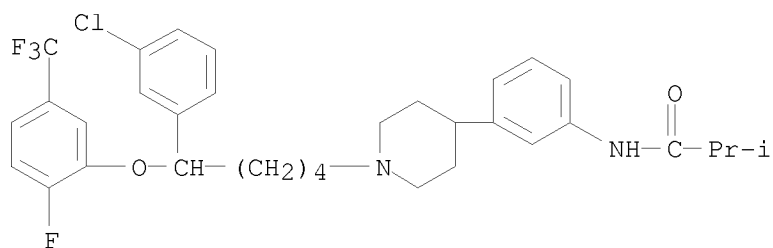
RN 488098-76-6 CAPLUS
CN Propanamide, N-[3-[1-[5-(4-fluorophenoxy)-5-(4-fluorophenyl)pentyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

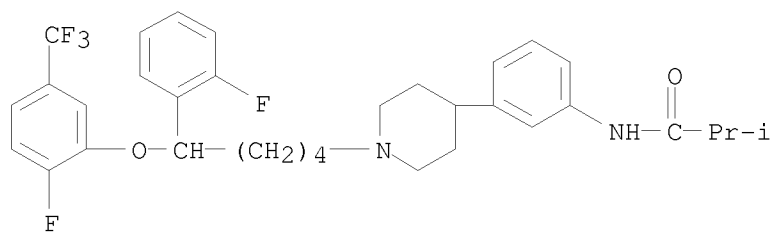
RN 488098-77-7 CAPLUS
CN Propanamide, N-[3-[1-[5-(3-chlorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

10/513699



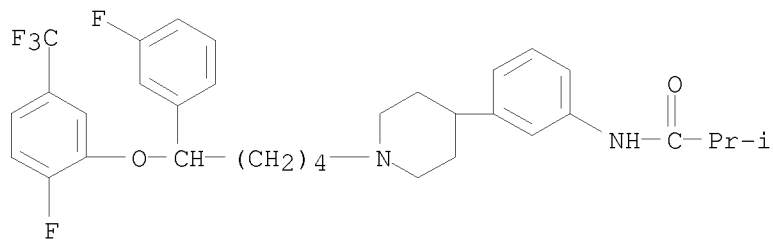
● HCl

RN 488098-78-8 CAPLUS
CN Propanamide, N-[3-[1-[5-(2-fluorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 488098-79-9 CAPLUS
CN Propanamide, N-[3-[1-[5-(3-fluorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

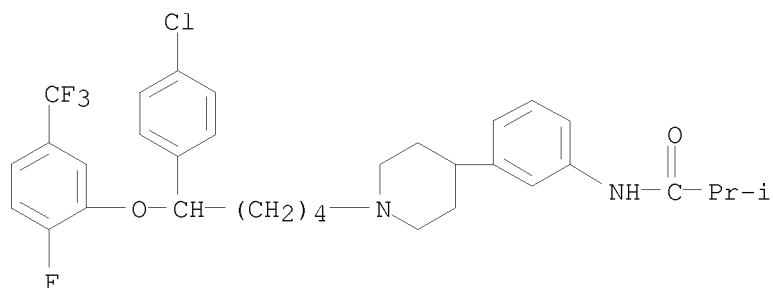
RN 488098-81-3 CAPLUS

<12/04/2007>

Erich Leese

10/513699

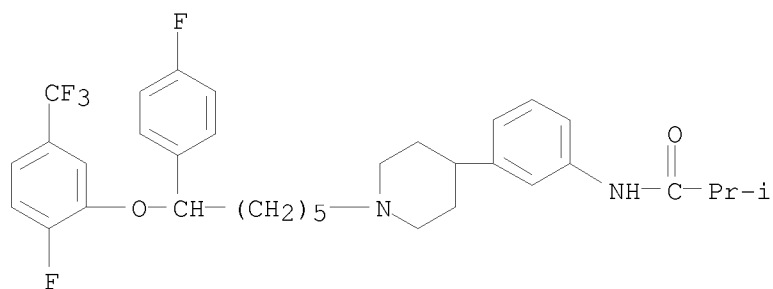
CN Propanamide, N-[3-[1-[5-(4-chlorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 488098-82-4 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-fluorophenyl)-6-[2-fluoro-5-(trifluoromethyl)phenoxy]hexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:31619 CAPLUS

DOCUMENT NUMBER: 136:96697

TITLE: Human melanin concentrating hormone receptor MCH1, its DNA, its synthetic ligands and diagnostic and therapeutic uses thereof

INVENTOR(S): Salon, John A.; Laz, Thomas M.; Nagorny, Raisa; Wilson, Amy E.

PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA

SOURCE: PCT Int. Appl., 524 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002744	A2	20020110	WO 2001-US21350	20010705
WO 2002002744	A3	20020808		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2384358	A1	20020110	CA 2001-2384358	20010705
EP 1246847	A2	20021009	EP 2001-952456	20010705
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004502423	T	20040129	JP 2002-507986	20010705
PRIORITY APPLN. INFO.:			US 2000-610635	A 20000705
			WO 2001-US21350	W 20010705

AB This invention provides an isolated nucleic acid encoding a human MCH1 receptor, a purified human MCH1 receptor, vectors comprising isolated nucleic acid encoding a human MCH1 receptor, cells comprising such vectors, antibodies directed to a human MCH1 receptor, nucleic acid probes useful for detecting nucleic acid encoding human MCH1 receptors, antisense oligonucleotides complementary to unique sequence of nucleic acid encoding human MCH1 receptors, transgenic, nonhuman animals which express DNA encoding a normal or mutant human MCH1 receptor, methods of isolating a human MCH1 receptor, methods of treating an abnormality that is linked to the activity of a human MCH1 receptor, as well as methods of determining binding

of compds. to mammalian MCH1 receptors. This invention provides a method of modifying the feeding behavior of a subject which comprises administering to the subject an amount of an MCH1 antagonist effective to decrease the body mass of the subject and/or decrease the consumption of food by the subject. This invention further provides a method of treating a subject suffering from depression and/or anxiety which comprises administering to the subject an amount of an MCH1 antagonist effective to treat the subject's depression and/or anxiety.

IT 387826-65-5P 387826-66-6P 387826-67-7P
387826-68-8P 387826-69-9P 387826-73-5P

10/513699

387826-74-6P 387826-79-1P 387826-80-4P

387826-81-5P 387826-82-6P 387826-85-9P

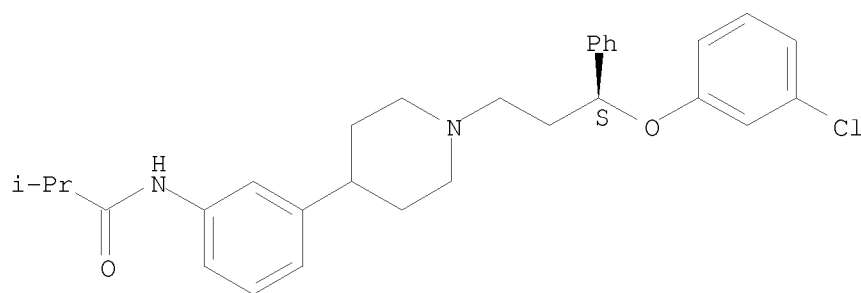
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(human melanin concentrating hormone receptor MCH1, its DNA, its synthetic ligands and diagnostic and therapeutic uses thereof)

RN 387826-65-5 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(3-chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

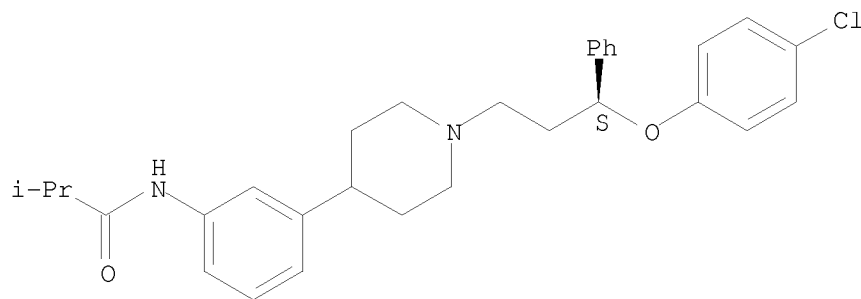
Absolute stereochemistry.



RN 387826-66-6 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(4-chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

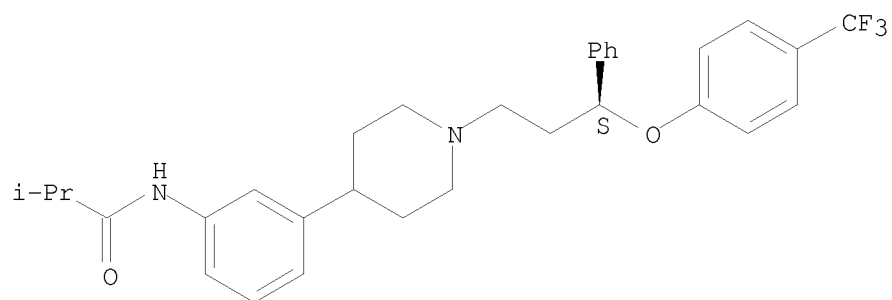


RN 387826-67-7 CAPLUS

CN Propanamide, 2-methyl-N-[3-[1-[(3S)-3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-4-piperidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

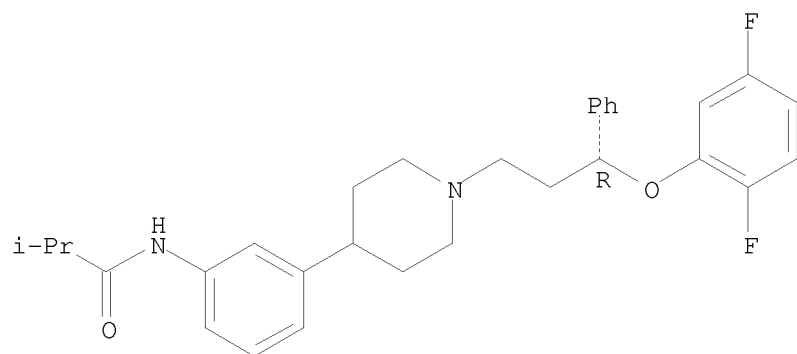
10/513699



RN 387826-68-8 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(2,5-difluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

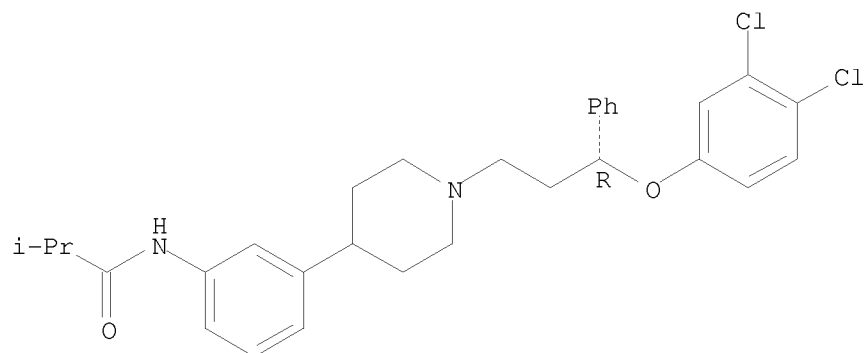
Absolute stereochemistry.



RN 387826-69-9 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(3,4-dichlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 387826-73-5 CAPLUS

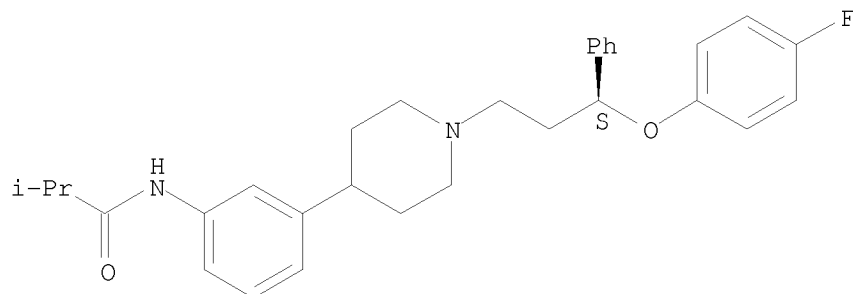
<12/04/2007>

Erich Leese

10/513699

CN Propanamide, N-[3-[1-[(3S)-3-(4-fluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

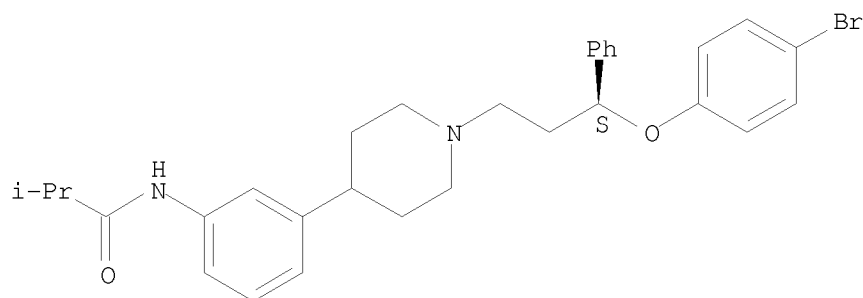
Absolute stereochemistry.



RN 387826-74-6 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(4-bromophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

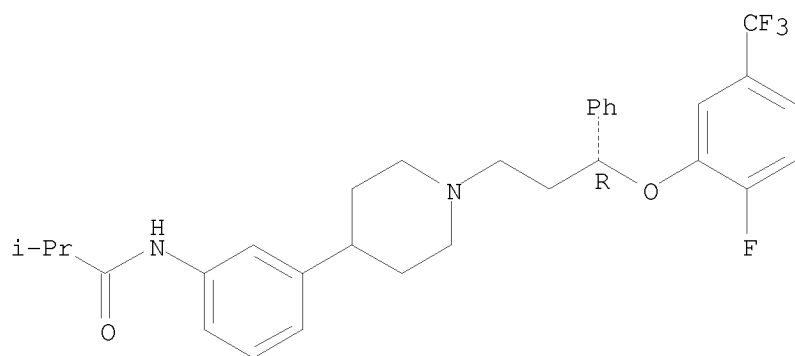
Absolute stereochemistry.



RN 387826-79-1 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-[2-fluoro-5-(trifluoromethyl)phenoxy]-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

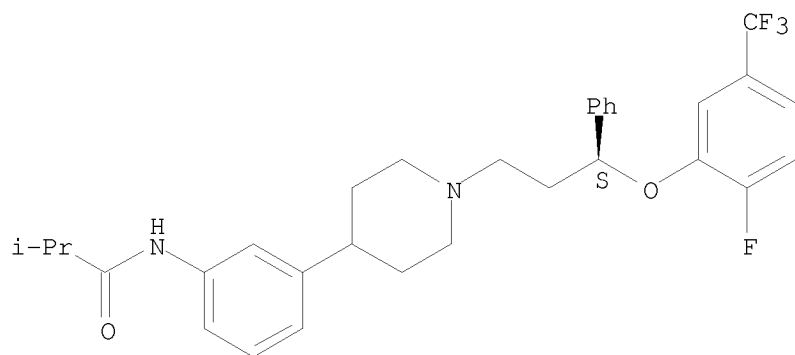


10/513699

RN 387826-80-4 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-[2-fluoro-5-(trifluoromethyl)phenoxy]-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

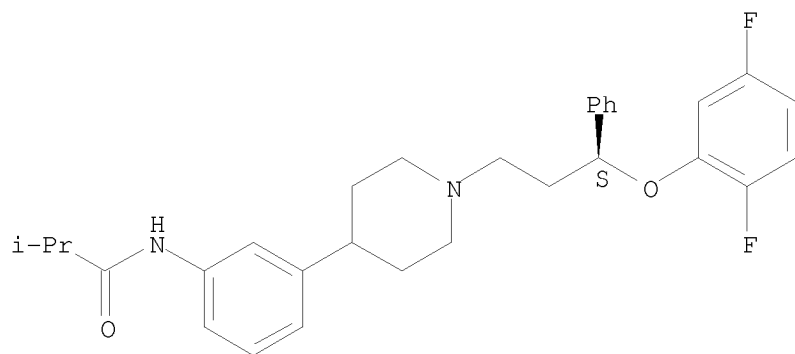
Absolute stereochemistry.



RN 387826-81-5 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(2,5-difluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

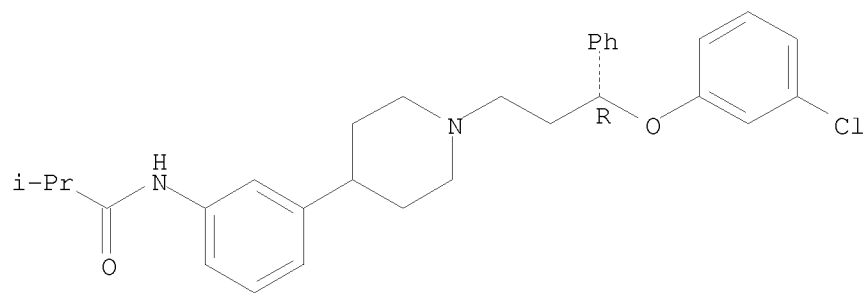


RN 387826-82-6 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(3-chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

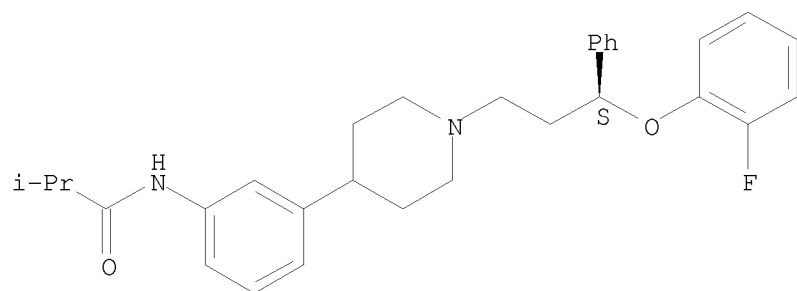
10/513699



RN 387826-85-9 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(2-fluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/513699

L3 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:76 CAPLUS

DOCUMENT NUMBER: 134:207795

TITLE: New 1-aryl-3-(4-arylpiperazin-1-yl)propane derivatives, with dual action at 5-HT_{1A} serotonin receptors and serotonin transporter, as a new class of antidepressants

AUTHOR(S): Martinez-Esparza, Javier; Oficialdegui, Ana-M.; Perez-Silanes, Silvia; Heras, Begona; Orus, Lara; Palop, Juan-A.; Lasheras, Berta; Roca, Joan; Mourelle, Marisa; Bosch, Ana; Del Castillo, Juan-C.; Tordera, Rosa; Del Rio, Joaquin; Monge, Antonio

CORPORATE SOURCE: Departments of Medicinal Chemistry and Pharmacology
Centro de Investigacion en Farmacobiologia Aplicada (CIFA), Universidad de Navarra, Pamplona, 31080, Spain
SOURCE: Journal of Medicinal Chemistry (2001), 44(3), 418-428
CODEN: JMCMAR; ISSN: 0022-2623

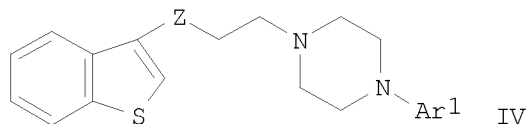
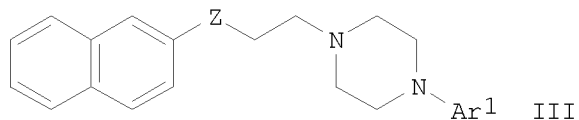
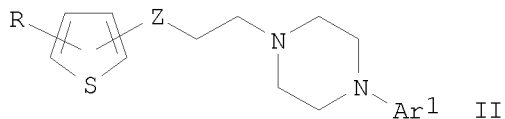
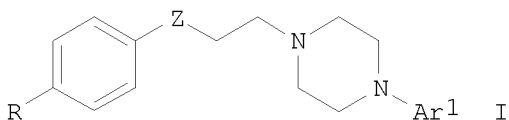
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:207795

GI



AB In a search toward new and efficient antidepressants, 1-aryl-3-(4-arylpiperazin-1-yl)propane derivs. I (R = H, Ph, MeO, NO₂, Z = CO, CHOH, CHOR₁, R₁ = 4-F₃CC₆H₄, 4-MeOC₆H₄, 3,4-OCH₃OC₆H₃, Ar₁ =

<12/04/2007>

Erich Leese

2-MeOC₆H₄, 4-ClC₆H₄, 2-pyridyl, etc.), II (R = H, 2,5-Me₂, 5-Me, 5-NO₂, Z = CO, CNOH, CHOH, CHOR₁, R₁ = 4-F₃CC₆H₄, 3,4-OCH₂OC₆H₃, 1-ClO₂H₇, position = 2, 3), III and IV (Ar₁ = 2-MeOC₆H₄, 4-ClC₆H₄, 2-HOC₆H₄, Z = CO, CHOH) were designed, synthesized, and evaluated for 5-HT reuptake inhibition and 5-HT_{1A} receptor antagonism. This dual pharmacol. profile should lead, in principle, to a rapid and pronounced enhancement in serotonergic neurotransmission and consequently to a more efficacious treatment of depression. The design was based on coupling structural moieties related to inhibition of serotonin reuptake, such as γ-phenoxypropylamines, to arylpiperazines, typical 5-HT_{1A} ligands. In binding studies, several compds. showed affinity at the 5-HT transporter and 5-HT_{1A} receptors. Antidepressant-like activity was initially assayed in the forced swimming test with those compds. with K_i < 200 nM in both binding studies. Functional characterization was performed by measuring the intrinsic effect on rectal temperature in mice and also the antagonism to 8-OH-DPAT-induced hypothermia. The most efficacious compds. II (R = H, Z = CHO-1-ClO₂H₇, position = 3, Ar₁ = 2-MeOC₆H₄) (V), II[R = 5-Me, Z = (E)-CNOH, position = 2, Ar₁ = 2-MeOC₆H₄] and IV (Z = CO, CHOH, Ar₁ = 2-MeOC₆H₄) (VI) were further explored for their ability to antagonize 8-OH-DPAT-induced inhibition of forskolin-stimulated cAMP formation in a cell line expressing the 5-HT_{1A} receptor. Furthermore, the antidepressant-like properties of V and VI, which exhibited 5-HT_{1A} receptor antagonistic property in the latter study, were also evaluated in the learned helplessness test in rats. Among these three compds., VI (Z = CHOH) (1-benzo[b]thiophene-3-yl)-3-[4-(2-methoxyphenyl)-1-ylpropan-1-ol] showed the higher affinity at both the 5-HT transporter and 5-HT_{1A} receptors (K_i = 20 nM in both cases) and was also active in the other pharmacol. tests. Such a pharmacol. profile could lead to a new class of antidepressants with a dual mechanism of action and a faster onset of action.

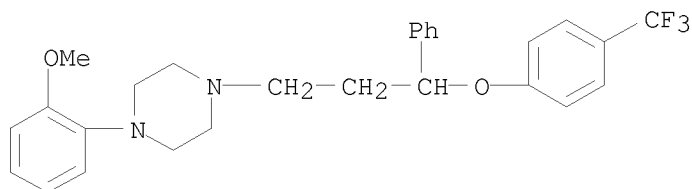
IT 328248-11-9P 328248-15-3P 328248-21-1P
328248-24-4P 328248-26-6P 328248-30-2P
328248-33-5P 328248-36-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, 5-HT_{1A} serotonin receptor antagonist and serotonin transporter activity, and structure-activity relationship of aryl(arylpiperazinyl)propanes)

RN 328248-11-9 CAPLUS

CN Piperazine, 1-(2-methoxyphenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-, hydrochloride (1:2) (CA INDEX NAME)

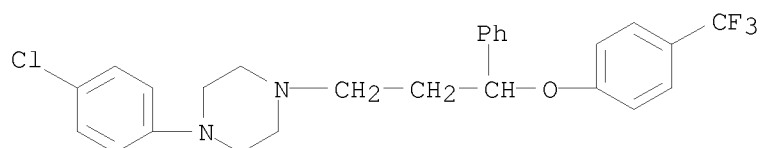


● 2 HCl

10/513699

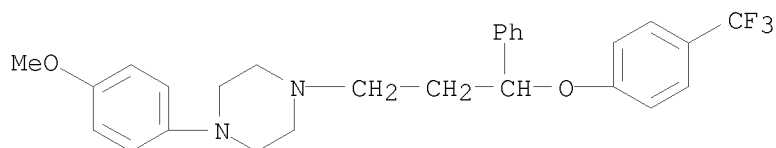
RN 328248-15-3 CAPLUS

CN Piperazine, 1-(4-chlorophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)



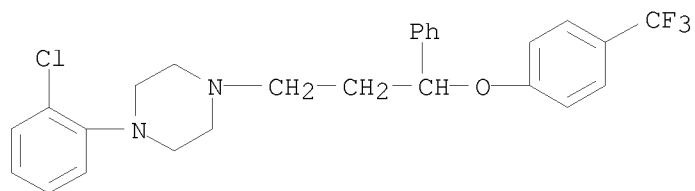
RN 328248-21-1 CAPLUS

CN Piperazine, 1-(4-methoxyphenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)



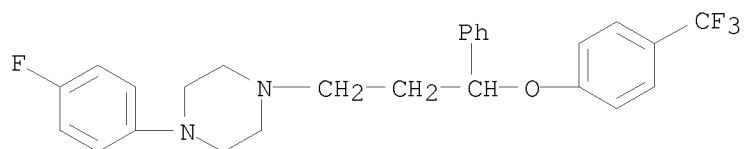
RN 328248-24-4 CAPLUS

CN Piperazine, 1-(2-chlorophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)



RN 328248-26-6 CAPLUS

CN Piperazine, 1-(4-fluorophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 328248-30-2 CAPLUS

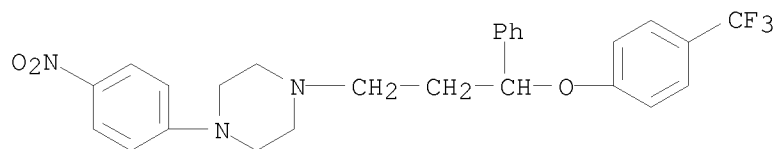
CN Piperazine, 1-(4-nitrophenyl)-4-[3-phenyl-3-[4-

<12/04/2007>

Erich Leese

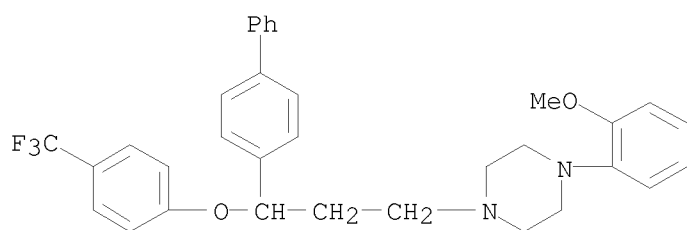
10/513699

(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)



RN 328248-33-5 CAPLUS

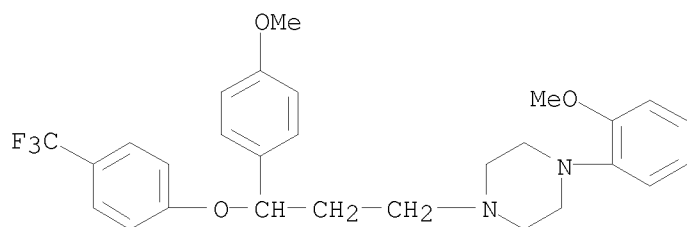
CN Piperazine, 1-[3-[1,1'-biphenyl]-4-yl-3-[4-(trifluoromethyl)phenoxy]propyl]-4-(2-methoxyphenyl)-, hydrochloride (1:2)
(CA INDEX NAME)



● 2 HCl

RN 328248-36-8 CAPLUS

CN Piperazine, 1-(2-methoxyphenyl)-4-[3-(4-methoxyphenyl)-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)



REFERENCE COUNT:

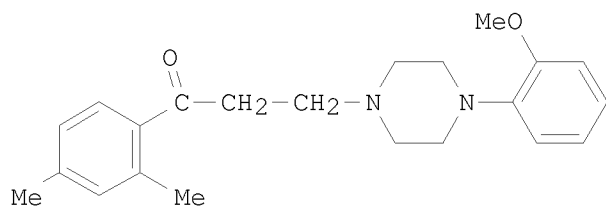
54

THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

<12/04/2007>

Erich Leese

L3 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2000:601312 CAPLUS
 DOCUMENT NUMBER: 133:305272
 TITLE: Design, synthesis and biological evaluation of new
 3-[(4-aryl)piperazin-1-yl]-1-arylpropane derivatives
 as potential antidepressants with a dual mode of
 action; serotonin reuptake inhibition and 5-HT1A
 receptor antagonism
 AUTHOR(S): Oficialdegui, A. M.; Martinez, J.; Perez, S.; Heras,
 B.; Irurzun, M.; Palop, J. A.; Tordera, R.; Lasheras,
 B.; Del Rio, J.; Monge, A.
 CORPORATE SOURCE: Department of Medicinal Chemistry, Centro de
 Investigacion en Farmacobiologia Aplicada (CIFA),
 Universidad de Navarra, Pamplona, 31080, Spain
 SOURCE: Farmaco (2000), 55(5), 345-353
 CODEN: FRMCE8; ISSN: 0014-827X
 PUBLISHER: Elsevier Science S.A.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 133:305272
 GI

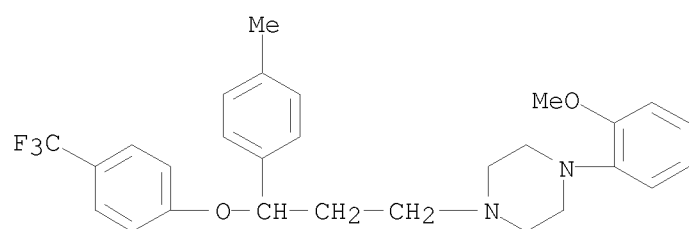


I

AB It has been suggested that the combination of a selective serotonin
 reuptake inhibitor (SSRI) and a 5-HT1A receptor antagonist may facilitate
 the onset of the SSRIs antidepressant action. Accordingly, we describe
 the synthesis of a series of new 3-[(4-aryl)piperazin-1-yl]-1-arylpropane
 derivs. with structural modifications performed in Ar1, Ar2 and Z (Z is
 different functional groups) to obtain the sought dual activity. Comps.
 were evaluated for in vitro affinity at 5-HT1A receptors and 5-HT
 transporter. The antidepressant-like activity of derivs. with the higher
 affinity was assessed initially using the forced swimming test (FST).
 Compound 1-(2,4-dimethylphenyl)-3-[(2-methoxyphenyl)piperazin-1-yl]-1-
 propanone (I) showed the best antidepressant-like activity which was
 further confirmed in the learned helplessness test.

IT 302561-62-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (design, synthesis and antidepressant activity of
 [(aryl)piperazinyl]arylpropane derivs.)
 RN 302561-62-2 CAPLUS
 CN Piperazine, 1-(2-methoxyphenyl)-4-[3-(4-methylphenyl)-3-[4-
 (trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

10/513699



REFERENCE COUNT:

42

THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:655827 CAPLUS
 DOCUMENT NUMBER: 121:255827
 ORIGINAL REFERENCE NO.: 121:46707a, 46710a
 TITLE: Preparation of (hetero)arylpropanolamine derivatives
 as cerebral calcium overload blockers
 INVENTOR(S): Jakobsen, Palle; Kanstrup, Anders; Lundbeck, Jane
 Marie
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
 SOURCE: Eur. Pat. Appl., 18 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
EP 576766	A1	19940105	EP 1992-610053	19920629

R: GB

PRIORITY APPLN. INFO.: EP 1992-610053 19920629

OTHER SOURCE(S): MARPAT 121:255827

AB XR3(RO)CCR4R5CR6R7NR1R2 [X = Ph optionally substituted with one or more
 cyano, halo, haloalkyl, alkoxy, alkyl, alkanoyl, alkenyl, aryloxy,
 aralkoxy, amino, alkyl mono or disubstituted amino, alkanoylamino,
 carbamoyl, alkyl mono- or disubstituted carbamoyl, alkyl substituted with
 amino, alkyl mono or disubstituted amino, NO₂, morpholino, imidazolyl; R =
 3,4-methylenedioxyphenyl, aryl or heteroaryl all of which can be
 optionally substituted with one or more cyano, halogeno, alkyl, alkoxy,
 alkenyl, trifluoromethyl, alkylene, aryloxy, aralkoxy, alkylthio; R₁, R₂ =
 alkyl, cycloalkyl, alkenyl, cycloalkylalkyl, all of which can be
 unsubstituted or substituted with alkyl, alkoxy or cyano; R₁R₂ = 5-, 6- or
 7-membered ring containing ≥1 N atom, or which optionally contains 2 N
 atoms, one or 2 O atom(s) or one or 2 S atom(s) or a combination thereof,
 which ring is optionally substituted with alkyl, alkoxy, or aryl; and
 R₃-R₇ = H, alkyl, phenyl; R₄X = carbocyclic ring containing 5 or 6 atoms; or
 salts thereof with a pharmaceutically acceptable acid; with provisos],
 were prepared Thus, 1-(4-cyanophenyl)-3-piperidinypropylpropan-1-ol was
 condensed with 4-trifluoromethylbenzotrifluoride using KOCMe₃ to give
 1-[3-(4-cyanophenyl)-3-(4-trifluoromethylphenoxy)propyl]piperidine,
 isolated as the oxalate. The latter inhibited stimulated uptake of ⁴⁵Ca
 by rat P2 synaptosomal prepns. with IC₅₀ = 2.2 µg/mL, vs. 26 µg/mL
 for nifedipine. Generic I formulations are given.

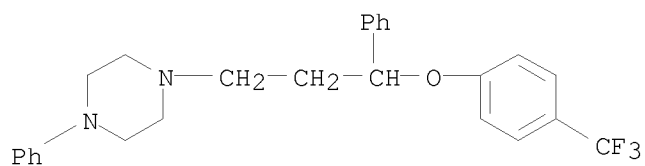
IT 158545-85-8P 158546-06-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as cerebral calcium overload blocker)

RN 158545-85-8 CAPLUS

CN Piperazine, 1-phenyl-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-
 (CA INDEX NAME)

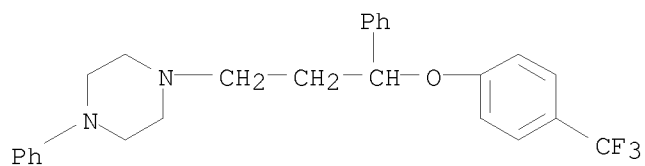
10/513699



RN 158546-06-6 CAPLUS
CN Piperazine, 1-phenyl-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-,
ethanedioate (1:1) (CA INDEX NAME)

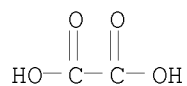
CM 1

CRN 158545-85-8
CMF C26 H27 F3 N2 O



CM 2

CRN 144-62-7
CMF C2 H2 O4



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=> d hs
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MAX ----- ALL, plus Patent FAM, RE
PATS ----- PI, SO
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HIT ----- Fields containing hit terms
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 containing hit terms
HITRN ----- HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
 its structure diagram
HITSEQ ----- HIT RN, its text modification, its CA index name, its
 structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
 its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
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L3 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2009:412461 CAPLUS
DN 151:496
TI QSAR study of the 5-HT1A receptor affinities of arylpiperazines using a
genetic algorithm-artificial neural network model
AU Habibi-Yangjeh, Aziz
CS Department of Chemistry, Faculty of Science, University of Mohaghegh
Ardabili, Ardabil, Iran
SO Monatshefte fuer Chemie (2009), 140(5), 523-530
CODEN: MOCMB7; ISSN: 0026-9247
PB SpringerWienNewYork
DT Journal
LA English
RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'REGISTRY' ENTERED AT 18:23:49 ON 29 JUN 2009

L1 STRUCTURE UPLOADED

L2 211 S L1 FULL

FILE 'CAPLUS' ENTERED AT 18:24:36 ON 29 JUN 2009

L3 17 S L2 FULL

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

98.13

284.23

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-13.94

-13.94

STN INTERNATIONAL LOGOFF AT 18:25:43 ON 29 JUN 2009